

23193 SEARCH REQUEST FORM

Requestor's
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Serial
Number: 29/381,758

Date: 8/21/00

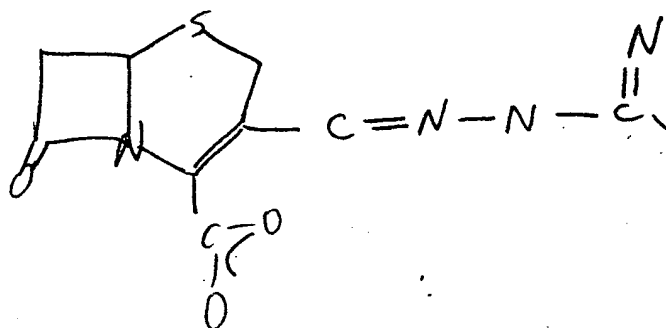
Phone: 478

Art Unit: 1624

4D15

Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevant citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevant claim(s).



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MARY

Point of Contact:
Mary Hale
Technical Info. Specialist
CM1 12D16 Tel: 308-4258

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Date completed: 8/23
Searcher: [Signature]
Terminal time: 7
Elapsed time: 5
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Total time: _____
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Search Site
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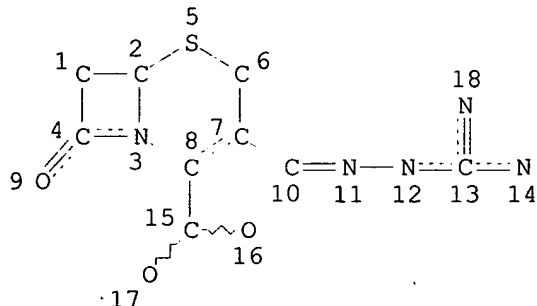
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 11, 2000

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Structure search limits have been increased. See HELP SLIMIT for details.

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L1 STR



NODE ATTRIBUTES:

NSPEC IS R AT 14
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

L3 148 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 422 ITERATIONS
SEARCH TIME: 00.00.01

148 ANSWERS

L3 ANSWER 1 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 214055-89-7 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[[(2Z)-(5-amino-1,2,4-thiadiazol-3-yl)[(fluoromethoxy)imino]acetyl]amino
]-3-[(E)-[(imino-1-piperazinylmethyl)methylhydrazono]methyl]-8-oxo-,
trihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

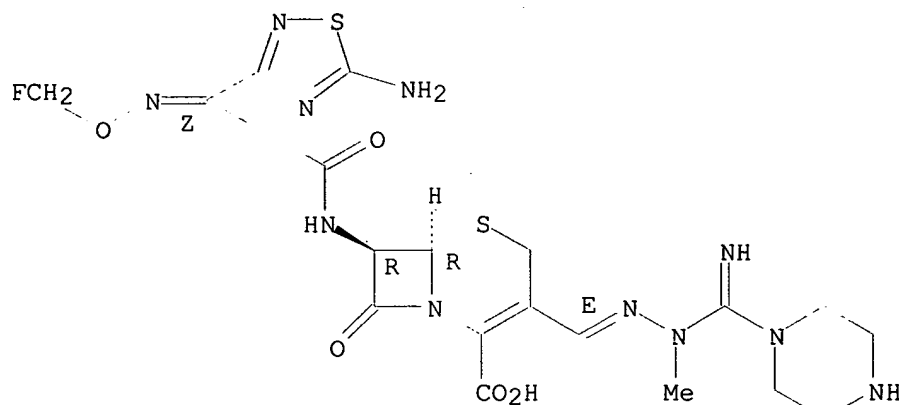
FS STEREOSEARCH

MF C19 H24 F N11 O5 S2 . 3 Cl H

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as shown.



● 3 HCl

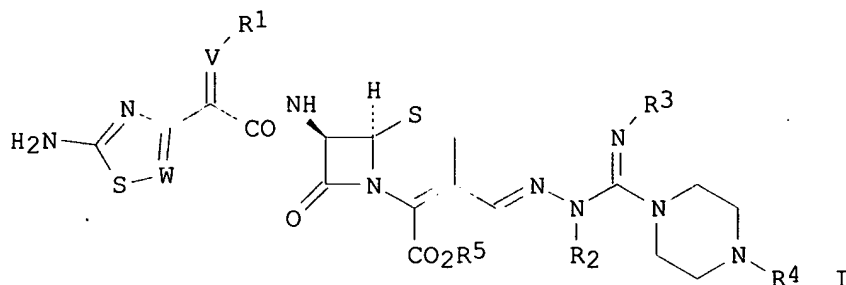
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted
acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 2 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 214055-86-4 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[(2Z)-(5-amino-1,2,4-thiadiazol-3-yl) [(fluoromethoxy)imino]acetyl]amino]-3-[[(imino-1-piperazinylmethyl)hydrazono]methyl]-8-oxo-, 1-[[(1-methylethoxy)carbonyl]oxy]ethyl ester, dihydrochloride, (6R,7R)-(9CI) (CA INDEX NAME)

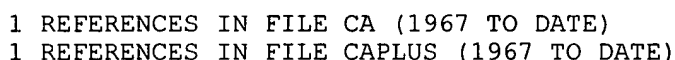
FS STEREOSEARCH
 MF C24 H32 F N11 O8 S2 . 2 Cl H
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry as described by E or Z.

REFERENCE 1: 129:275783 synthesis of antibacterial substituted acylamino(methylhydrazono)methylcephalosporins and intermediates.

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

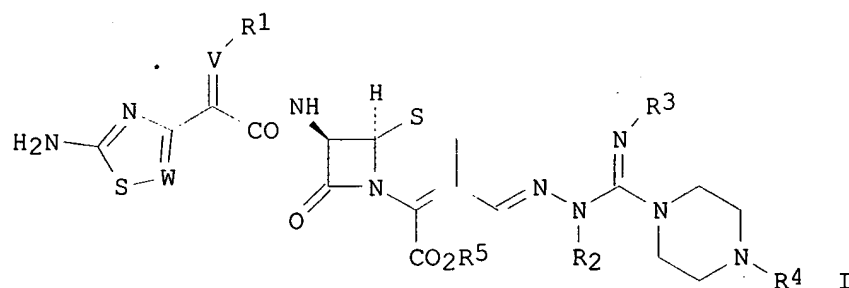
Prepared by M. Hale 308-4258



Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



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Prepared by M. Hale 308-4258 Page 60

OCH₂F; R₂ = Me; R₃, R₄, R₅ = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 4 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-82-0 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[4-[amino(4-hydroxyphenyl)acetyl]-1-piperazinyl]iminomethyl]hydrazono]methyl]-7-[[[(2Z)-(5-amino-1,2,4-thiadiazol-3-yl)[(fluoromethoxy)imino]acetyl]amino]-8-oxo-, (2,2-dimethyl-1-oxopropoxy)methyl ester, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C32 H39 F N12 O9 S2

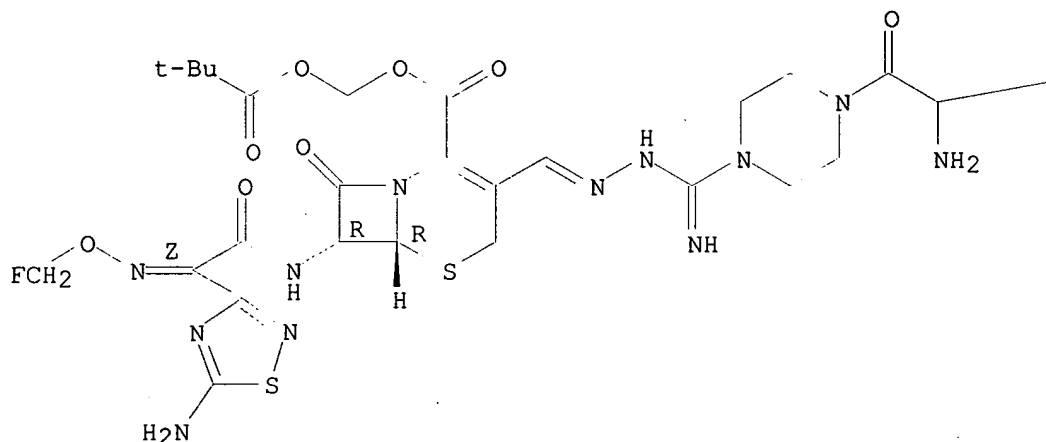
SR CA

LC STN Files: CA, CAPLUS

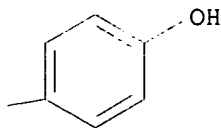
Absolute stereochemistry.

Double bond geometry as described by E or Z.

PAGE 1-A



PAGE 1-B



1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

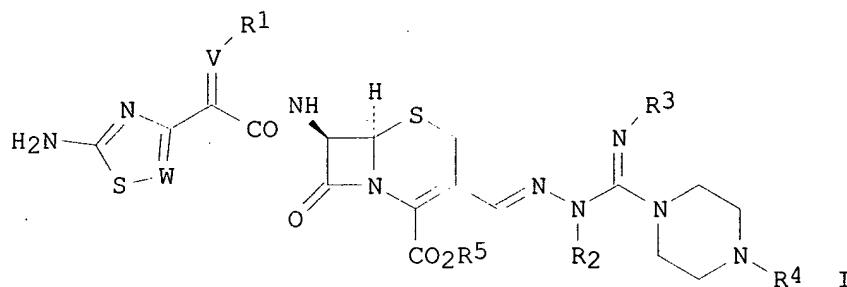
Prepared by M. Hale 308-4258

REFERENCE 1: 129:275783 synthesis of antibacterial substituted
acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



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L3 ANSWER 5 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-81-9 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[4-[amino(4-hydroxyphenyl)acetyl]-1-piperazinyl]iminomethyl]hydrazono
methyl]-7-[[(2Z)-(5-amino-1,2,4-thiadiazol-3-yl) [(fluoromethoxy)imino]acetyl]amino]-8-oxo-, 1-[[(1-methylethoxy)carbonyl]oxy]ethyl ester, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C32 H39 F N12 O10 S2


SR CA

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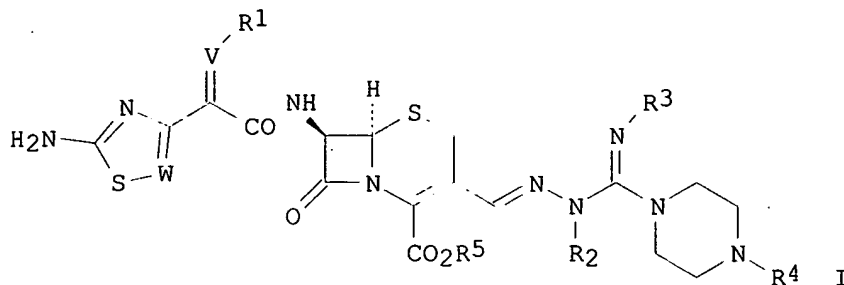
Absolute stereochemistry.
Double bond geometry as described by E or Z.

The chemical structure is a complex molecule with several fused and linked rings. It features a thiazolidine ring (a five-membered ring with one sulfur and one nitrogen atom) fused to a thiazole ring (a five-membered aromatic ring with one sulfur and two nitrogen atoms). The thiazolidine ring has a carbonyl group (C=O) and a nitrogen atom (NH) attached to it. The thiazole ring has a carbonyl group (C=O) and a nitrogen atom (NH) attached to it. A piperazine ring (a six-membered ring with two nitrogen atoms) is attached to the thiazolidine ring via a carbonyl group (C=O). The piperazine ring has a carbonyl group (C=O) and a nitrogen atom (NH) attached to it. A carbamate group (O-C(=O)-O-) is attached to the piperazine ring. The carbamate group has a methyl group (Me) and an isopropoxy group (i-PrO) attached to it. The molecule also contains a thiazole ring (a five-membered aromatic ring with one sulfur and two nitrogen atoms) and a thiazolidine ring (a five-membered ring with one sulfur and one nitrogen atom) fused to it. The thiazole ring has a carbonyl group (C=O) and a nitrogen atom (NH) attached to it. The thiazolidine ring has a carbonyl group (C=O) and a nitrogen atom (NH) attached to it. A piperazine ring (a six-membered ring with two nitrogen atoms) is attached to the thiazolidine ring via a carbonyl group (C=O). The piperazine ring has a carbonyl group (C=O) and a nitrogen atom (NH) attached to it. A carbamate group (O-C(=O)-O-) is attached to the piperazine ring. The carbamate group has a methyl group (Me) and an isopropoxy group (i-PrO) attached to it.


Oc1ccc(cc1)C

Ascher, Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

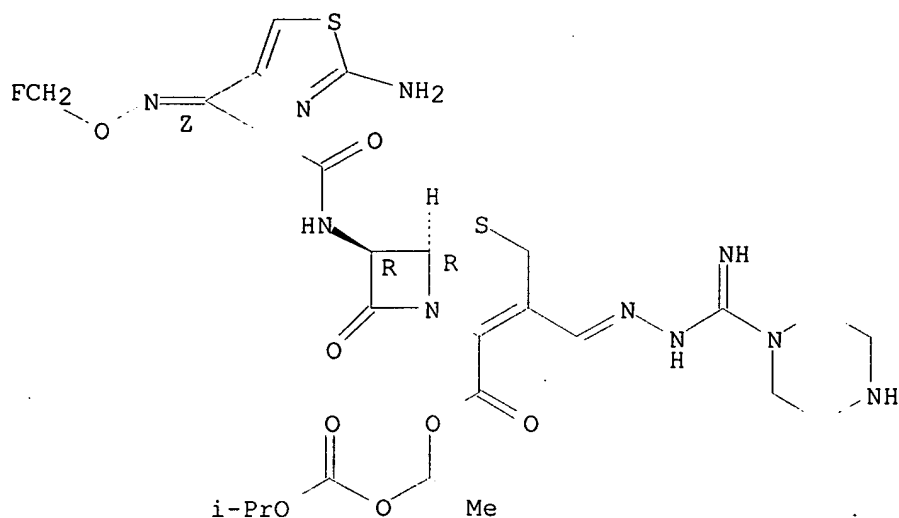
Page 63



AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 6 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 214055-80-8 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 7-[[[(2Z)-(2-amino-4-thiazolyl)[(fluoromethoxy)imino]acetyl]amino]-3-
 [[[(imino-1-piperazinylmethyl)hydrazono]methyl]-8-oxo-,
 1-[[[(1-methylethoxy)carbonyl]oxy]ethyl ester, (6R,7R)- (9CI) (CA INDEX
 NAME)
 FS STEREOSEARCH
 MF C25 H33 F N10 O8 S2
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry as described by E or Z.



1 REFERENCES IN FILE CA (1967 TO DATE)

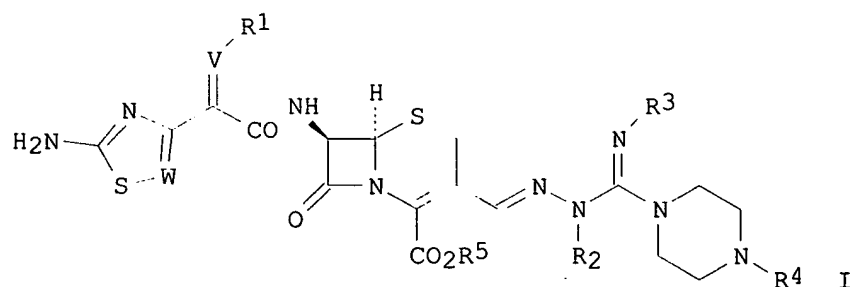
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI

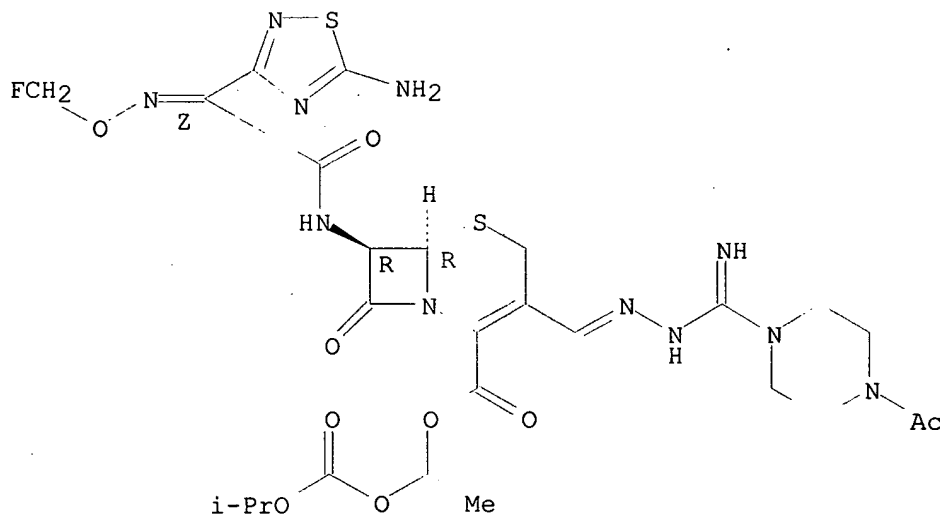


AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHH2, Page 65
Prepared by M. Hale 308-4258

aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 7 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 214055-79-5 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[(4-acetyl-1-piperazinyl)iminomethyl]hydrazono]methyl]-7-[[{(2Z)-(5-amino-1,2,4-thiadiazol-3-yl)[(fluoromethoxy)imino]acetyl]amino]-8-oxo-, 1-[[{(1-methylethoxy)carbonyl]oxy]ethyl ester, (6R,7R)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C26 H34 F N11 O9 S2
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry as described by E or Z.



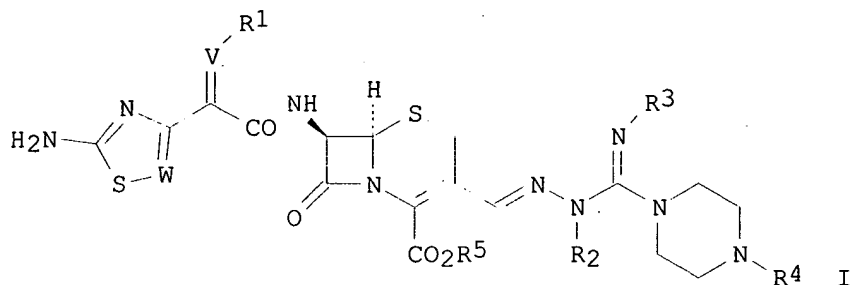
1 REFERENCES IN FILE CA (1967 TO DATE)
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REFERENCE 1: 129:275783 synthesis of antibacterial substituted acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher, Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, Prepared by M. Hale 308-4258 Page 66

BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English).
 CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



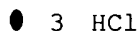
AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHNH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 8 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 214055-78-4 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[4-[(2R)-2-amino-1-oxopropyl]-1-piperazinyl]iminomethyl]hydrazono]methyl]-7-[[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-8-oxo-, trihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH
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 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry as described by E or Z.

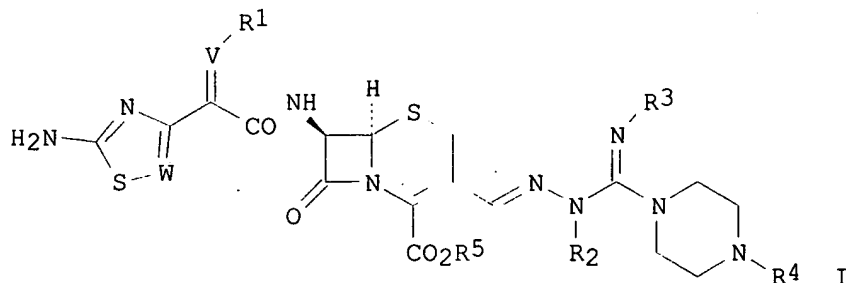


1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

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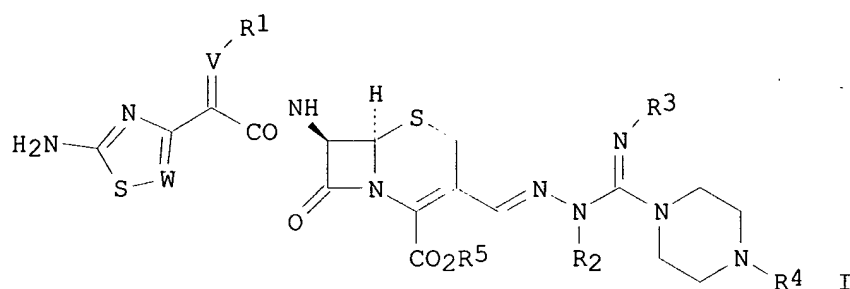


REFERENCE 1: 129:275783 synthesis of antibacterial substituted acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 10 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-76-2 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[[(2Z)-(5-amino-1,2,4-thiadiazol-3-yl)](fluoromethoxy)imino]acetyl]amino

]-3-[[[imino[4-(3,4,5-trimethoxybenzoyl)-1-piperazinyl]methyl]hydrazono]methyl]-8-oxo-, dihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C28 H32 F N11 O9 S2 . 2 C1 H

SR CA

LC STN Files: CA, CAPLUS

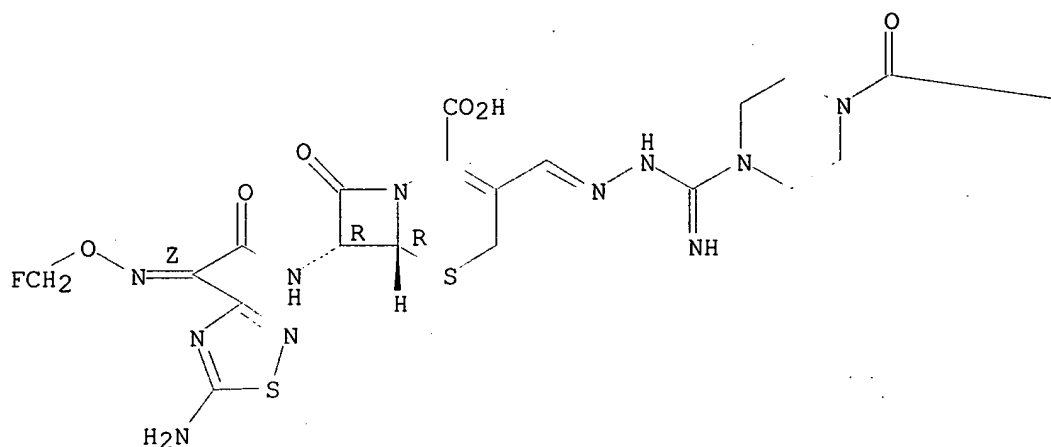
Absolute stereochemistry.

Prepared by M. Hale 308-4258

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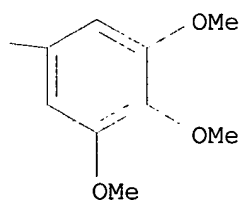
Double bond geometry as described by E or Z.

PAGE 1-A



• 2 HCl

PAGE 1-B



1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted
acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

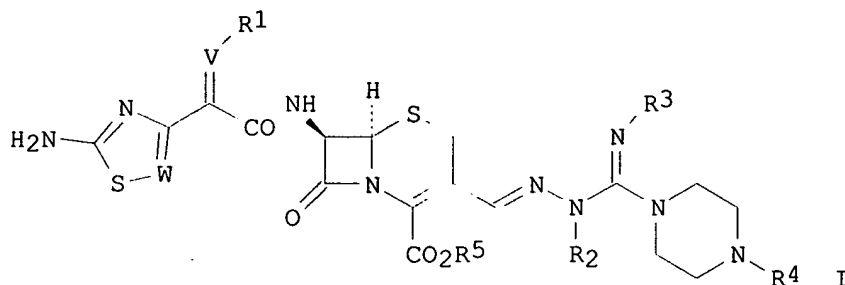
Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English)

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Page 71

CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 11 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-75-1 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-[[[imino[4-(3,4,5-trimethoxybenzoyl)-1-piperazinyl]methyl]hydrazono]methyl]-8-oxo-, dihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

ES STEREOSEARCH

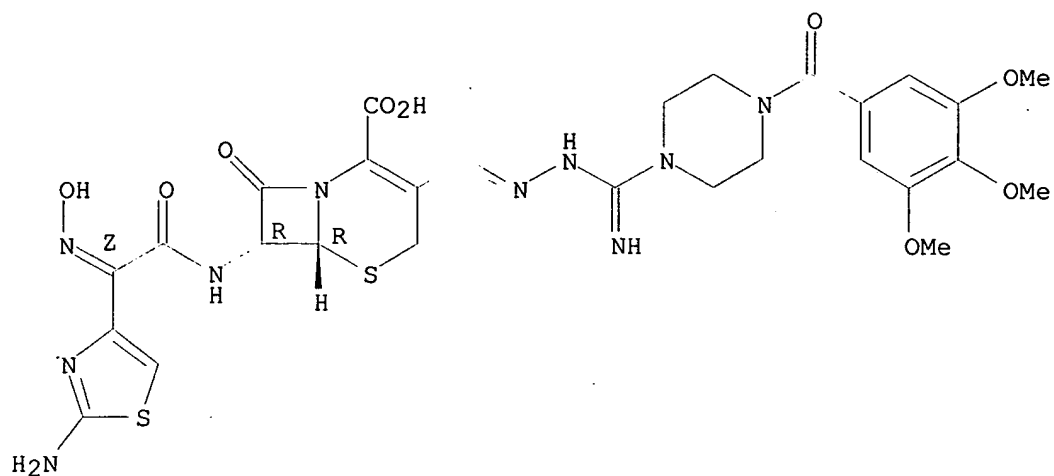
MF C28 H32 N10 O9 S2 . 2 Cl H

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry as described by E or Z.



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)

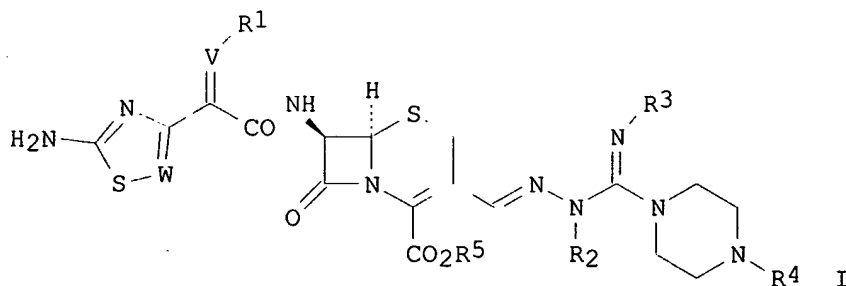
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



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L3 ANSWER 12 OF 148  REGISTRY  COPYRIGHT 2000 ACS
RN 214055-74-0  REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-[[[4-
(cyclopropylcarbonyl)-1-piperazinyl]iminomethyl]hydrazono]methyl]-8-oxo-,
dihydrochloride, (6R,7R)- (9CI)  (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H26 N10 O6 S2 . 2 Cl H
SR CA
LC STN Files:  CA, CAPLUS
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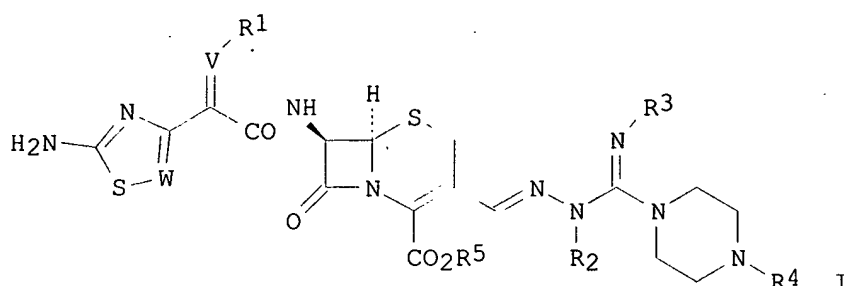
The image displays two chemical structures. The structure on the left is a thiazole-4-carboxamide derivative. It features a thiazole ring substituted with an amino group (H₂N) and a hydroxyl group (OH). The thiazole ring is connected to a carboxamide group (NH-C(=O)-Z), where Z is a variable group. The structure on the right is a guanidine derivative. It consists of a guanidine core (N=C(NH)-N) linked to a piperidine ring, which is further substituted with a cyclopropyl group.

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

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Ascher,

GI



L3 ANSWER 13 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-73-9 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-[[[4-(3-
carboxy-1-oxopropyl)-1-piperazinyl]iminomethyl]hydrazono]methyl]-8-oxo-,
dihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

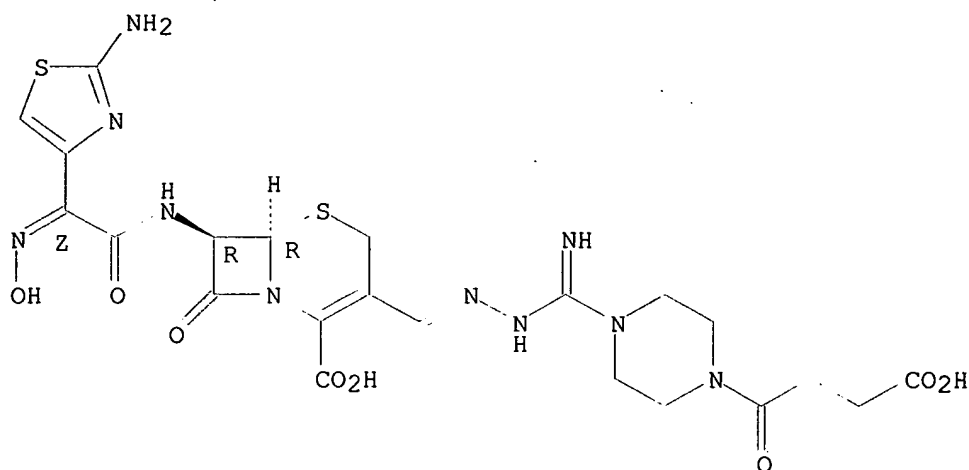
MF C22 H26 N10 O8 S2 . 2 C1 H

SR. CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry as described by E or Z.



● 2 HCl

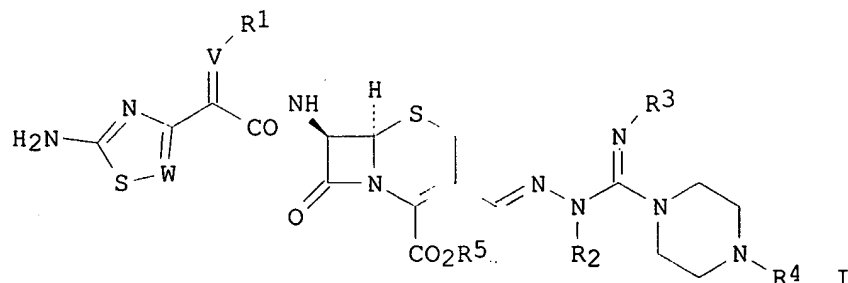
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted
acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



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Page 76

AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHHN2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 14 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-72-8 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-[[[4-

(hydrazinoiminomethyl)-1-piperazinyl]iminomethyl]hydrazono]methyl]-8-oxo-, trihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

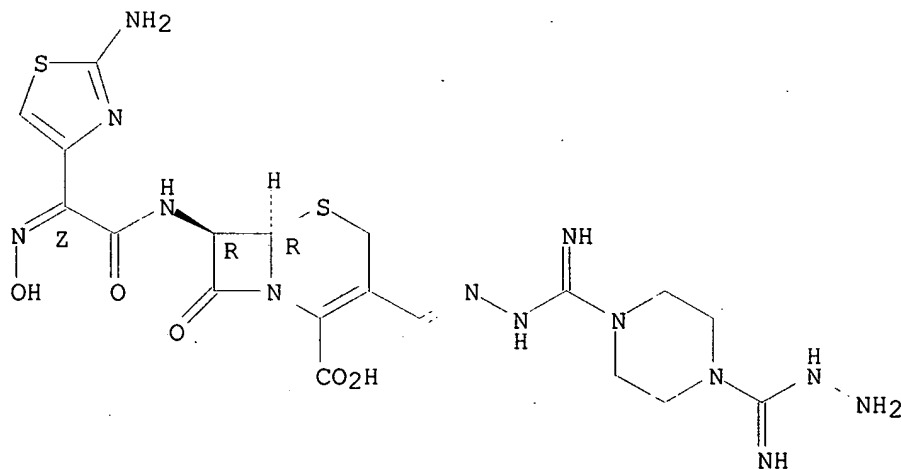
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SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry as described by E or Z.



● 3 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)

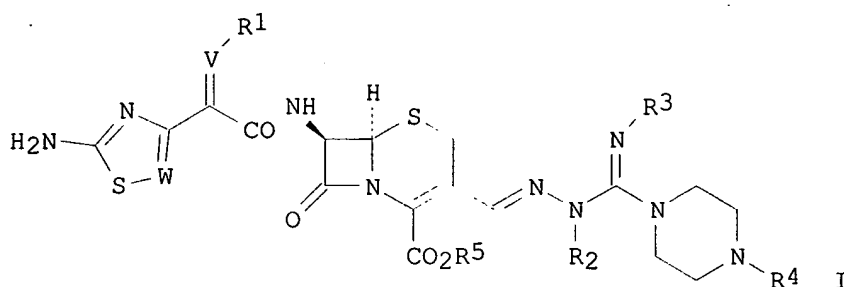
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

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Ascher,

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L3 ANSWER 15 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-71-7 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[(2Z)-(5-amino-1,2,4-thiadiazol-3-yl) [(fluoromethoxy)imino]acetyl]amino
]-3-[[[[[4-[[[3-(dimethylamino)propyl]amino] (ethylimino)methyl]-1-
piperazinyl]iminomethyl]hydrazono]methyl]-8-oxo-, trihydrochloride,
(6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C26 H39 F N14 O5 S2 . 3 C1 H

SR CA

LC STN Files: CA, CAPLUS

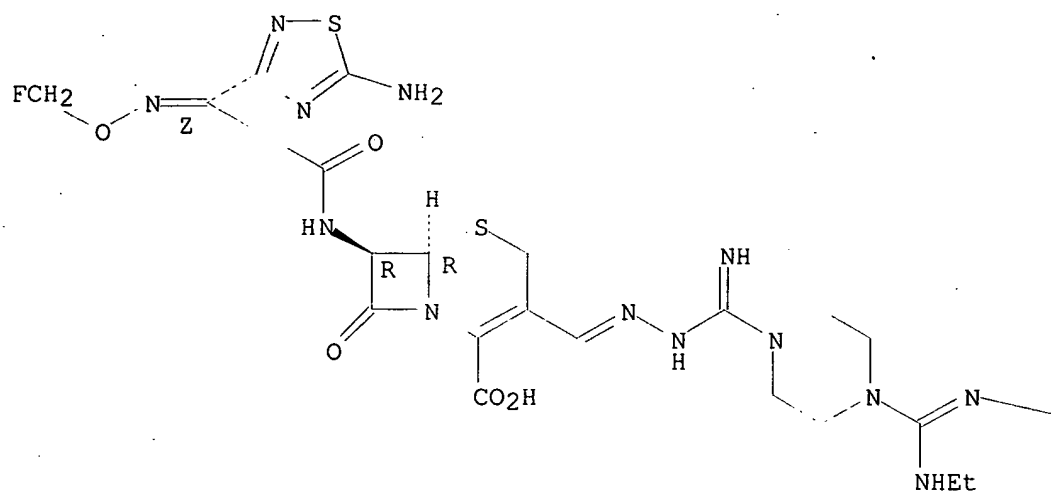
Absolute stereochemistry.

Prepared by M. Hale 308-4258

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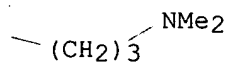
Double bond geometry as described by E or Z.

PAGE 1-A



• 3 HCl

PAGE 1-B



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

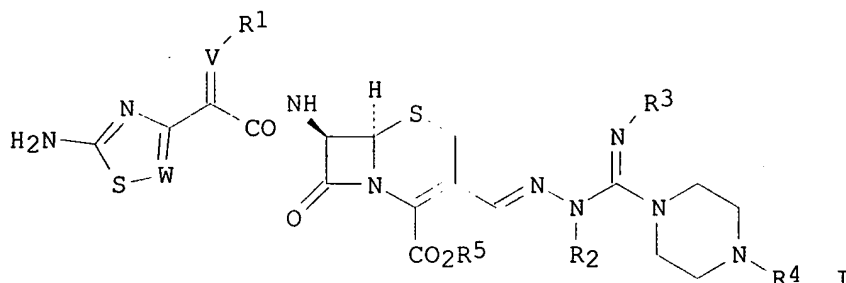
REFERENCE 1: 129:275783 synthesis of antibacterial substituted
acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Lydescher, Johannes; Hildebrandt,
Prepared by M. Hale 308-4258 Page 79

Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHNH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 16 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-70-6 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[(2Z) - (5-amino-1,2,4-thiadiazol-3-yl) [(fluoromethoxy) imino] acetyl] amino

] -3-[[[imino[4-(iminohydrazinomethyl)-1-piperazinyl]methyl]hydrazono]methyl]-8-oxo-, trihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

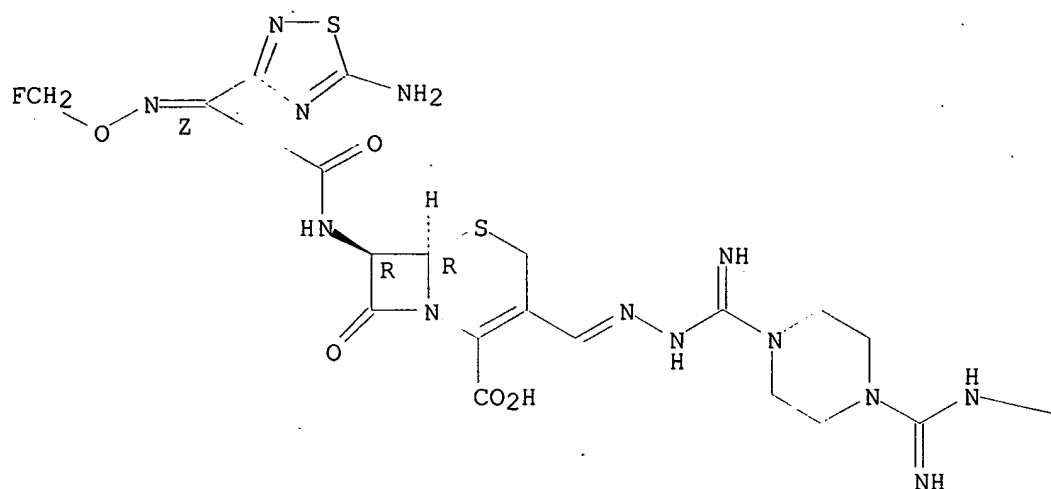
MF C19 H25 F N14 O5 S2 . 3 Cl H

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry as described by E or Z.



3 HCl

 NH_2

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

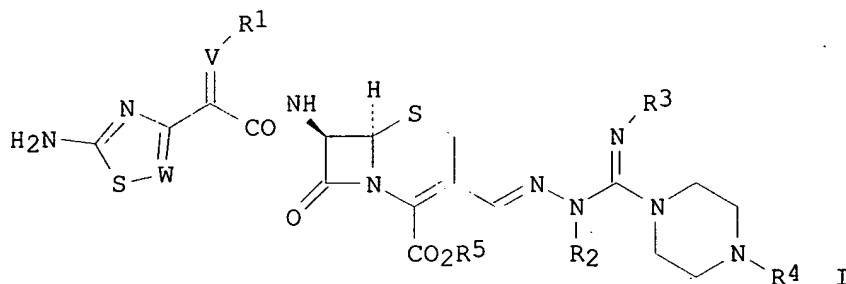
Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1
Prepared by M. Hale 308-4258 Page 8

Prepared by M. Hale 308-4258

Page 81

19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHNH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 17 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 214055-69-3 REGISTRY
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 FS STEREOSEARCH
 MF C26 H29 F N12 O7 S2 . 3 Cl H
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry as described by E or Z.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

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PAGE 1-B

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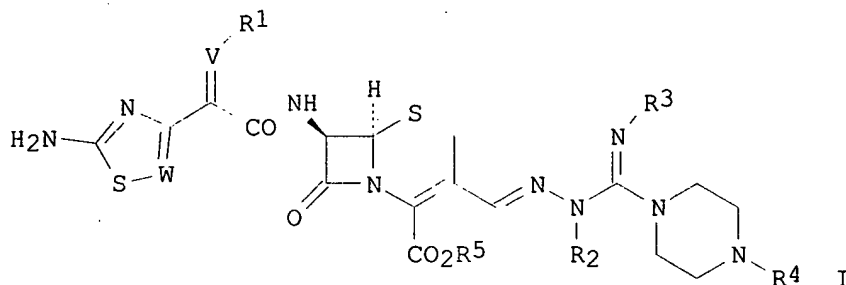
REFERENCE 1: 129:275783 synthesis of antibacterial substituted acylamino(methylhydrazono)methylcephalosporins and intermediates.

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, Prepared by M. Hale 308-4258 Page 85

Page 85

BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

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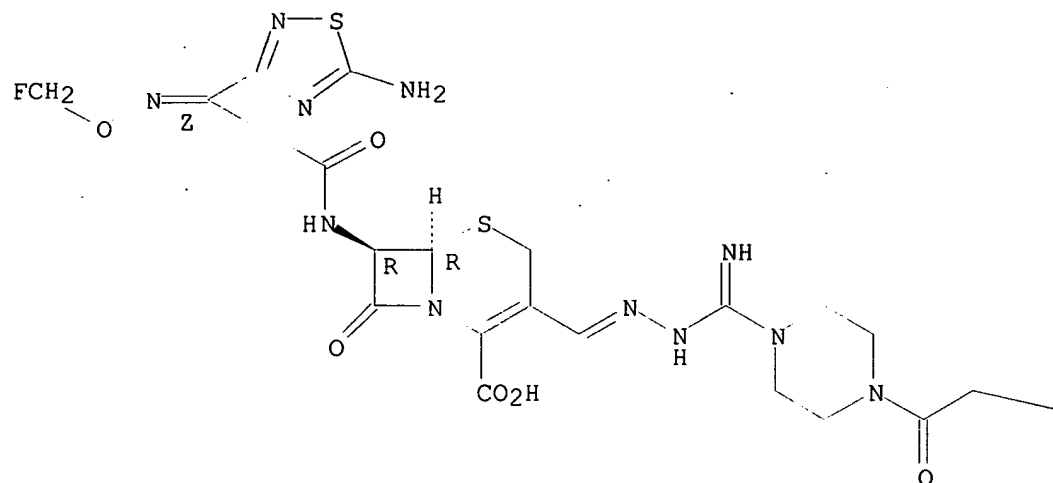
AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 19 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 214055-67-1 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[4-(aminoacetyl)-1-piperazinyl]iminomethyl]hydrazono]methyl]-7-[[(2Z)- (5-amino-1,2,4-thiadiazol-3-yl)[(fluoromethoxy)imino]acetyl]amino]-8-oxo-, trihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH
MF C20 H25 F N12 O6 S2 . 3 Cl H
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as described by E or Z.



● 3 HCl

NH₂

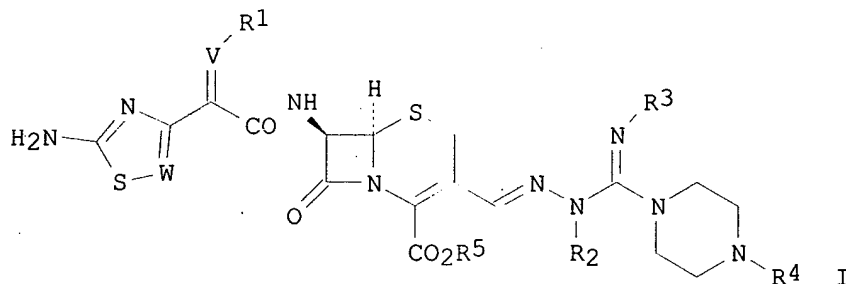
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REFERENCE 1: 129:275783 synthesis of antibacterial substituted
acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt,
Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1
Prepared by M. Hale 308-4258

GI



AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHHN2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 20 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 214055-66-0 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid.

7-[[[(2Z)-[5-amino-1,2,4-thiadiazol-3-yl][(fluoromethoxy)imino]acetyl]amino
]-3-[[[imino[4-[(2S)-2-pyrrolidinylcarbonyl]-1-
piperazinyl]methyl]hydrazono]methyl]-8-oxo-, trihydrochloride, (6R,7R)-
(9CI) (CA INDEX NAME)

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FS      STEREOSEARCH
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SR      CA
LC      STN Files:    CA, CAPLUS

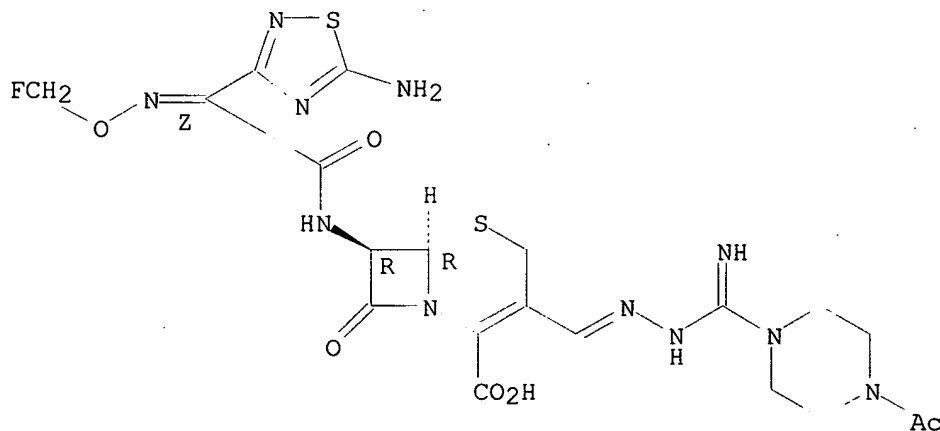
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Absolute stereochemistry.
Double bond geometry as described by E or Z.

AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHHH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 21 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 214055-65-9 REGISTRY
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 FS STEREOSEARCH
 MF C20 H24 F N11 O6 S2 . 2 Cl H
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry as described by E or Z.



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

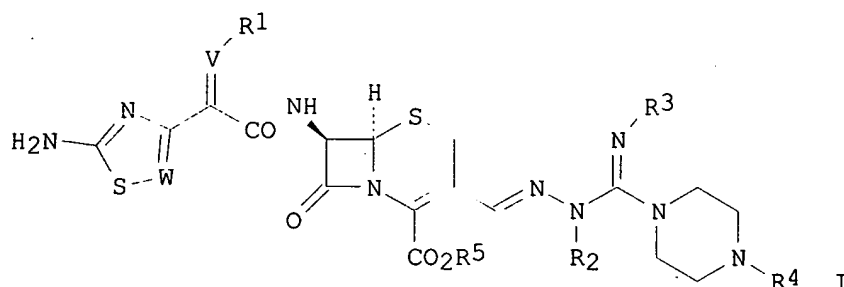
REFERENCE 1: 129:275783 synthesis of antibacterial substituted acylamino(methylhydrazono)methylcephalosporins and intermediates. Ascher,

Prepared by M. Hale 308-4258

Page 90

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHNH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prep'd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 22 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-64-8 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[4-[2-(acetyloxy)benzoyl]-1-piperazinyl]iminomethyl]hydrazono]methyl]-

7-[[[(2Z)-(5-amino-1,2,4-thiadiazol-3-yl)](fluoromethoxy)imino]acetyl]amino
]-8-oxo-, dihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

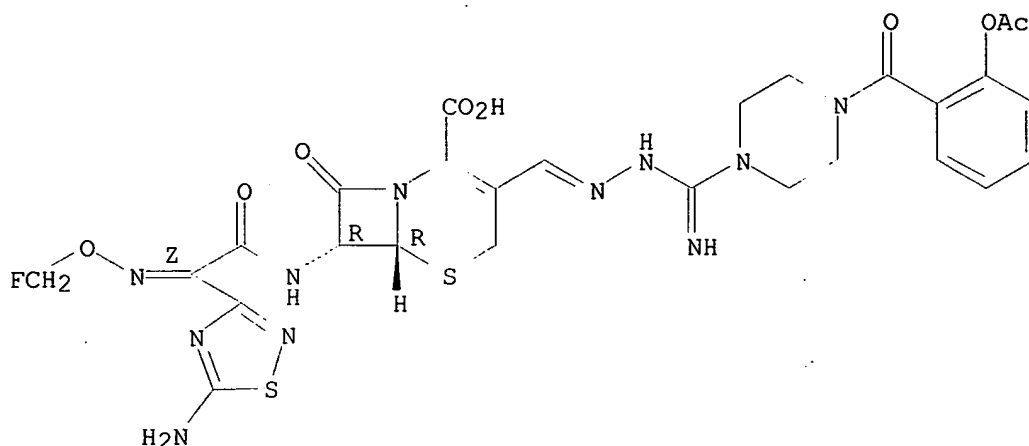
MF C27 H28 F N11 O8 S2 . 2 C1 H

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry as described by E or Z.



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)

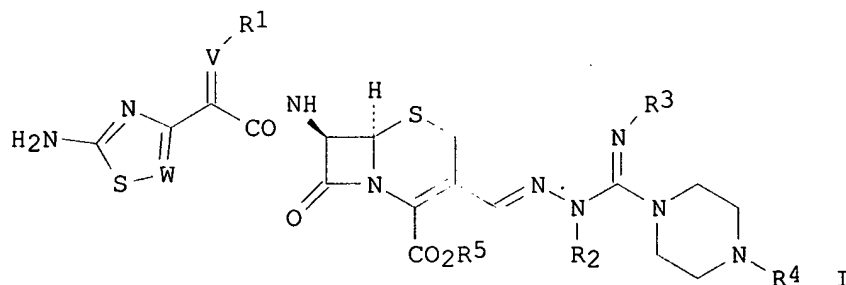
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



Prepared by M. Hale 308-4258

Page 92

AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHNH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

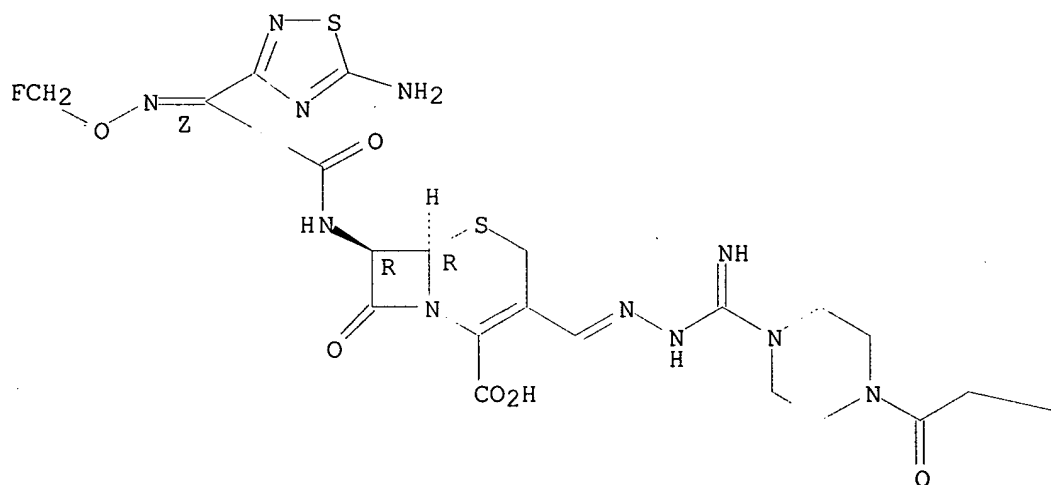
L3 ANSWER 23 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 214055-63-7 REGISTRY
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7-[[[(2Z)-(5-amino-1,2,4-thiadiazol-3-yl){[(fluoromethoxy)imino]acetyl]amino]-3-[[[imino[4-(phenoxyacetyl)-1-piperazinyl]methyl]hydrazono]methyl]-8-oxo-, dihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH
 MF C26 H28 F N11 O7 S2 . 2 Cl H
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry as described by E or Z.

PAGE 1-A



● 2 HCl

—OPh

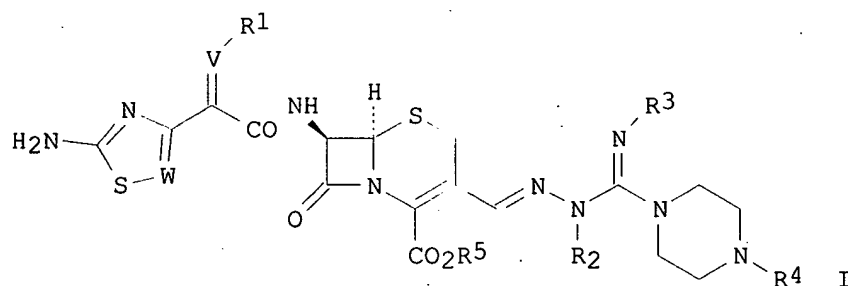
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1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted
acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

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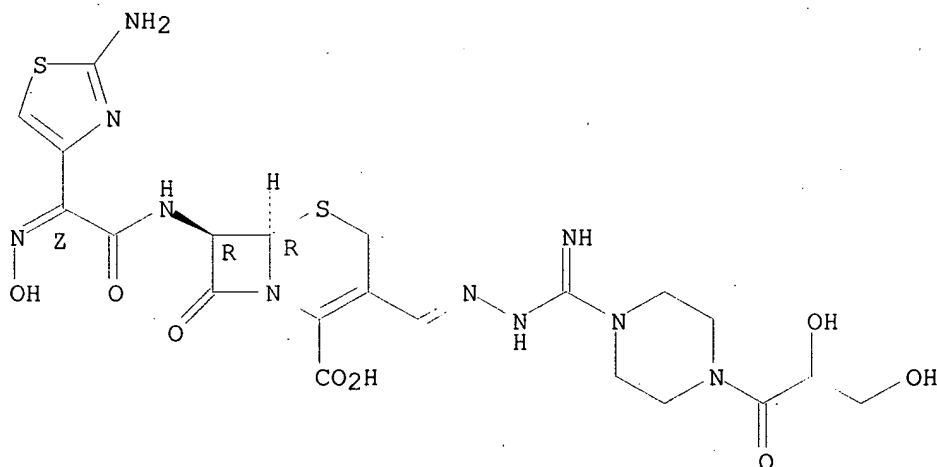


AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHNH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, Prepared by M. Hale 308-4258 Page 94

alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 24 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 214055-62-6 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 7-[[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-[[[4-(2,3-dihydroxy-1-oxopropyl)-1-piperazinyl]iminomethyl]hydrazono]methyl]-8-oxo-,
 dihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C21 H26 N10 O8 S2 . 2 Cl H
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry as described by E or Z.



● 2 HCl

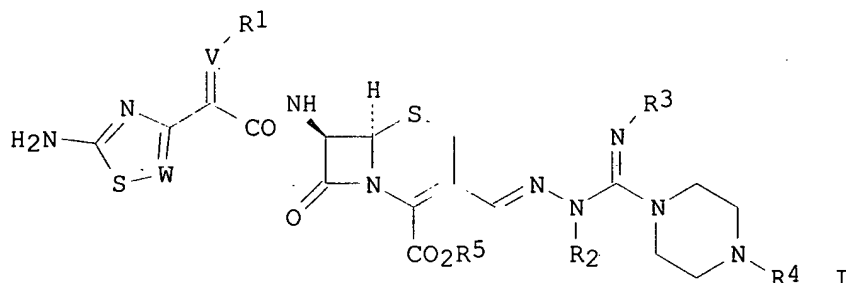
1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted
 acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,
 Gerd; Wieser, Josef; Schranz, Michael; Lydescher, Johannes; Hildebrandt,
 Prepared by M. Hale 308-4258 Page 95

Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHNH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 25 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-61-5 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-[[[imino[4-(1-oxooctyl)-1-piperazinyl]methyl]hydrazono]methyl]-8-oxo-, dihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

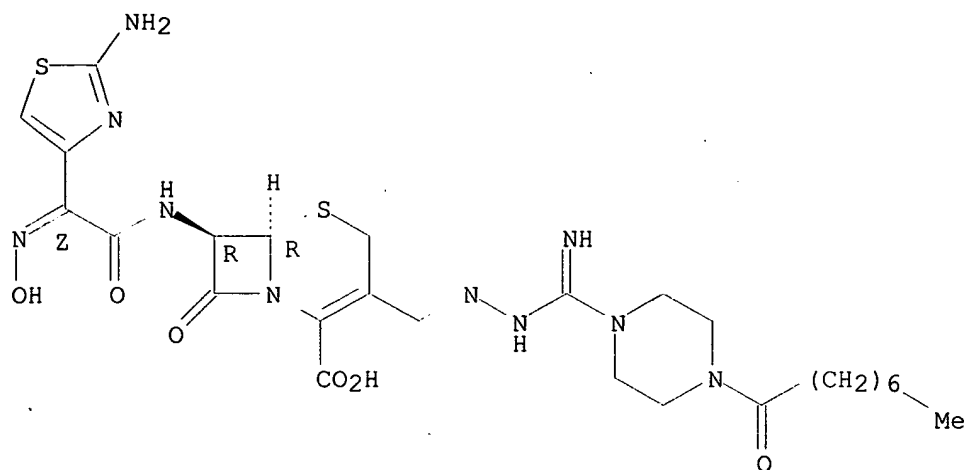
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SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry as described by E or Z.



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)

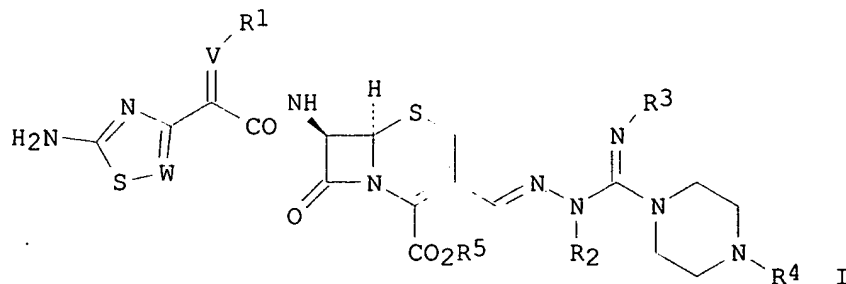
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



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Page 97

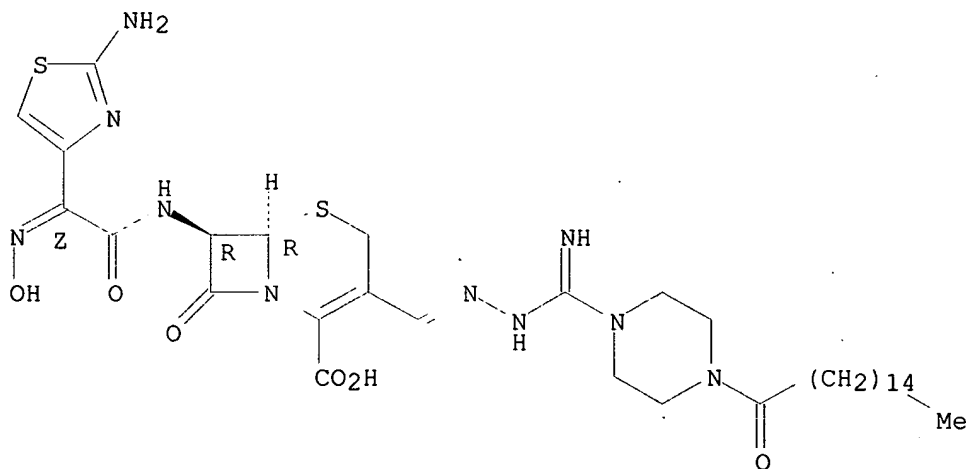
AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHHH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 26 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 214055-60-4 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-[[[imino[4-(1-oxohexadecyl)-1-piperazinyl]methyl]hydrazono]methyl]-8-oxo-, dihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH
 MF C34 H52 N10 O6 S2 . 2 Cl H
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry as described by E or Z.



● 2 HCl

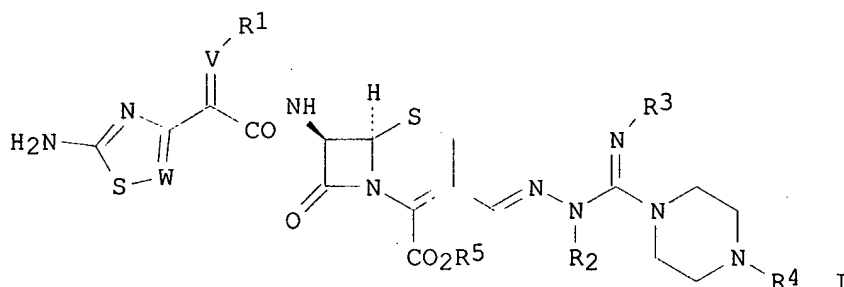
1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

Prepared by M. Hale 308-4258

Page 98

Ascher,

GI

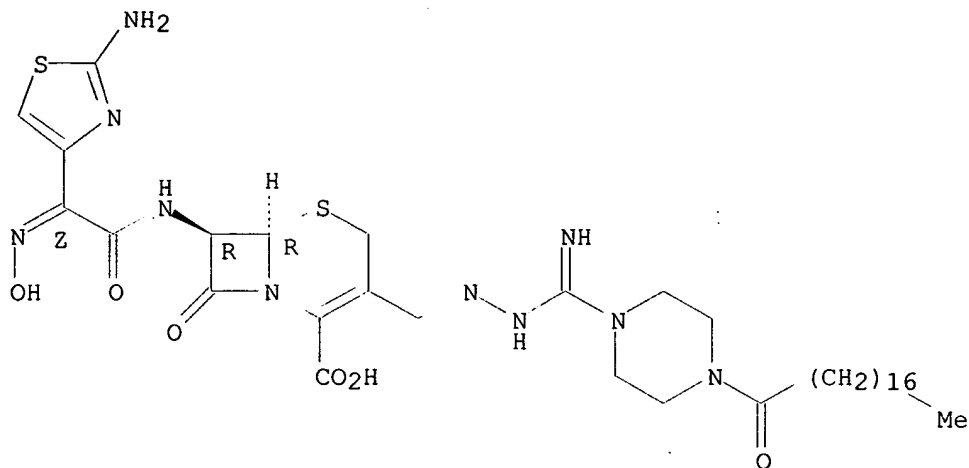


L3 ANSWER 27 OF 148 REGISTRY COPYRIGHT 2000 ACS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

LC STN Files: CA, CAPLUS

Double bond geometry as described by E or Z.
Prepared by M. Hale 308-4258



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)

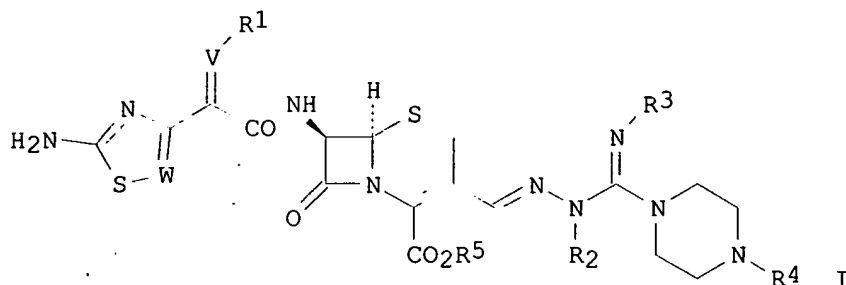
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHHH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 28 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-58-0 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[(2Z)-(2-amino-4-thiazolyl) (hydroxyimino) acetyl] amino]-3-[[[imino[4-(1-oxoheptyl)-1-piperazinyl]methyl]hydrazono]methyl]-8-oxo-, dihydrochloride,

(6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

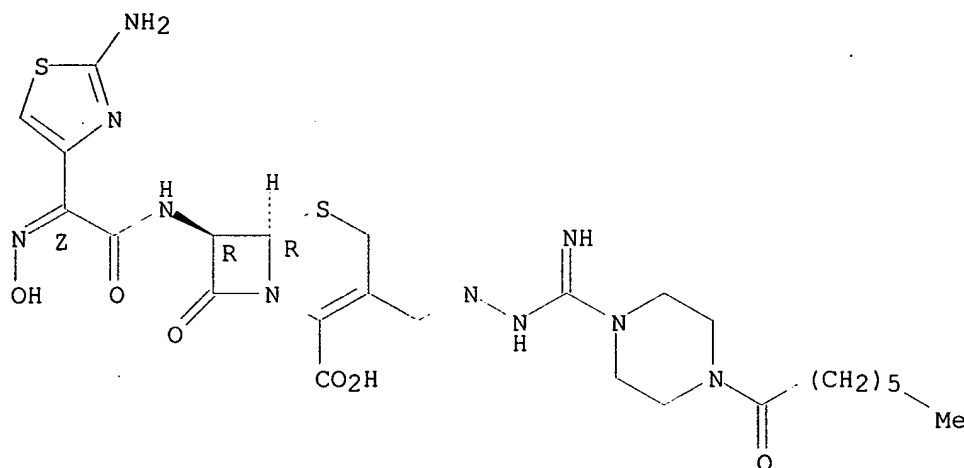
MF C25 H34 N10 O6 S2 . 2 Cl H

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry as described by E or Z.



● 2 HCl

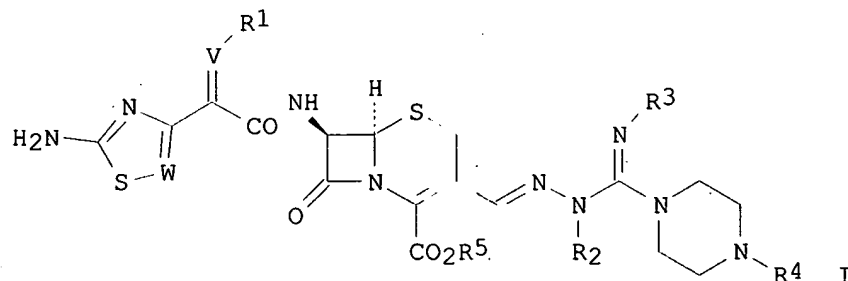
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted
acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

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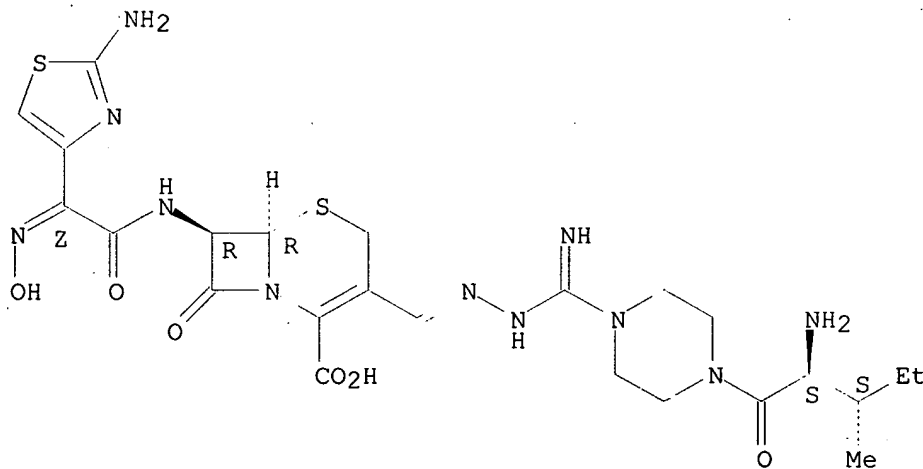
Prepared by M. Hale 308-4258

Page 102

AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 29 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 214055-57-9 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[4-[(2S,3S)-2-amino-3-methyl-1-oxopentyl]-1-piperazinyl]iminomethyl]hydrazono]methyl]-7-[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-8-oxo-, trihydrochloride, (6R,7R)-(9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C24 H33 N11 O6 S2 . 3 Cl H
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry as described by E or Z.



● 3 HCl

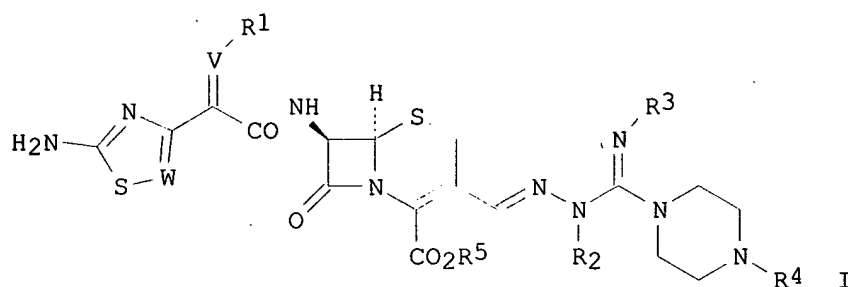
1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

Prepared by M. Hale 308-4258

Page 103

Ascher,

GI



L3 ANSWER 30 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-56-8 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
3-[[[4-[(2S)-2-amino-4-carboxy-1-oxobutyl]-1-
piperazinyl]iminomethyl]hydrazono]methyl]-7-[[(2Z)-(2-amino-4-
thiazolyl) (hydroxyimino)acetyl]amino]-8-oxo-, dihydrochloride, (6R,7R)-
(9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C23 H29 N11 O8 S2 . 2 Cl H

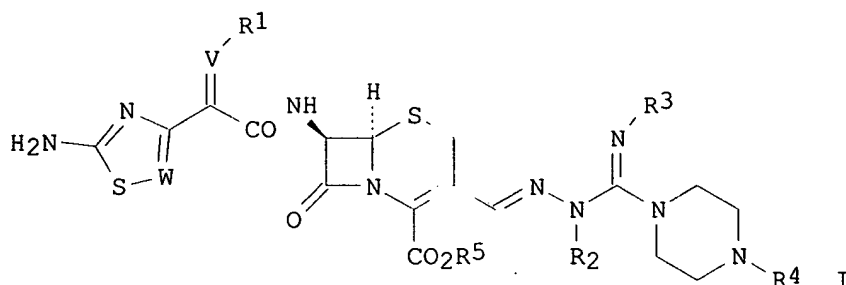
SR	CA
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LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry as described by E or Z. Prepared by M. Hale 308-4258

Page 104



AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHHN2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 31 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-55-7 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
3-[[[4-[(2S)-2-amino-5-[(aminoiminomethyl)amino]-1-oxopentyl]-1-
piperazinyl]iminomethyl]hydrazono]methyl]-7-[[(2Z)-(2-amino-4-
thiazolyl) (hydroxyimino) acetyl]amino]-8-oxo-, tetrahydrochloride,
(6R,7R)-

(9CI) (CA INDEX NAME)

FS STEREOSEARCH

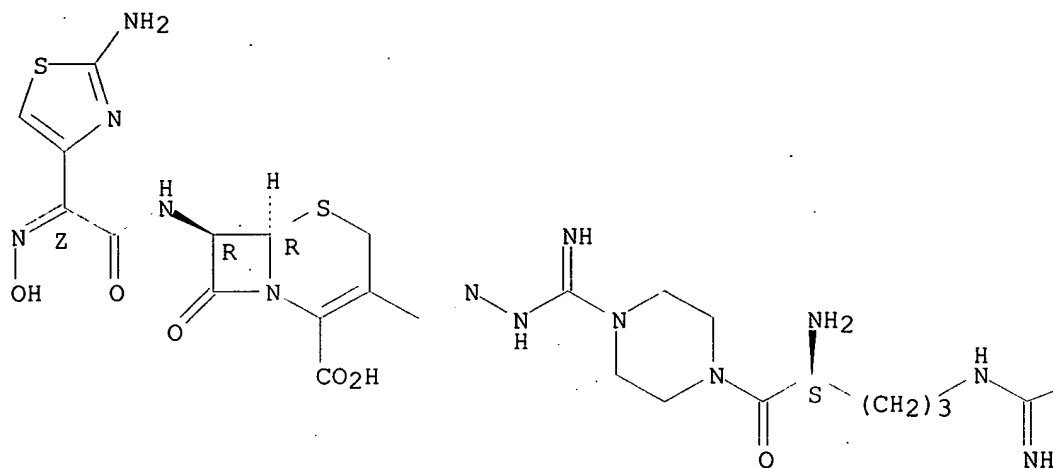
MF C24 H34 N14 O6 S2 . 4 C1 H

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry as described by E or Z.



● 4 HCl

—NH₂

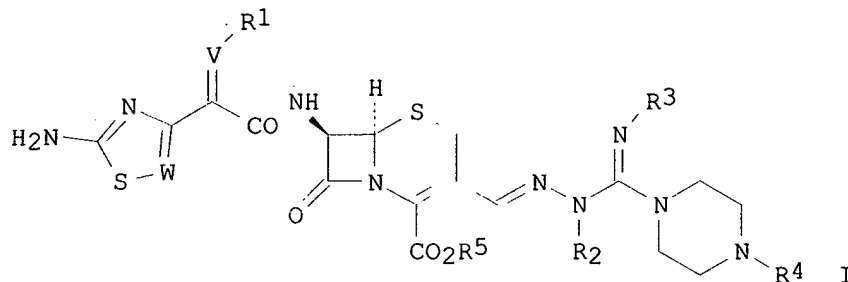
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted
acylamino(methylhydrazono)methylcephalosporins and intermediates.
Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt,
Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1
19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG,
BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU,
ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG,
Prepared by M. Hale 308-4258 Page 107

MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHHH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 32 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-54-6 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-[[[4-(hydroxyacetyl)-1-piperazinyl]iminomethyl]hydrazono]methyl]-8-oxo-, dihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

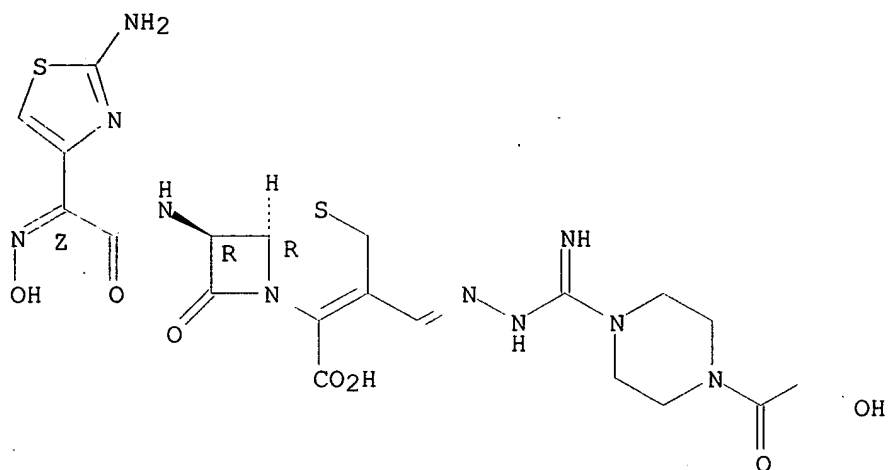
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SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry as described by E or Z.



• 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)

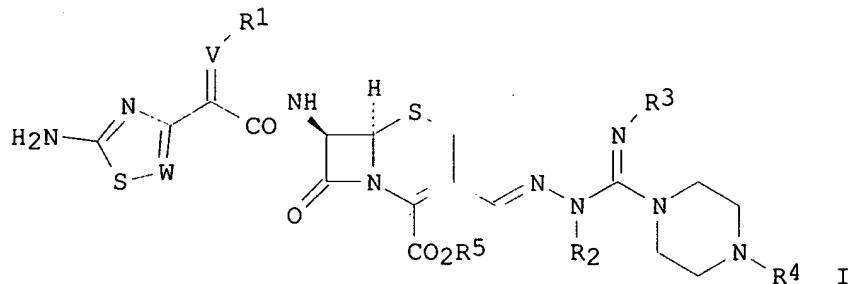
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

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Prepared by M. Hale 308-4258

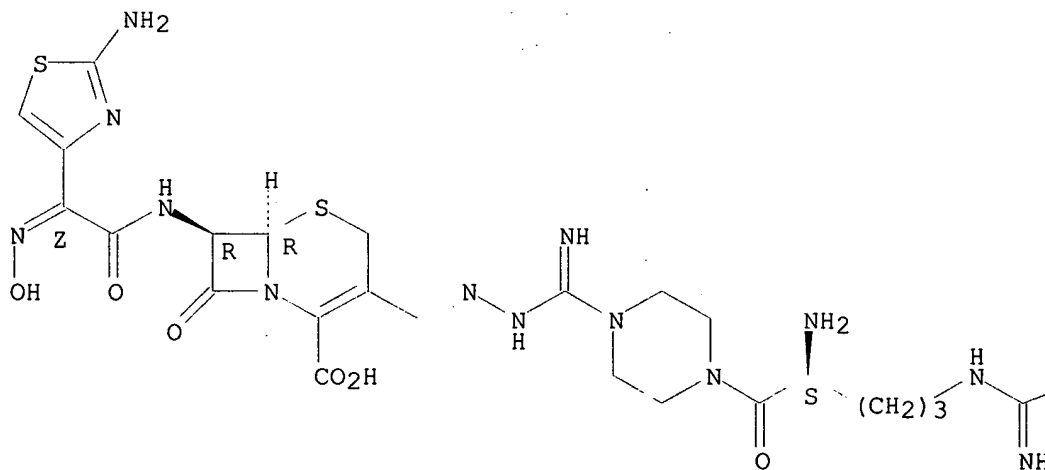
Page 109

AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHHH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

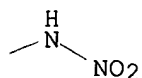
L3 ANSWER 33 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 214055-53-5 REGISTRY
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 FS STEREOSEARCH
 MF C24 H33 N15 O8 S2 . 3 Cl H
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry as described by E or Z.

PAGE 1-A



● 3 HCl



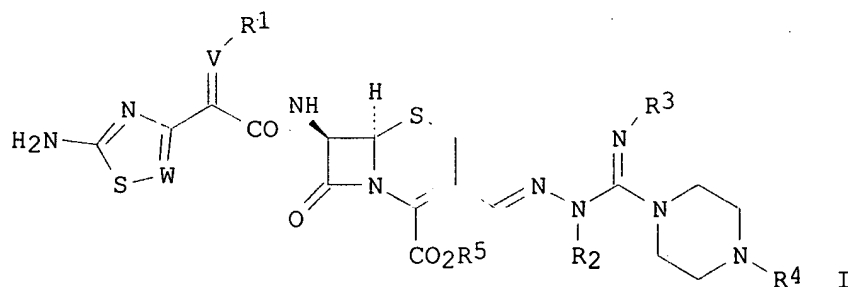
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted
acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



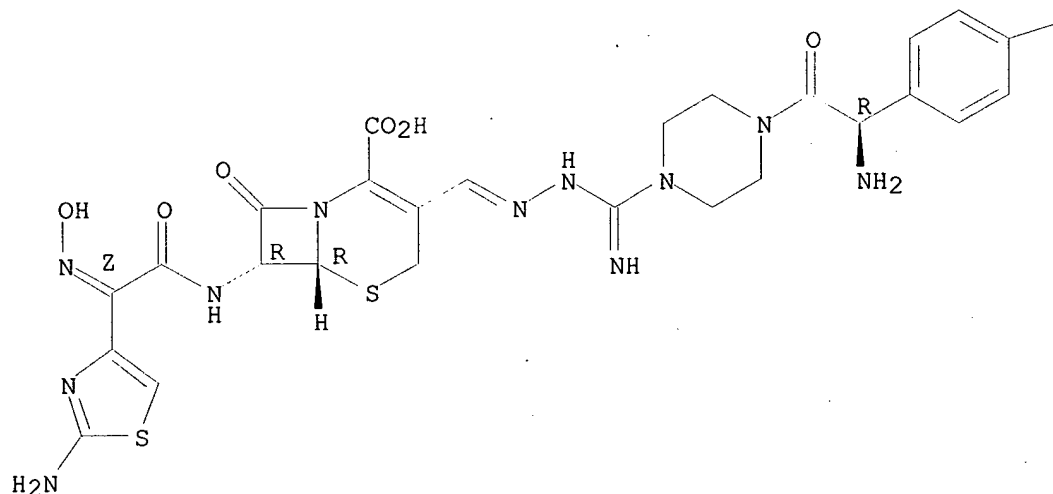
AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, Prepared by M. Hale 308-4258 Page 111

NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 34 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 214055-52-4 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[4-[(2R)-amino(4-hydroxyphenyl)acetyl]-1-piperazinyl]iminomethyl]hydrazono]methyl]-7-[[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-8-oxo-, trihydrochloride, (6R,7R)-(9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C26 H29 N11 O7 S2 . 3 Cl H
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry as described by E or Z.

PAGE 1-A



● 3 HCl

PAGE 1-B

—OH

Prepared by M. Hale 308-4258

Page 112

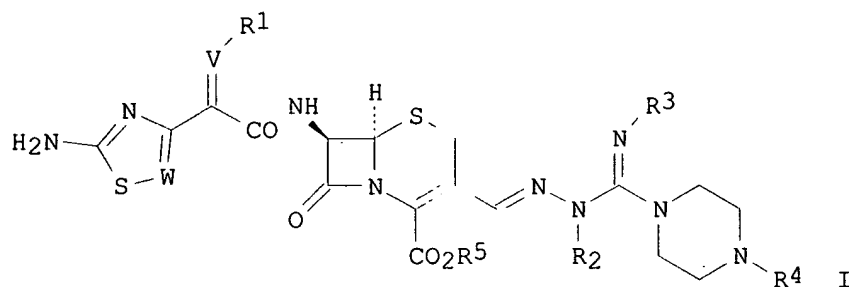
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted
acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHNH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prep'd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 35 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-51-3 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[4-[(2R)-aminophenylacetyl]-1-piperazinyl]iminomethyl]hydrazono]methyl]-7-[[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-8-oxo-, trihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

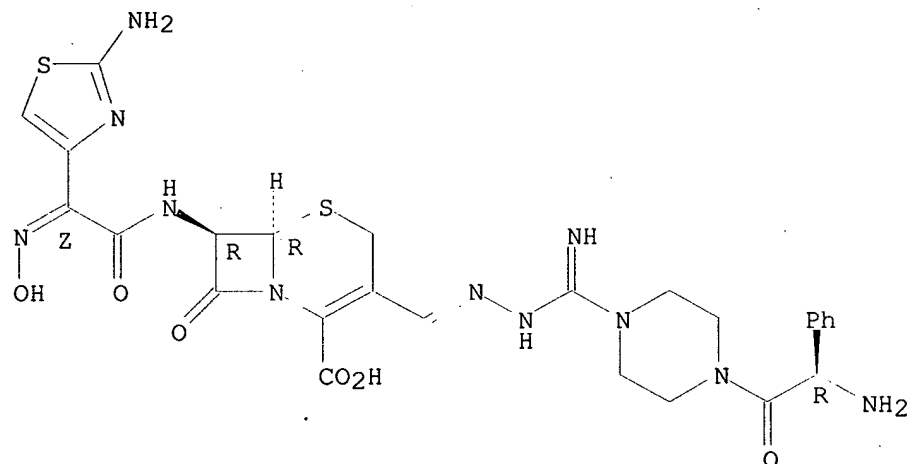
FS STEREOSEARCH

Prepared by M. Hale 308-4258

Page 113

MF C26 H29 N11 O6 S2 . 3 Cl H
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as described by E or Z.



● 3 HCl

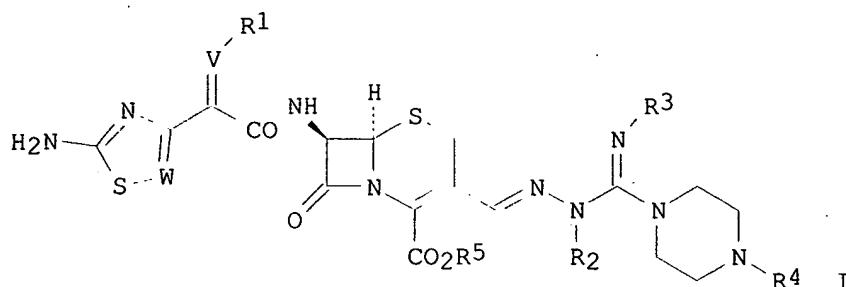
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted
acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI

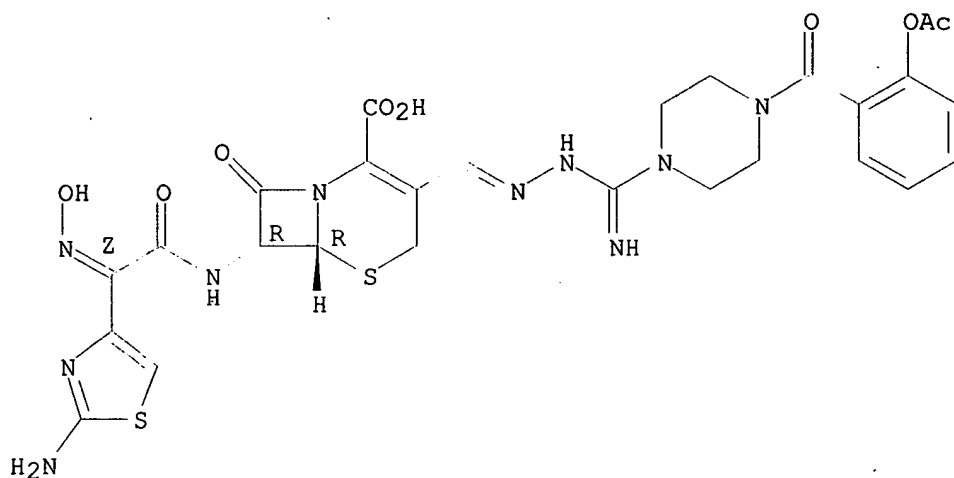


AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 36 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 214055-50-2 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[4-[2-(acetyloxy)benzoyl]-1-piperazinyl]iminomethyl]hydrazono]methyl]-7-[[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-8-oxo-, dihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C27 H28 N10 O8 S2 . 2 Cl H
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry as described by E or Z.



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)

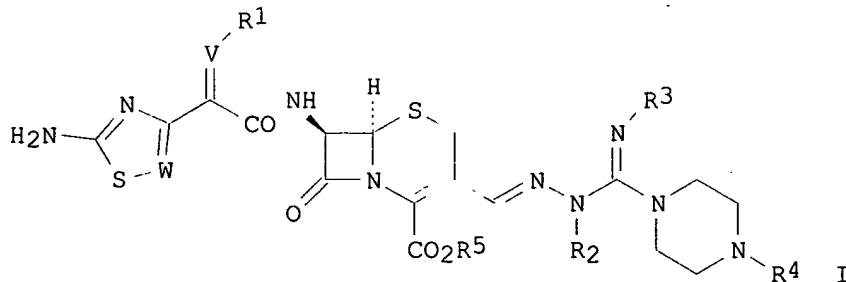
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



Prepared by M. Hale 308-4258

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AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHNH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 37 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-49-9 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-[[[imino[4-

[(2S)-2-pyrrolidinylcarbonyl]-1-piperazinyl]methyl]hydrazono]methyl]-8-oxo-
, trihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

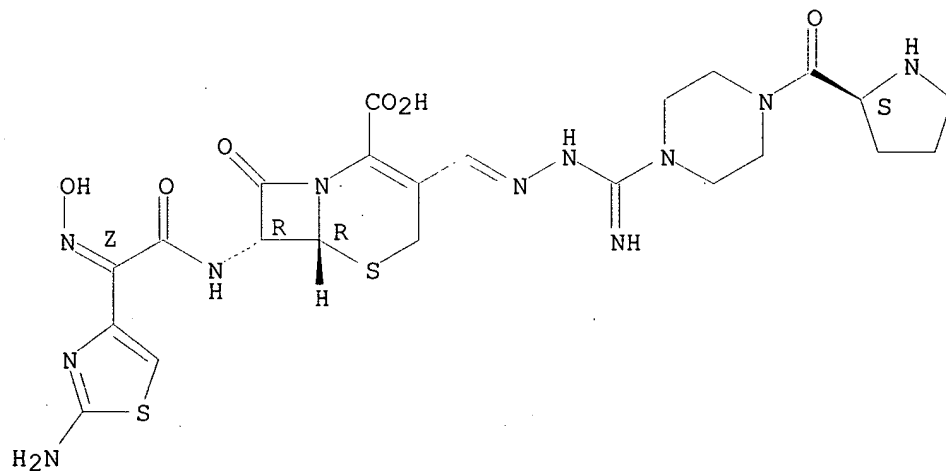
MF C23 H29 N11 O6 S2 . 3 Cl H

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry as described by E or Z.



• 3 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

Prepared by M. Hale 308-4258

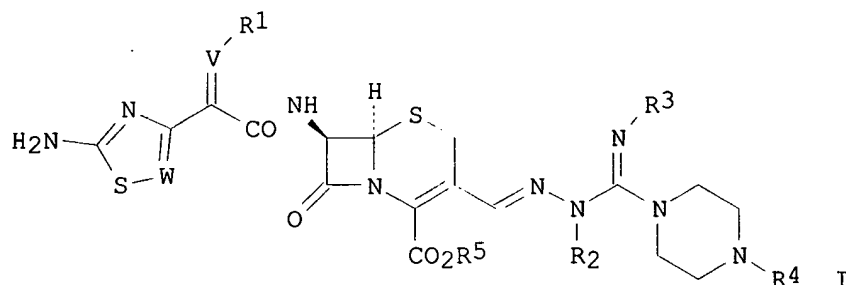
Page 117

REFERENCE 1: 129:275783 synthesis of antibacterial substituted
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Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHNH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prep'd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 38 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-48-8 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-[[[imino[4-(phenoxyacetyl)-1-piperazinyl]methyl]hydrazono]methyl]-8-oxo-, dihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

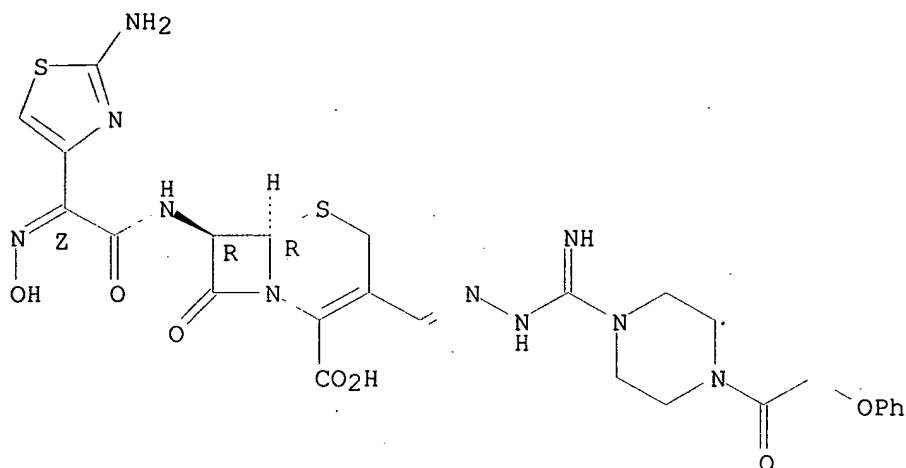
MF C26 H28 N10 O7 S2 . 2 Cl H

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry as described by E or Z.



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)

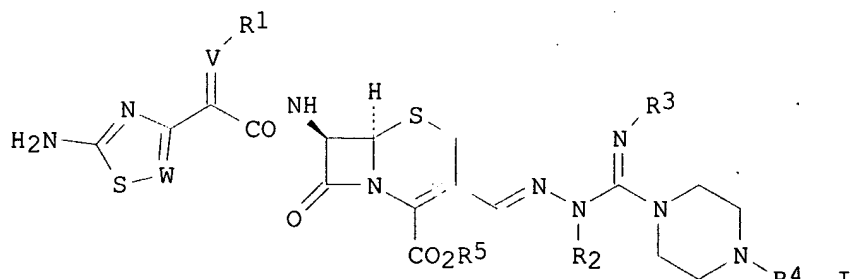
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



Prepared by M. Hale 308-4258

Page 119

AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHNH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 39 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-47-7 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-[[[4-[(dimethylamino)carbonyl]-1-piperazinyl]iminomethyl]hydrazono]methyl]-8-oxo-, dihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

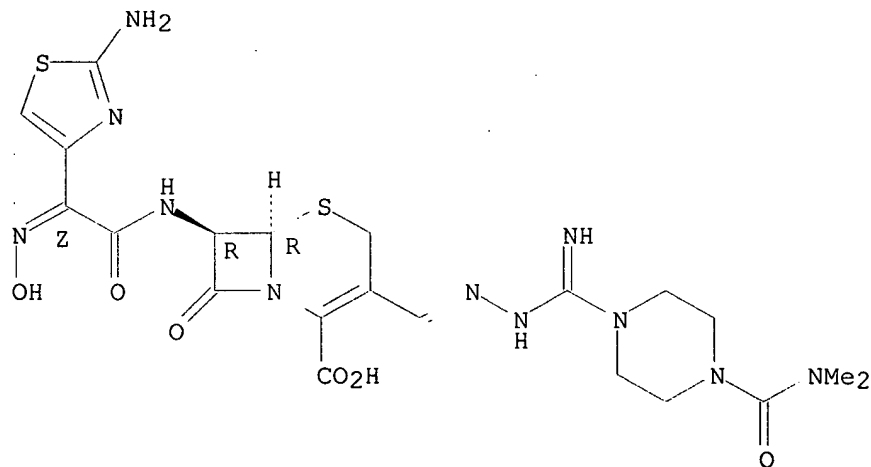
MF C21 H27 N11 O6 S2 . 2 Cl H

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry as described by E or Z.



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)

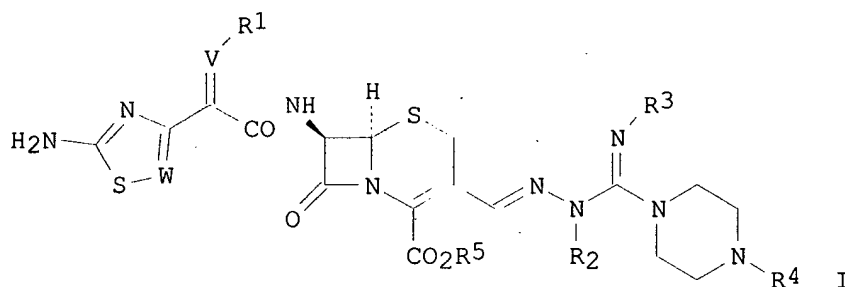
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

Prepared by M. Hale 308-4258

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Ascher,

GI



L3 ANSWER 40 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-46-6 REGISTRY

amino-4-thiazolyl) (hydroxyimino) acetyl]-1-piperazinyl]iminomethyl]hydrazon
o]methyl]-8-oxo-, trihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C23 H25 N13 O7 S3 . 3 Cl H

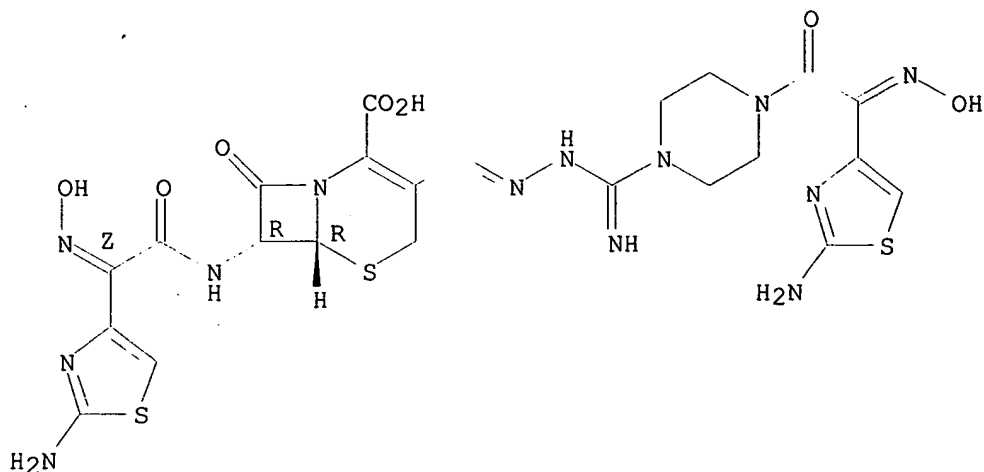
SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry as described by E or Z.
Prepared by M. Hale 308-4258

Page 121



● 3 HCl

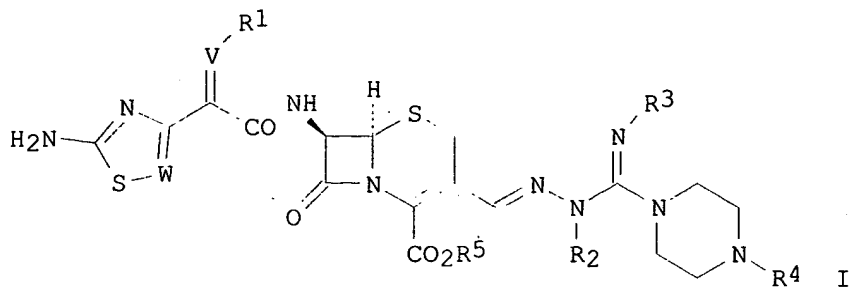
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted
acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHHN2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3. steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 41 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-45-5 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[(2Z)-(2-amino-4-thiazolyl) (hydroxyimino)acetyl]amino]-3-[[[imino[4-(phenylacetyl)-1-piperazinyl]methyl]hydrazono]methyl]-8-oxo-,
dihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

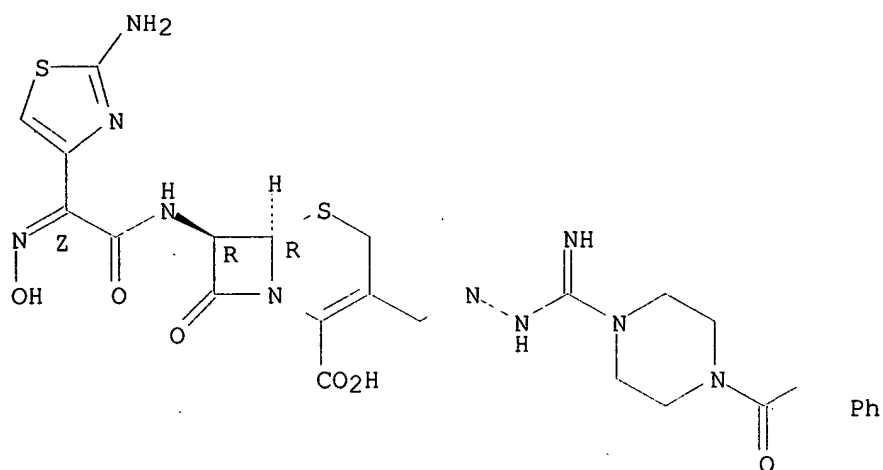
MF C26 H28 N10 O6 S2 . 2 Cl H

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry as described by E or Z.



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)

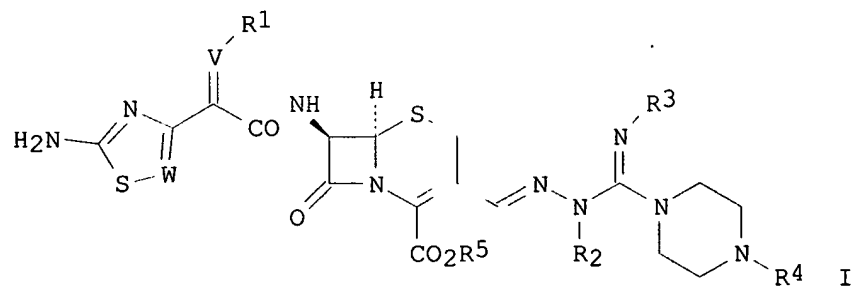
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

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Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

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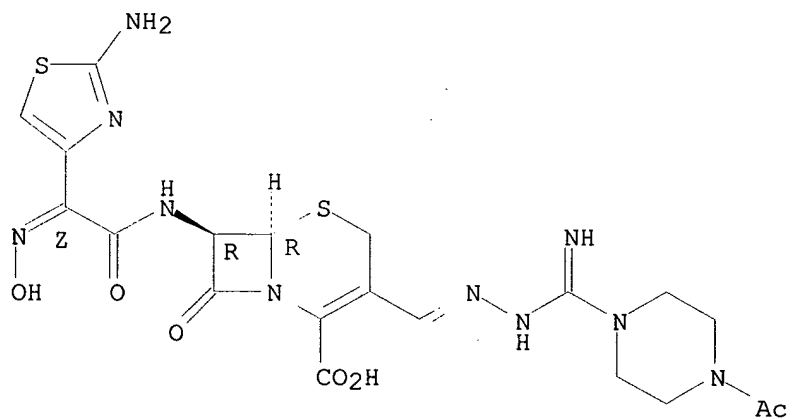
Prepared by M. Hale 308-4258

Page 124

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L3 ANSWER 42 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 214055-44-4 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[(4-acetyl-1-piperazinyl)iminomethyl]hydrazono]methyl]-7-[[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-8-oxo-, dihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C20 H24 N10 O6 S2 . 2 Cl H
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry as described by E or Z.



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

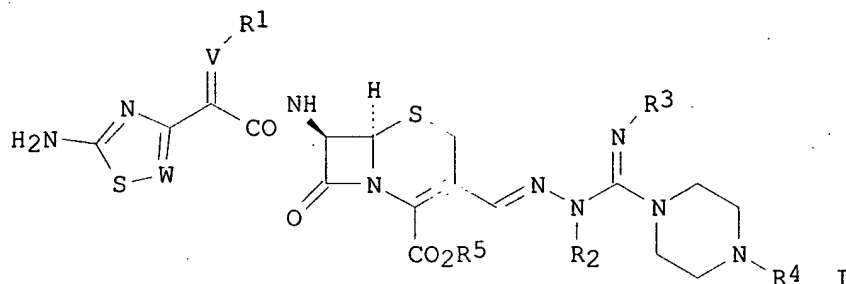
REFERENCE 1: 129:275783 synthesis of antibacterial substituted acylamino(methylhydrazono)methylcephalosporins and intermediates. Ascher,

Prepared by M. Hale 308-4258

Page 125

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



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1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 43 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-43-3 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[(2Z)-(2-amino-4-thiazolyl) (hydroxyimino) acetyl] amino]-3-[[[(4-benzoyl-1-piperazinyl) iminomethyl] hydrazono] methyl]-8-oxo-, dihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

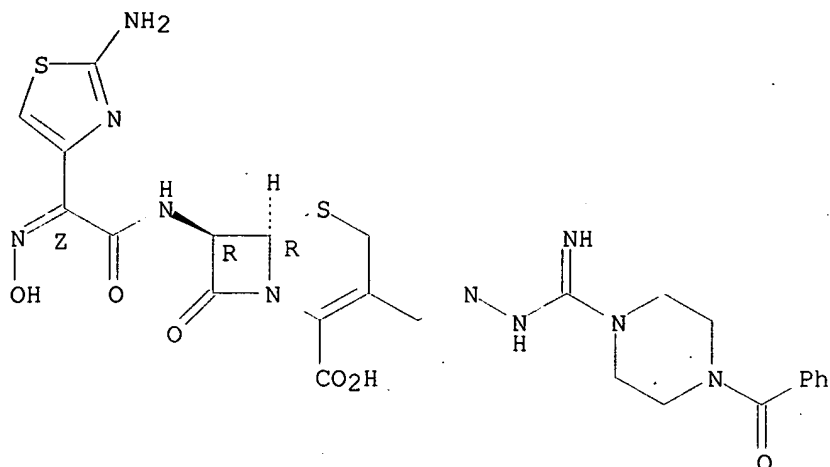
MF C25 H26 N10 O6 S2 . 2 Cl H

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry as described by E or Z.



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)

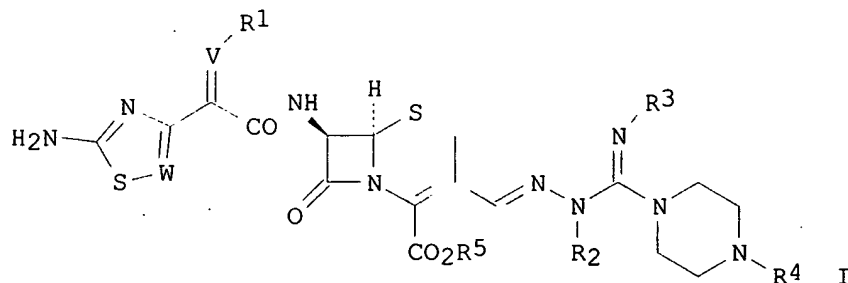
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



Prepared by M. Hale 308-4258

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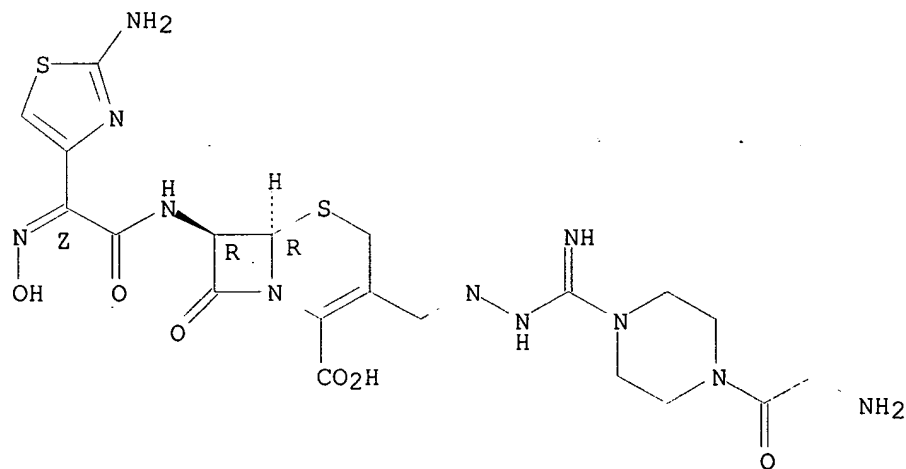
AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHNH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 44 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 214055-42-2 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[4-(aminoacetyl)-1-piperazinyl]iminomethyl]hydrazono]methyl]-7-[[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-8-oxo-, trihydrochloride,
 (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH
 MF C20 H25 N11 O6 S2 . 3 Cl H
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry as described by E or Z.



● 3 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

Prepared by M. Hale 308-4258

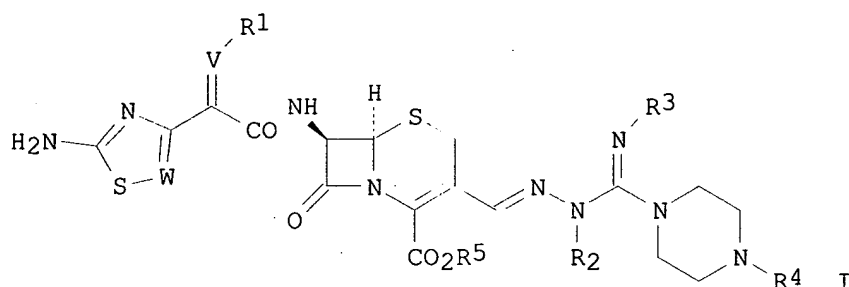
Page 128

REFERENCE 1: 129:275783 synthesis of antibacterial substituted acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHNH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 45 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-41-1 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-[[[4-(ethoxycarbonyl)-1-piperazinyl]iminomethyl]hydrazono]methyl]-8-oxo-, dihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

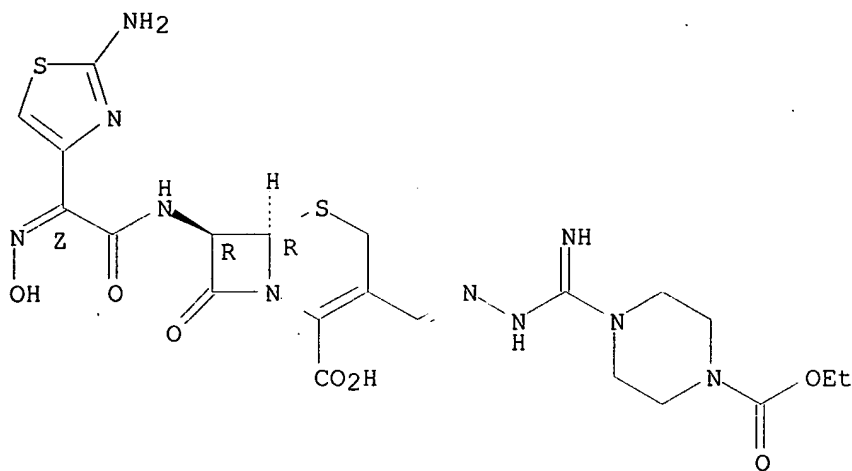
MF C21 H26 N10 O7 S2 . 2 Cl H

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry as described by E or Z.



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)

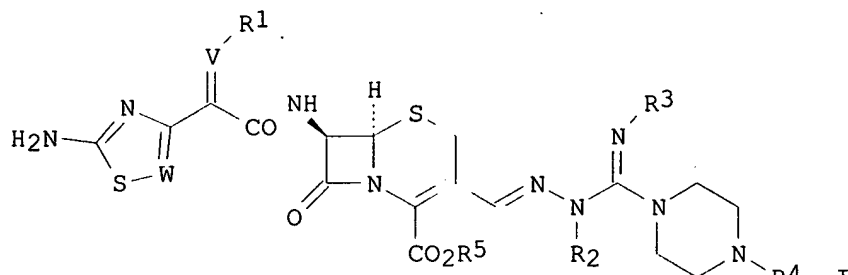
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



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Page 130

AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHNH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prep'd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 46 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-40-0 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[(2Z)-(5-amino-1,2,4-thiadiazol-3-yl) [(fluoromethoxy) imino] acetyl] amino

] -3-[[(imino-1-piperazinylmethyl) [(3,4,5-trimethoxyphenyl) methyl] hydrazono] methyl] -8-oxo-, trihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

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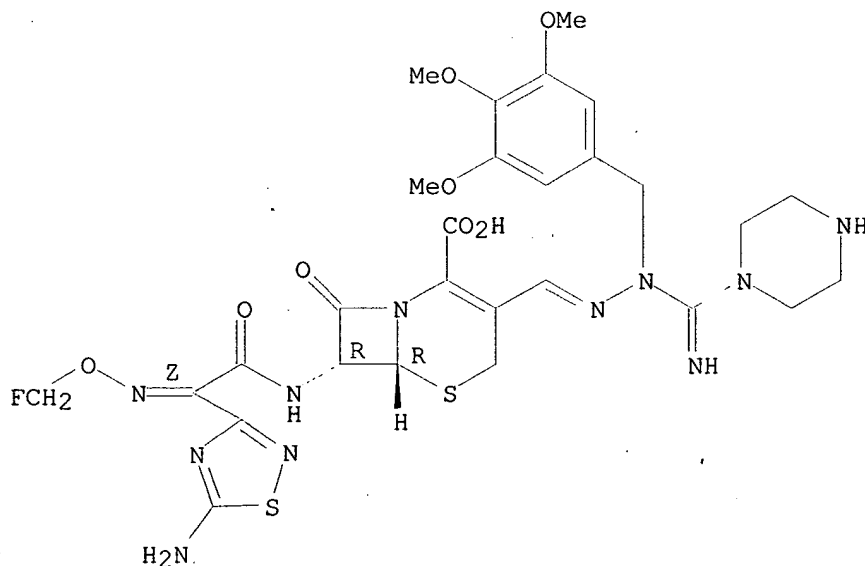
SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry as described by E or Z.

PAGE 1-A



● 3 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)

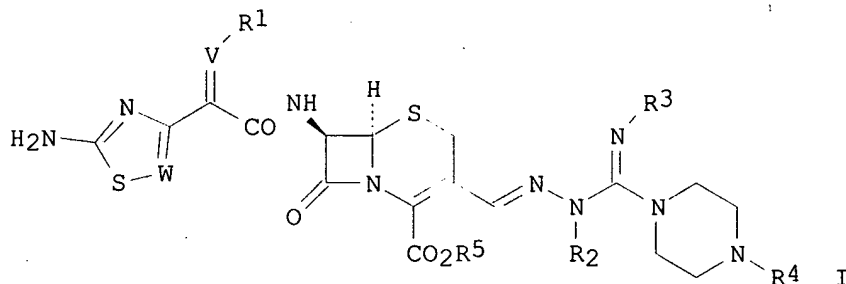
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHNH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prep'd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

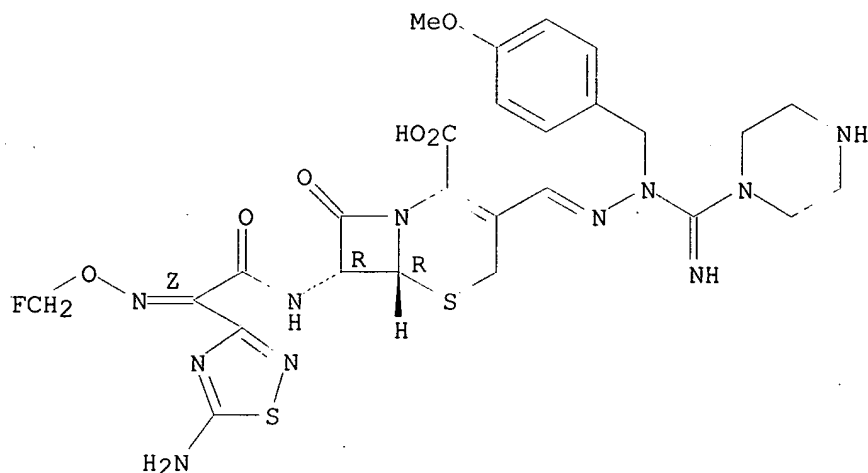
L3 ANSWER 47 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-39-7 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
Prepared by M. Hale 308-4258

7-[[(2Z)-(5-amino-1,2,4-thiadiazol-3-yl) [(fluoromethoxy)imino]acetyl]amino
]-3-[[(imino-1-piperazinylmethyl) [(4-methoxyphenyl)methyl]hydrazono]methyl
]-8-oxo-, trihydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C26 H30 F N11 O6 S2 . 3 Cl H
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry as described by E or Z.



● 3 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted
 acylamino(methylhydrazono)methylcephalosporins and intermediates.

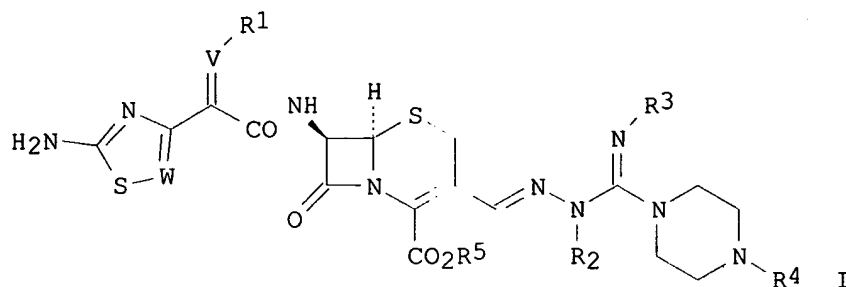
Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt,
 Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1
 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG,
 BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU,
 ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG,
 MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
 TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM;
 RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB,
 GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English).
 CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT
 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

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Prepared by M. Hale 308-4258

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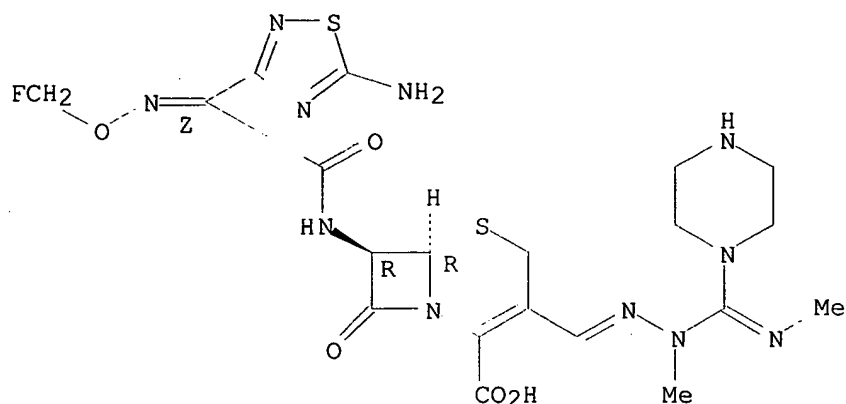
AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHHH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 48 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 214055-38-6 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[(2Z)-(5-amino-1,2,4-thiadiazol-3-yl) [(fluoromethoxy)imino]acetyl]amino
]-3-[[methyl[(methyylimino)-1-piperazinylmethyl]hydrazono]methyl]-8-oxo-,
 monohydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH
 MF C20 H26 F N11 O5 S2 . C1 H
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry as described by E or Z.



● HCl

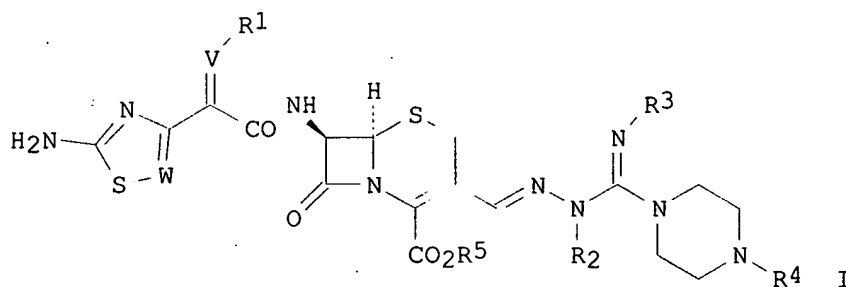
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = Prepared by M. Hale 308-4258 Page 135

H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with

1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 49 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 214055-37-5 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[(2Z)-(5-amino-1,2,4-thiadiazol-3-yl)[(fluoromethoxy)imino]acetyl]amino]-3-[(imino-1-piperazinylmethyl)-2-propenylhydrazono]methyl]-8-oxo-, trihydrochloride, (6R,7R)-(9CI) (CA INDEX NAME)

FS STEREOSEARCH

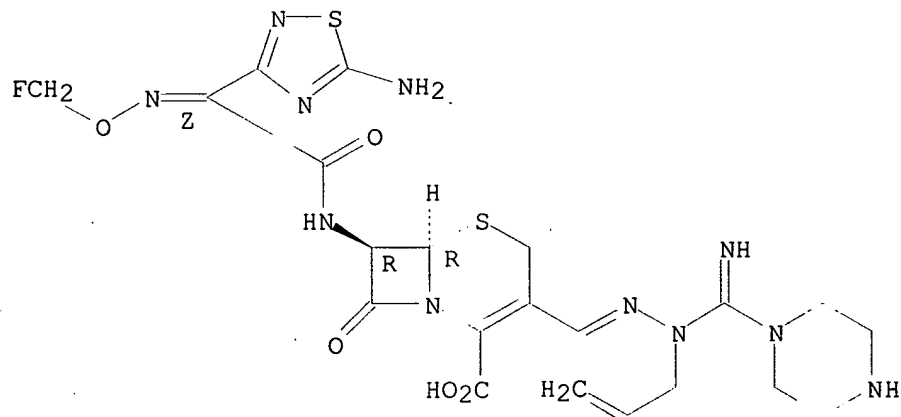
MF C21 H26 F N11 O5 S2 . 3 Cl H

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry as described by E or Z.



● 3 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

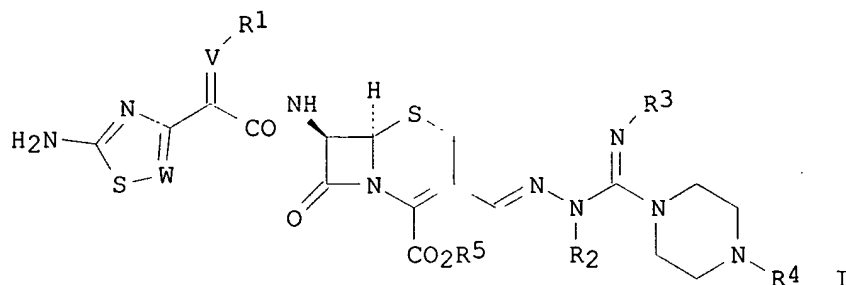
Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt,

Prepared by M. Hale 308-4258

Page 136

Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

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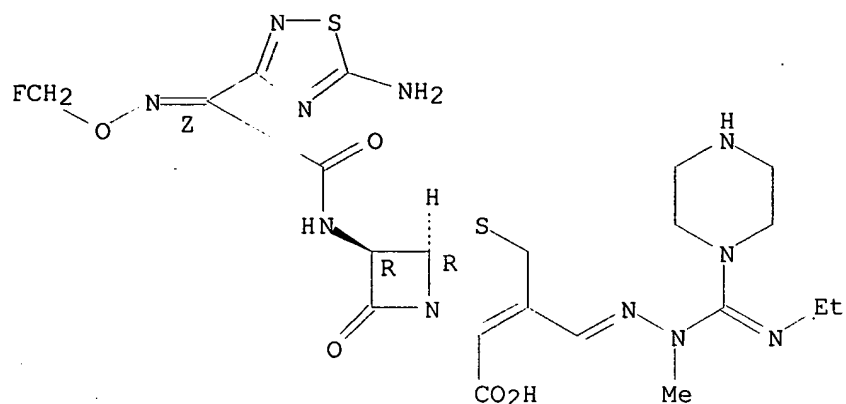
AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHNH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 50 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 214055-36-4 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[[(2Z)-(5-amino-1,2,4-thiadiazol-3-yl)[(fluoromethoxy)imino]acetyl]amino]-3-[[[(ethyylimino)-1-piperazinylmethyl]methylhydrazono]methyl]-8-oxo-, monohydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH
MF C21 H28 F N11 O5 S2 . C1 H
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as described by E or Z.



● HCl

1 REFERENCES IN FILE CA (1967 TO DATE)

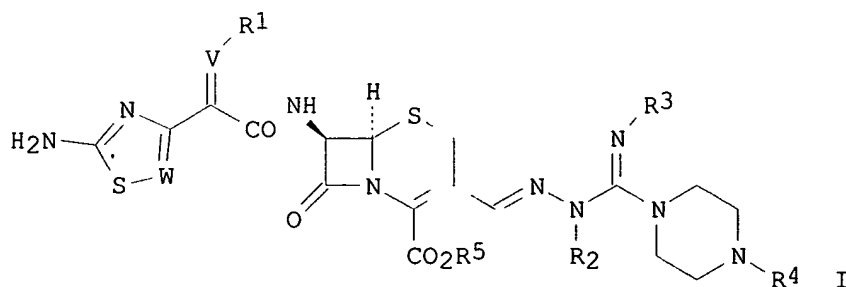
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = Prepared by M. Hale 308-4258 Page 138

H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with

1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

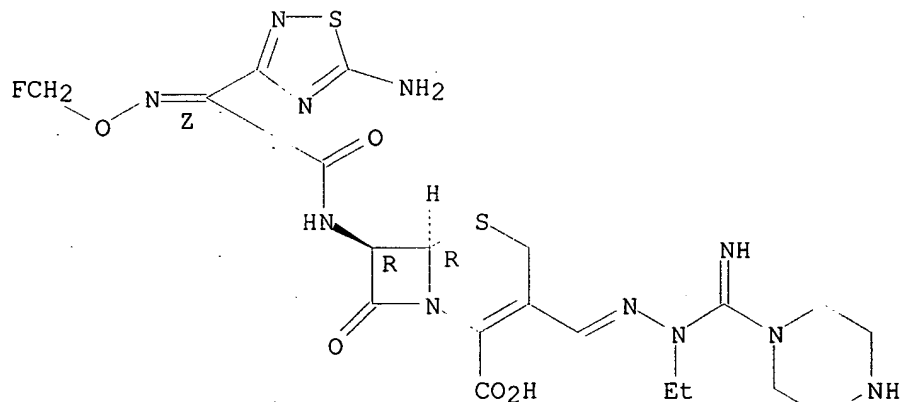
L3 ANSWER 51 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 214055-35-3 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[(2Z)-(5-amino-1,2,4-thiadiazol-3-yl) [(fluoromethoxy)imino]acetyl]amino
]-3-[[ethyl(imino-1-piperazinylmethyl)hydrazono]methyl]-8-oxo-,
 monohydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH
 MF C20 H26 F N11 O5 S2 . Cl H
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry as described by E or Z.



● HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted
 acylamino(methylhydrazono)methylcephalosporins and intermediates.

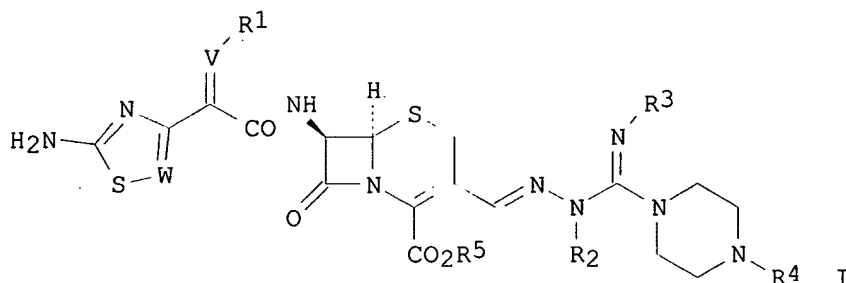
Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt,
 Prepared by M. Hale 308-4258

Page 139

Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



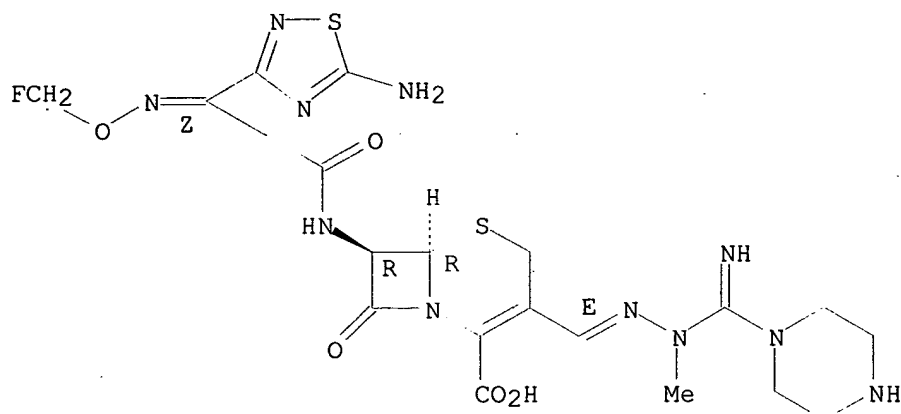
AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHNH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 52 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 214055-34-2 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[[(2Z)-(5-amino-1,2,4-thiadiazol-3-yl)[(fluoromethoxy)imino]acetyl]amino]-3-[(E)-[(imino-1-piperazinylmethyl)methylhydrazono]methyl]-8-oxo-, monohydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH
MF C19 H24 F N11 O5 S2 . C1 H
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as shown.



● HCl

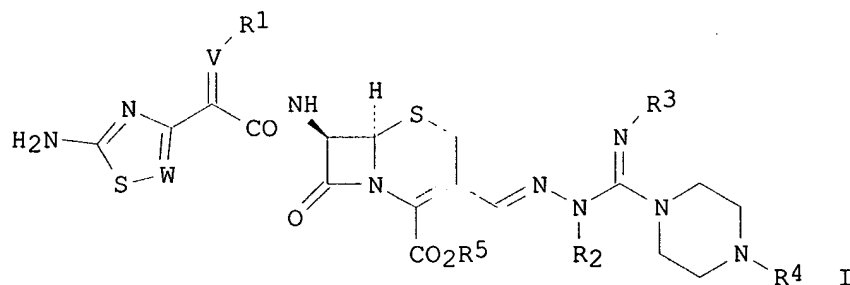
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted
acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 =
Prepared by M. Hale 308-4258 Page 141

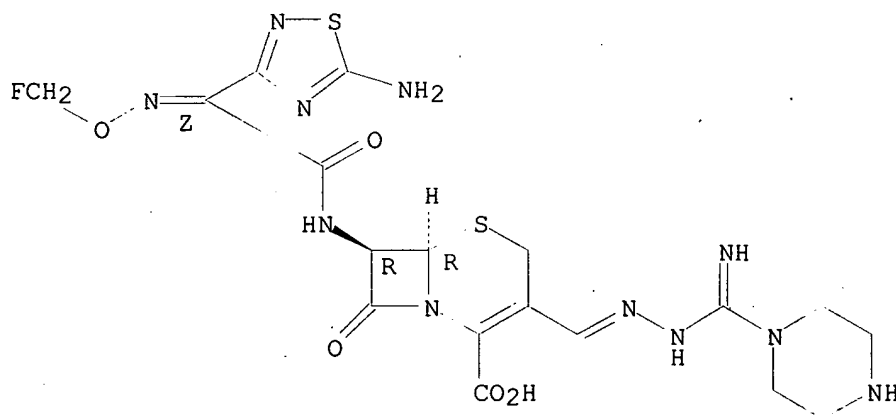
H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHHH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with

1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

L3 ANSWER 53 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 184943-50-8 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(5-amino-1,2,4-thiadiazol-3-yl)[(fluoromethoxy)imino]acetyl]amino]-3-[[[(imino-1-piperazinylmethyl)hydrazono]methyl]-8-oxo-, [6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C18 H22 F N11 O5 S2
 CI COM
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry as described by E or Z.



1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:47035 Antibacterial cephalosporins. Ascher, Gerd; Ludescher, Johannes (Biochemie Gesellschaft Mbh, Austria; Ascher, Gerd; Ludescher, Johannes). PCT Int. Appl. WO 9635692 A1 19961114, 124 pp.
 DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1996-EP2023 19960510. PRIORITY: AT 1995-794 19950511; AT 1995-992
 Prepared by M. Hale 308-4258 Page 142

19950612; AT 1996-698 19960417; AT 1996-733 19960423.

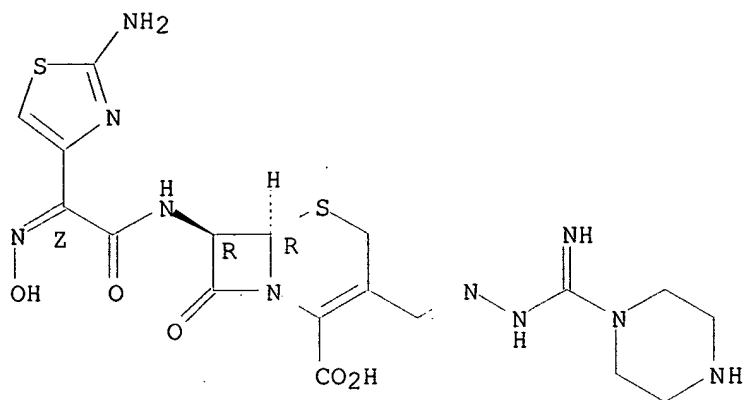
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y =
H,
alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl,
cycloalkyl,
aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl,
heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 =
H,
cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl,
cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together
with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or
cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5
together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Ac1,
COCZ1:CZ2Z3, COCZ1:BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl
or heterocyclyl, Z2 = H, alkyl, CH2CO2Z5, Z5 = H, alkyl, cycloalkyl, Z3 =
H, alkyl, Z4 = H or org. radical, D = O or CH2), were prepd. thereof for
use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was
prepd.
via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN
with guanidine IV in 1-2 N HCl. II has an MHK of 0.01 .mu.g/mL vs.
Streptococcus pneumoniae (strain ATCC 49619) compared to 0.02 .mu.g/mL
for
ceftriaxone.

L3 ANSWER 54 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 184943-49-5 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-[[[(imino-1-
piperazinylmethyl)hydrazono]methyl]-8-oxo-, [6R-[6.alpha.,7.beta.(Z)]]-
(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H22 N10 O5 S2
CI COM
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as described by E or Z.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:47035 Antibacterial cephalosporins. Ascher, Gerd; Ludescher, Johannes (Biochemie Gesellschaft Mbh, Austria; Ascher, Gerd; Ludescher, Johannes). PCT Int. Appl. WO 9635692 A1 19961114, 124 pp.
DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1996-EP2023 19960510. PRIORITY: AT 1995-794 19950511; AT 1995-992 19950612; AT 1996-698 19960417; AT 1996-733 19960423.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y = H, alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl, cycloalkyl, aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 = H, cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl, cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5 together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Acl, COCZ1: CZ2Z3, COCZ1: BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl or heterocyclyl, Z2 = H, alkyl, CH2CO2Z5, Z5 = H, alkyl, cycloalkyl, Z3 = H, alkyl, Z4 = H or org. radical, D = O or CH2), were prepd. thereof for use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was prepd.

via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN
Prepared by M. Hale 308-4258 Page 144

19950612; AT 1996-698 19960417; AT 1996-733 19960423.

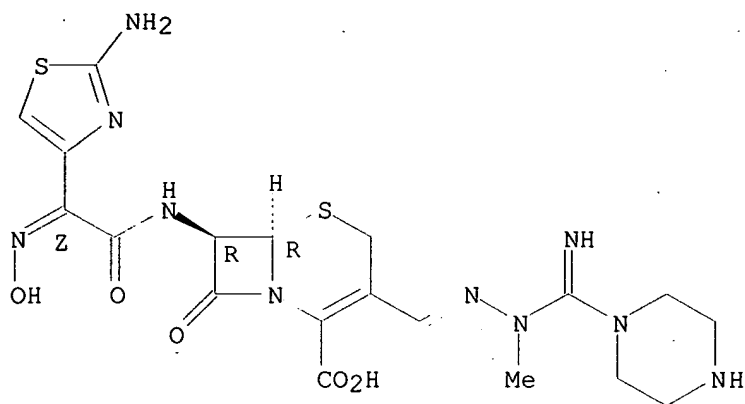
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y =
H,
alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl,
cycloalkyl,
aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl,
heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 =
H,
cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl,
cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together
with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or
cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5
together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Ac1,
COCZ1:CZ2Z3, COCZ1:BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl
or heterocyclyl, Z2 = H, alkyl, CH2CO2Z5, Z5 = H, alkyl, cycloalkyl, Z3 =
H, alkyl, Z4 = H or org. radical, D = O or CH2), were prepd. thereof for
use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was
prepd.
via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN
with guanidine IV in 1-2 N HCl. II has an MHK of 0.01 .mu.g/mL vs.
Streptococcus pneumoniae (strain ATCC 49619) compared to 0.02 .mu.g/mL
for
ceftriaxone.

L3 ANSWER 56 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 184942-65-2 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-[[[(imino-1-
piperazinylmethyl)methylhydrazono]methyl]-8-oxo-, trihydrochloride,
[6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H24 N10 O5 S2 . 3 Cl H
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as described by E or Z.



● 3 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:47035 Antibacterial cephalosporins. Ascher, Gerd; Ludescher, Johannes (Biochemie Gesellschaft Mbh, Austria; Ascher, Gerd; Ludescher, Johannes). PCT Int. Appl. WO 9635692 A1 19961114, 124 pp.
DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1996-EP2023 19960510. PRIORITY: AT 1995-794 19950511; AT 1995-992 19950612; AT 1996-698 19960417; AT 1996-733 19960423.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y = H,

alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl, cycloalkyl,

aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 =

H,

cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl, cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5 together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Acl, COCZ1:BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl

Prepared by M. Hale 308-4258

Page 147

or heterocyclyl, Z2 = H, alkyl, CH2CO2Z5, Z5 = H, alkyl, cycloalkyl, Z3 = H, alkyl, Z4 = H or org. radical, D = O or CH2), were prepd. thereof for use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was prepd.

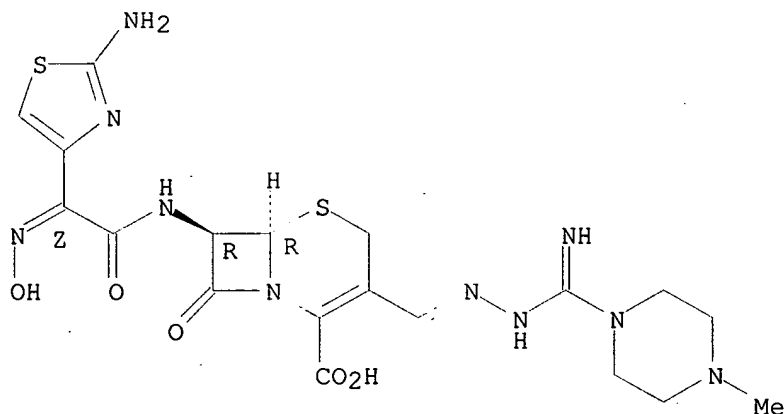
via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN with guanidine IV in 1-2 N HCl. II has an MHK of 0.01 .mu.g/mL vs. Streptococcus pneumoniae (strain ATCC 49619) compared to 0.02 .mu.g/mL for ceftriaxone.

L3 ANSWER 57 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 184942-59-4 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[(2-amino-4-thiazolyl) (hydroxyimino) acetyl] amino]-3-[[[imino(4-methyl-1-piperazinyl)methyl]hydrazono]methyl]-8-oxo-, trihydrochloride, [6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH
MF C19 H24 N10 O5 S2 . 3 Cl H
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as described by E or Z.



● 3 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:47035 Antibacterial cephalosporins. Ascher, Gerd; Ludescher, Johannes (Biochemie Gesellschaft Mbh, Austria; Ascher, Gerd; Ludescher, Johannes). PCT Int. Appl. WO 9635692 A1 19961114, 124 pp.
DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN,

CZ,

Prepared by M. Hale 308-4258

Page 148

BERCH
381750

DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1996-EP2023 19960510. PRIORITY: AT 1995-794 19950511; AT 1995-992 19950612; AT 1996-698 19960417; AT 1996-733 19960423.

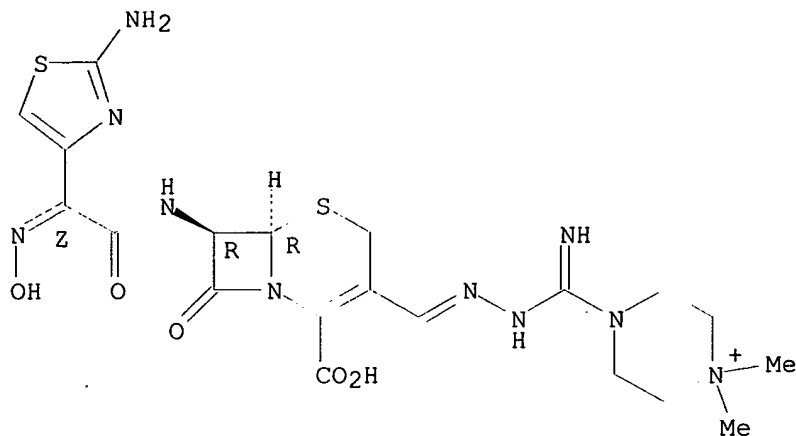
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y = H, alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl, cycloalkyl, aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 = H, cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl, cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5 together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Ac1, COCZ1:CZ2Z3, COCZ1:BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl or heterocyclyl, Z2 = H, alkyl, CH2CO2Z5, Z5 = H, alkyl, cycloalkyl, Z3 = H, alkyl, Z4 = H or org. radical, D = O or CH2), were prepd. thereof for use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was prepd. via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN with guanidine IV in 1-2 N HCl. II has an MHK of 0.01 .mu.g/mL vs. Streptococcus pneumoniae (strain ATCC 49619) compared to 0.02 .mu.g/mL for ceftriaxone.

L3 ANSWER 58 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 184942-58-3 REGISTRY
CN Piperazinium,
4-[[[7-[[2-amino-4-thiazolyl](hydroxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methylene]hydrazino]iminomethyl]-1,1-dimethyl-, chloride, dihydrochloride, [6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C20 H27 N10 O5 S2 . 2 Cl H . Cl
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as described by E or Z.



● Cl⁻

● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:47035 Antibacterial cephalosporins. Ascher, Gerd;
Ludescher, Johannes (Biochemie Gesellschaft MbH, Austria; Ascher, Gerd;
Ludescher, Johannes). PCT Int. Appl. WO 9635692 A1 19961114, 124 pp.
DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN,
CZ,
DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS,
LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
SI; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB,
GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION:
WO 1996-EP2023 19960510. PRIORITY: AT 1995-794 19950511; AT 1995-992
19950612; AT 1996-698 19960417; AT 1996-733 19960423.

GI

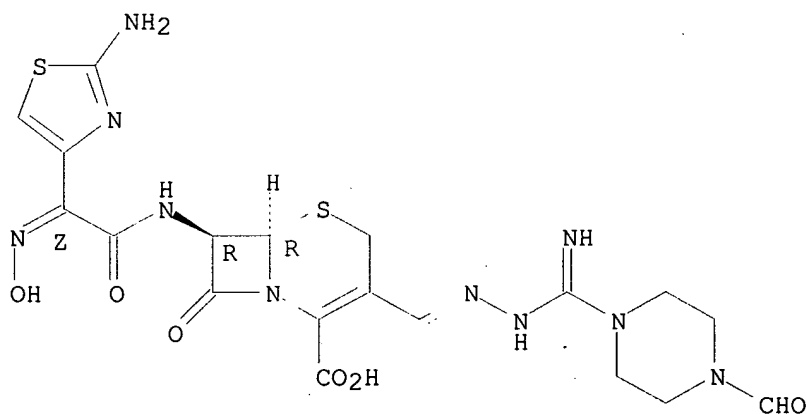
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y =
H,
alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl,
cycloalkyl, Prepared by M. Hale 308-4258 Page 150

aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 = H, cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl, cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5 together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Ac1, COCZ1:CZ2Z3, COCZ1:BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl or heterocyclyl, Z2 = H, alkyl, CH2CO2Z5, Z5 = H, alkyl, cycloalkyl, Z3 = H, alkyl, Z4 = H or org. radical, D = O or CH2), were prepd. thereof for use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was prepd. via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN with guanidine IV in 1-2 N HCl. II has an MHK of 0.01 .mu.g/mL vs. Streptococcus pneumoniae (strain ATCC 49619) compared to 0.02 .mu.g/mL for ceftriaxone.

L3 ANSWER 59 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 184942-52-7 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-[[[(4-formyl-1-piperazinyl)iminomethyl]hydrazono]methyl]-8-oxo-, dihydrochloride, [6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C19 H22 N10 O6 S2 . 2 Cl H
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry as described by E or Z.



● 2 HCl

Prepared by M. Hale 308-4258

Page 151

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:47035 Antibacterial cephalosporins. Ascher, Gerd;
Ludescher, Johannes (Biochemie Gesellschaft Mbh, Austria; Ascher, Gerd;
Ludescher, Johannes). PCT Int. Appl. WO 9635692 A1 19961114, 124 pp.
DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN,
CZ,
DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS,
LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
SI; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB,
GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION:
WO 1996-EP2023 19960510. PRIORITY: AT 1995-794 19950511; AT 1995-992
19950612; AT 1996-698 19960417; AT 1996-733 19960423.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y =
H,
alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl,
cycloalkyl,
aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl,
heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 =
H,
cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl,
cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together
with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or
cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5
together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Acl,
COCZ1:CZ2Z3, COCZ1:BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl
or heterocyclyl, Z2 = H, alkyl, CH2CO2Z5, Z5 = H, alkyl, cycloalkyl, Z3 =
H, alkyl, Z4 = H or org. radical, D = O or CH2), were prepd. thereof for
use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was
prepd.
via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN
with guanidine IV in 1-2 N HCl. II has an MHK of 0.01 .mu.g/mL vs.
Streptococcus pneumoniae (strain ATCC 49619) compared to 0.02 .mu.g/mL
for
ceftriaxone.

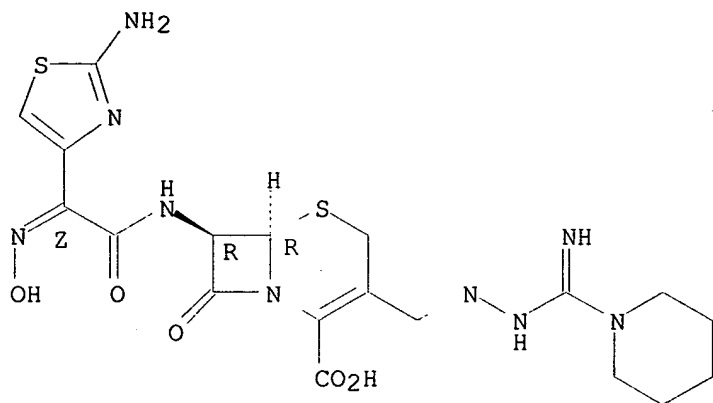
L3 ANSWER 60 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 184942-51-6 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-[[[imino-1-
piperidinylmethyl)hydrazono]methyl]-8-oxo-, dihydrochloride,
[6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H23 N9 O5 S2 . 2 Cl H
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Prepared by M. Hale 308-4258

Page 152

Double bond geometry as described by E or Z.



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:47035 Antibacterial cephalosporins. Ascher, Gerd;
Ludescher, Johannes (Biochemie Gesellschaft Mbh, Austria; Ascher, Gerd;
Ludescher, Johannes). PCT Int. Appl. WO 9635692 A1 19961114, 124 pp.
DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN,
CZ,
DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS,
LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
SI; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB,
GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION:
WO 1996-EP2023 19960510. PRIORITY: AT 1995-794 19950511; AT 1995-992
19950612; AT 1996-698 19960417; AT 1996-733 19960423.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y =
H,
alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl,
cycloalkyl,
aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl,
heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 =
H,
cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl,
cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together
with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or
Prepared by M. Hale 308-4258

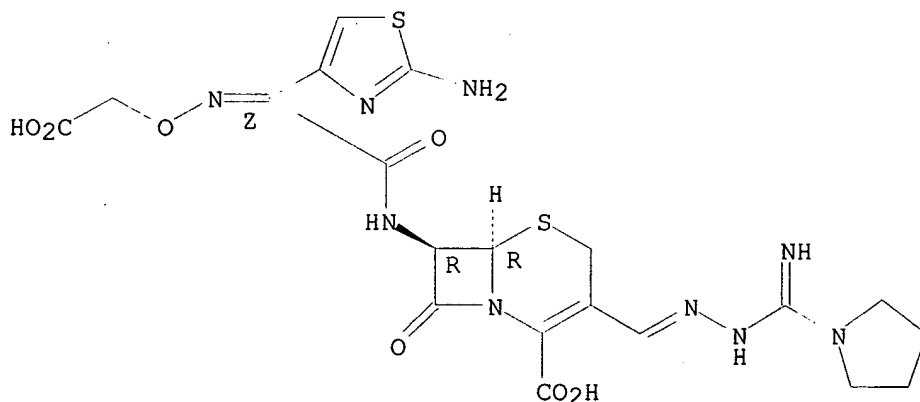
cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5 together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Ac1, COCZ1:CZ2Z3, COCZ1:BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl or heterocyclyl, Z2 = H, alkyl, CH2CO2Z5, Z5 = H, alkyl, cycloalkyl, Z3 = H, alkyl, Z4 = H or org. radical, D = O or CH2); were prepd. thereof for use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was prepd. via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN with guanidine IV in 1-2 N HCl. II has an MHK of 0.01 .mu.g/mL vs. Streptococcus pneumoniae (strain ATCC 49619) compared to 0.02 .mu.g/mL for ceftriaxone.

L3 ANSWER 61 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 184942-48-1 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[[(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-[[[(imino-1-pyrrolidinylmethyl)hydrazono]methyl]-8-oxo-, dihydrochloride,
 [6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH
 MF C20 H23 N9 O7 S2 . 2 Cl H
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry as described by E or Z.



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:47035 Antibacterial cephalosporins. Ascher, Gerd;
 Ludescher, Johannes (Biochemie Gesellschaft Mbb, Austria; Ascher, Gerd;
 Prepared by M. Hale 308-4258 Page 154

Ludescher, Johannes). PCT Int. Appl. WO 9635692 A1 19961114, 124 pp.
DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN,

CZ,

DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS,
LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
SI; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB,
GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION:
WO 1996-EP2023 19960510. PRIORITY: AT 1995-794 19950511; AT 1995-992
19950612; AT 1996-698 19960417; AT 1996-733 19960423.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y =
H,

alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl,
cycloalkyl,

aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl,
heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 =

H,

cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl,
cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together
with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or
cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5
together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Ac1,
COCZ1:CZ2Z3, COCZ1:BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl
or heterocyclyl, Z2 = H, alkyl, CH2COZ2Z5, Z5 = H, alkyl, cycloalkyl, Z3 =
H, alkyl, Z4 = H or org. radical, D = O or CH2), were prepd. thereof for
use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was
prepd.

via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN
with guanidine IV in 1-2 N HCl. II has an MHK of 0.01 .mu.g/mL vs.
Streptococcus pneumoniae (strain ATCC 49619) compared to 0.02 .mu.g/mL

for

ceftriaxone.

L3 ANSWER 62 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 184942-44-7 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[[2-amino-4-thiazolyl][(1-carboxy-1-methylethoxy)imino]acetyl]amino]-3-
[[[(imino-1-pyrrolidinylmethyl)hydrazono]methyl]-8-oxo-, dihydrochloride,
[6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

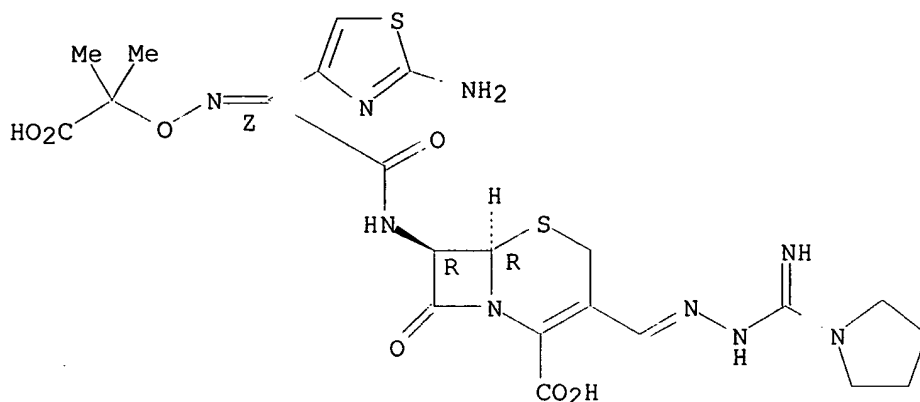
MF C22 H27 N9 O7 S2 . 2 Cl H

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry as described by E or Z.



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:47035 Antibacterial cephalosporins. Ascher, Gerd;
Ludescher, Johannes (Biochemie Gesellschaft Mbh, Austria; Ascher, Gerd;
Ludescher, Johannes). PCT Int. Appl. WO 9635692 A1 19961114, 124 pp.
DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN,
CZ,
DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS,
LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
SI; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB,
GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION:
WO 1996-EP2023 19960510. PRIORITY: AT 1995-794 19950511; AT 1995-992
19950612; AT 1996-698 19960417; AT 1996-733 19960423.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y =
H,
alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl,
cycloalkyl,
aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl,
heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 =
H,
cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl,
cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together
with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or
cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5
together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Acl,
COCZ1:CZ2Z3, COCZ1:BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl
or heterocyclyl, Z2 = H, alkyl, CH2CO2Z5, Z5 = H, alkyl, cycloalkyl, Z3 =
Prepared by M. Hale 308-4258 Page 156

H, alkyl, Z4 = H or org. radical, D = O or CH2), were prepd. thereof for use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was prepd.

via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN with guanidine IV in 1-2 N HCl. II has an MHK of 0.01 .mu.g/mL vs. Streptococcus pneumoniae (strain ATCC 49619) compared to 0.02 .mu.g/mL for ceftriaxone.

L3 ANSWER 63 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 184942-33-4 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-[[[(imino-1-piperazinylmethyl)hydrazono]methyl]-8-oxo-, trihydrochloride, (6R,7R)-(9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-[[[(imino-1-piperazinylmethyl)hydrazono]methyl]-8-oxo-, trihydrochloride, [6R-[6.alpha.,7.beta.(Z)]]-

FS STEREOSEARCH

MF C18 H22 N10 O5 S2 . 3 Cl H

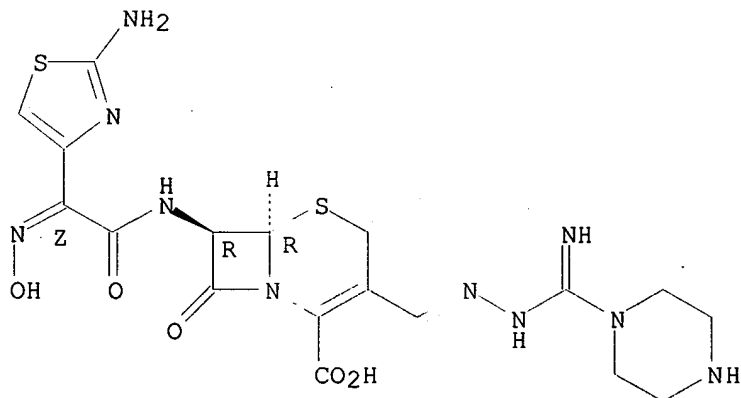
SR CA

LC STN Files: CA, CAPLUS

CRN (184943-49-5)

Absolute stereochemistry.

Double bond geometry as described by E or Z.



● 3 HCl

2 REFERENCES IN FILE CA (1967 TO DATE)

2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:275783 synthesis of antibacterial substituted
Prepared by M. Hale 308-4258

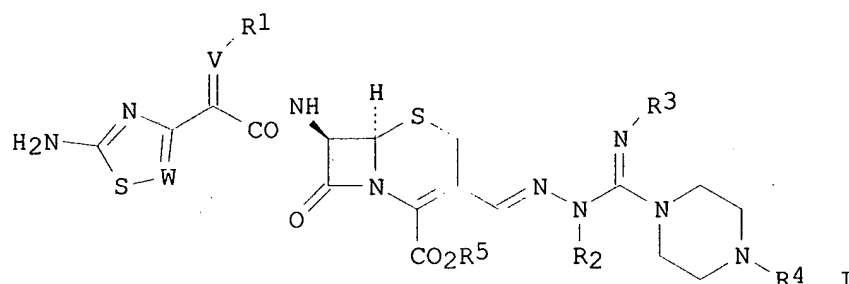
Page 157

acylamino(methylhydrazono)methylcephalosporins and intermediates.

Ascher,

Gerd; Wieser, Josef; Schranz, Michael; Ludescher, Johannes; Hildebrandt, Johannes (Biochemie G.m.b.H., Austria). PCT Int. Appl. WO 9843981 A1 19981008, 46 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1998-EP1890 19980401. PRIORITY: AT 1997-546 19970401; AT 1997-547 19970401; AT 1997-548 19970401.

GI



AB Synthesis of cephalosporins (I) [R1 = H, acyl, carboxyl, alkyl; R2, R3 = H, cycloalkyl, alkyl, alkenyl, alkynyl; R4 = H, C(=Z)R6; R6 = NH2, NHNH2, aminoalkylamino, alkoxy, aryl, cycloaryl, aryloxy, heterocyclyl, alkyl, alkenyl, alkynyl; R5 = H, ester moiety; W = CH, N; V = CH, NO; Z = O, S, NR7; R7 = R2] for use as antibacterials is described. Thus, I (R1 = OCH2F; R2 = Me; R3, R4, R5 = H; W, V = N) is prepd. in 3 steps by acylation of 7-amino-3-formyl-3-cephem-4-carboxylic acid with (5-amino-1,2,4-thiadiazol-3-yl)-(Z)-2-fluoromethoxyiminoacetic acid, reaction of this intermediate with 1-(1-methylhydrazino)iminomethylpiperazine dihydrochloride followed by chromatog.

REFERENCE 2: 126:47035 Antibacterial cephalosporins. Ascher, Gerd; Ludescher, Johannes (Biochemie Gesellschaft MbH, Austria; Ascher, Gerd; Ludescher, Johannes). PCT Int. Appl. WO 9635692 A1 19961114, 124 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN,

CZ,

DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1996-EP2023 19960510. PRIORITY: AT 1995-794 19950511; AT 1995-992 19950612; AT 1996-698 19960417; AT 1996-733 19960423.

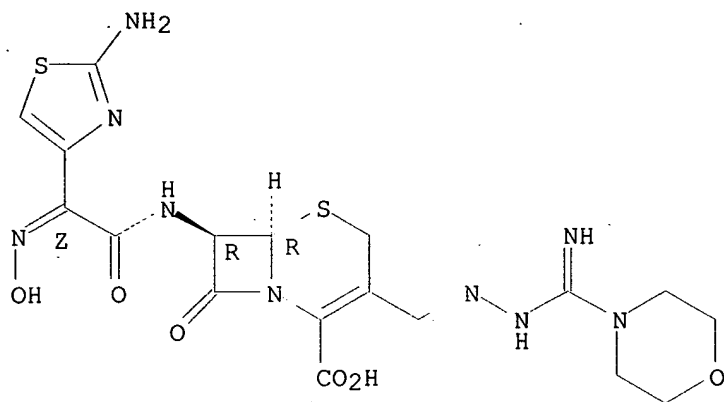
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y = H, alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl, cycloalkyl, aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 = H, cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl, cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5 together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Ac1, COCZ1:CZ2Z3, COCZ1:BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl or heterocyclyl, Z2 = H, alkyl, CH2CO2Z5, Z5 = H, alkyl, cycloalkyl, Z3 = H, alkyl, Z4 = H or org. radical, D = O or CH2), were prepd. thereof for use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was prepd. via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN with guanidine IV in 1-2 N HCl. II has an MHK of 0.01 .mu.g/mL vs. Streptococcus pneumoniae (strain ATCC 49619) compared to 0.02 .mu.g/mL for ceftriaxone.

L3 ANSWER 64 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 184942-18-5 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-[[[imino-4-morpholinylmethyl)hydrazono]methyl]-8-oxo-, dihydrochloride,
[6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H21 N9 O6 S2 . 2 Cl H
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as described by E or Z.



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:47035 Antibacterial cephalosporins. Ascher, Gerd; Ludescher, Johannes (Biochemie Gesellschaft Mbh, Austria; Ascher, Gerd; Ludescher, Johannes). PCT Int. Appl. WO 9635692 A1 19961114, 124 pp.
DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1996-EP2023 19960510. PRIORITY: AT 1995-794 19950511; AT 1995-992 19950612; AT 1996-698 19960417; AT 1996-733 19960423.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y = H,

alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl, cycloalkyl,

aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 =

H, cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl, cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5 together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Ac1, COCZ1:CZ2Z3, COCZ1:BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl

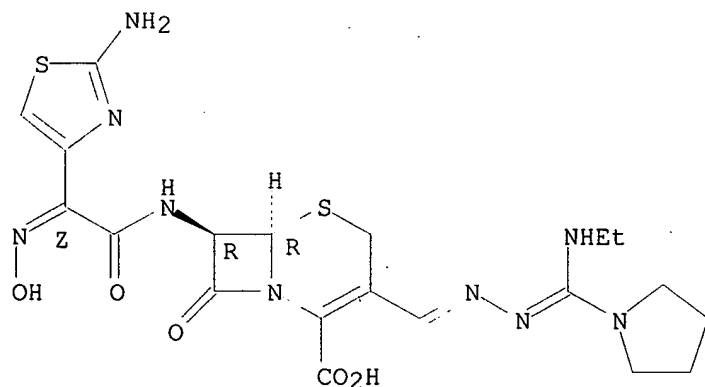
Prepared by M. Hale 308-4258

Page 160

or heterocyclyl, Z2 = H, alkyl, CH2CO2Z5, Z5 = H, alkyl, cycloalkyl, Z3 = H, alkyl, Z4 = H or org. radical, D = O or CH2), were prepd. thereof for use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was prepd. via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN with guanidine IV in 1-2 N HCl. II has an MHK of 0.01 .mu.g/mL vs. Streptococcus pneumoniae (strain ATCC 49619) compared to 0.02 .mu.g/mL for ceftriaxone.

L3 ANSWER 65 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 184942-10-7 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-[[[(ethylamino)-1-pyrrolidinylmethylene]hydrazono]methyl]-8-oxo-, dihydrochloride, [6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C20 H25 N9 O5 S2 . 2 Cl H
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry as described by E or Z.



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:47035 Antibacterial cephalosporins. Ascher, Gerd; Ludescher, Johannes (Biochemie Gesellschaft Mbh, Austria; Ascher, Gerd; Ludescher, Johannes). PCT Int. Appl. WO 9635692 A1 19961114, 124 pp.
 DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, SM, SN, SR, ST, SV, TH, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VE, VJ, VN, YU, ZA, ZM, ZW.
 Prepared by M. Hale 308-4258 Page 161

SI; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1996-EP2023 19960510. PRIORITY: AT 1995-794 19950511; AT 1995-992 19950612; AT 1996-698 19960417; AT 1996-733 19960423.

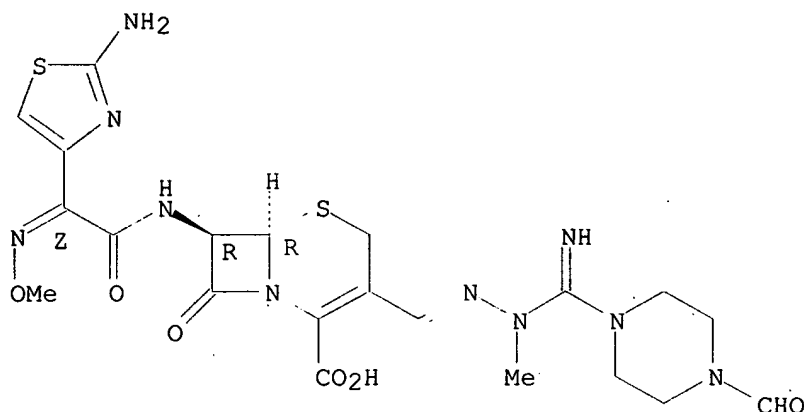
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y = H, alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl, cycloalkyl, aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 = H, cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl, cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5 together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Ac1, COCZ1:CZ2Z3, COCZ1:BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl or heterocyclyl, Z2 = H, alkyl, CH2CO2Z5, Z5 = H, alkyl, cycloalkyl, Z3 = H, alkyl, Z4 = H or org. radical, D = O or CH2), were prepd. thereof for use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was prepd. via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN with guanidine IV in 1-2 N HCl. II has an MHK of 0.01 .mu.g/mL vs. Streptococcus pneumoniae (strain ATCC 49619) compared to 0.02 .mu.g/mL for ceftriaxone.

L3 ANSWER 66 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 184942-04-9 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-3-[[[(4-formyl-1-piperazinyl)iminomethyl]methylhydrazono]methyl]-8-oxo-, dihydrochloride, [6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H26 N10 O6 S2 . 2 Cl H
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as described by E or Z.



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:47035 Antibacterial cephalosporins. Ascher, Gerd; Ludescher, Johannes (Biochemie Gesellschaft MbH, Austria; Ascher, Gerd; Ludescher, Johannes). PCT Int. Appl. WO 9635692 A1 19961114, 124 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN,

CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1996-EP2023 19960510. PRIORITY: AT 1995-794 19950511; AT 1995-992 19950612; AT 1996-698 19960417; AT 1996-733 19960423.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y = H,

alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl, cycloalkyl,

aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 = H,

cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl, cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5 together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Ac1, COCZ1: CZ2Z3, COCZ1:BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl

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Page 163

or heterocyclyl, Z2 = H, alkyl, CH2CO2Z5, Z5 = H, alkyl, cycloalkyl, Z3 = H, alkyl, Z4 = H or org. radical, D = O or CH2), were prepd. thereof for use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was prepd.

via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN with guanidine IV in 1-2 N HCl. II has an MHK of 0.01 .mu.g/mL vs. Streptococcus pneumoniae (strain ATCC 49619) compared to 0.02 .mu.g/mL for ceftriaxone.

L3 ANSWER 67 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 184942-03-8 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-3-[[[(imino-1-piperazinylmethyl)methylhydrazono]methyl]-8-oxo-, trihydrochloride, [6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME).

FS STEREOSEARCH

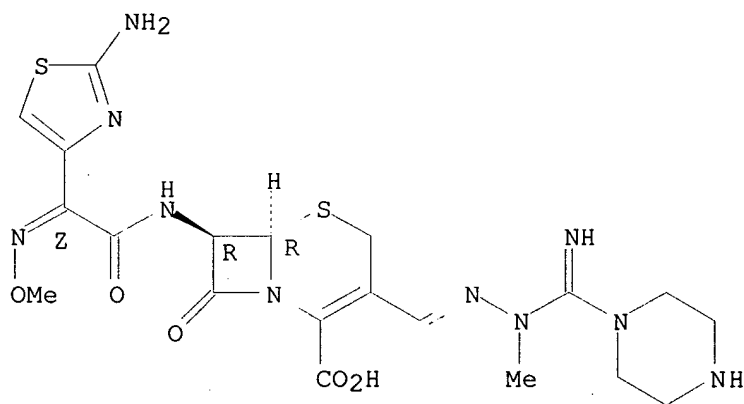
MF C20 H26 N10 O5 S2 . 3 Cl H

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry as described by E or Z.



● 3 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:47035 Antibacterial cephalosporins. Ascher, Gerd; Ludescher, Johannes (Biochemie Gesellschaft Mbh, Austria; Ascher, Gerd; Ludescher, Johannes). PCT Int. Appl. WO 9635692 A1 19961114, 124 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN,

CZ,

DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, Prepared by M. Hale 308-4258 Page 164

LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
SI; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB,
GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION:
WO 1996-EP2023 19960510. PRIORITY: AT 1995-794 19950511; AT 1995-992
19950612; AT 1996-698 19960417; AT 1996-733 19960423.

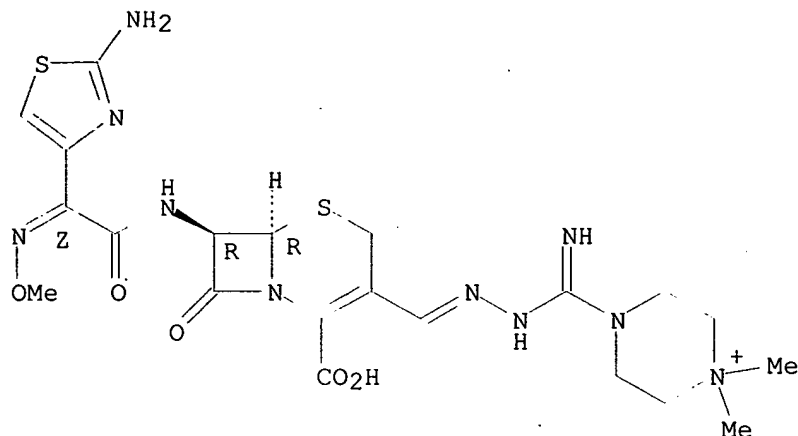
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y =
H,
alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl,
cycloalkyl,
aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl,
heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 =
H,
cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl,
cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together
with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or
cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5
together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Ac1,
COCZ1:CZ2Z3, COCZ1:BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl
or heterocyclyl, Z2 = H, alkyl, CH2CO2Z5, Z5 = H, alkyl, cycloalkyl, Z3 =
H, alkyl, Z4 = H or org. radical, D = O or CH2), were prepd. thereof for
use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was
prepd.
via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN
with guanidine IV in 1-2 N HCl. II has an MHK of 0.01 .mu.g/mL vs.
Streptococcus pneumoniae (strain ATCC 49619) compared to 0.02 .mu.g/mL
for
ceftriaxone.

L3 ANSWER 68 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 184941-99-9 REGISTRY
CN Piperazinium,
4-[[[[7-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-2-
carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-
yl]methylene]hydrazino]iminomethyl]-1,1-dimethyl-, chloride,
dihydrochloride, [6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H29 N10 O5 S2 . 2 Cl H . Cl
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as described by E or Z.



● Cl⁻

● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:47035 Antibacterial cephalosporins. Ascher, Gerd; Ludescher, Johannes (Biochemie Gesellschaft Mbh, Austria; Ascher, Gerd; Ludescher, Johannes). PCT Int. Appl. WO 9635692 A1 19961114, 124 pp.
DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1996-EP2023 19960510. PRIORITY: AT 1995-794 19950511; AT 1995-992 19950612; AT 1996-698 19960417; AT 1996-733 19960423.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

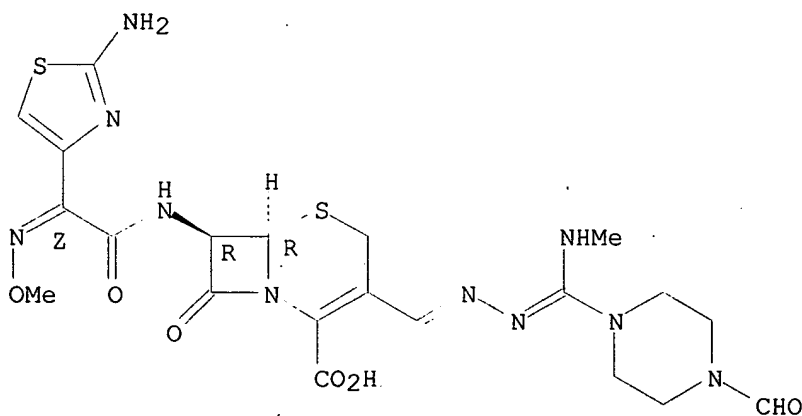
AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y = H,

alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl, cycloalkyl, Prepared by M. Hale 308-4258 Page 166

aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 = H, cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl, cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5 together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Ac1, COCZ1:CZ2Z3, COCZ1:BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl or heterocyclyl, Z2 = H, alkyl, CH2CO2Z5, Z5 = H, alkyl, cycloalkyl, Z3 = H, alkyl, Z4 = H or org. radical, D = O or CH2), were prepd. thereof for use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was prepd. via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN with guanidine IV in 1-2 N HCl. II has an MHK of 0.01 .mu.g/mL vs. Streptococcus pneumoniae (strain ATCC 49619) compared to 0.02 .mu.g/mL for ceftriaxone.

L3 ANSWER 69 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 184941-87-5 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-3-[[[(4-formyl-1-piperazinyl)(methylamino)methylene]hydrazono]methyl]-8-oxo-, dihydrochloride, [6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C21 H26 N10 O6 S2 . 2 Cl H
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry as described by E or Z.



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:47035 Antibacterial cephalosporins. Ascher, Gerd;
Ludescher, Johannes (Biochemie Gesellschaft Mbh, Austria; Ascher, Gerd;
Ludescher, Johannes). PCT Int. Appl. WO 9635692 A1 19961114, 124 pp.
DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN,
CZ,
DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS,
LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
SI; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB,
GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION:
WO 1996-EP2023 19960510. PRIORITY: AT 1995-794 19950511; AT 1995-992
19950612; AT 1996-698 19960417; AT 1996-733 19960423.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y =
H,
alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl,
cycloalkyl,
aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl,
heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 =
H,
cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl,
cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together
with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or
cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5
together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Acl,
COCZ1:CZ2Z3, COCZ1:BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl
or heterocyclyl, Z2 = H, alkyl, CH2CO2Z5, Z5 = H, alkyl, cycloalkyl, Z3 =
H, alkyl, Z4 = H or org. radical, D = O or CH2), were prepd. thereof for
use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was
prepd.
via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN
with guanidine IV in 1-2 N HCl. II has an MHK of 0.01 .mu.g/mL vs.
Streptococcus pneumoniae (strain ATCC 49619) compared to 0.02 .mu.g/mL
for
ceftriaxone.

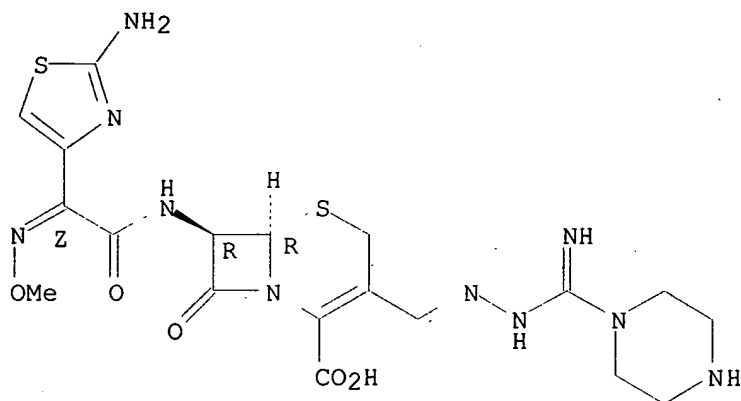
L3 ANSWER 70 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 184941-83-1 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-3-[[[(imino-1-
piperazinylmethyl)hydrazono]methyl]-8-oxo-, trihydrochloride,
[6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H24 N10 O5 S2 . 3 Cl H
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Prepared by M. Hale 308-4258

Page 168

Double bond geometry as described by E or Z.



● 3 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:47035 Antibacterial cephalosporins. Ascher, Gerd; Ludescher, Johannes (Biochemie Gesellschaft Mbh, Austria; Ascher, Gerd; Ludescher, Johannes). PCT Int. Appl. WO 9635692 A1 19961114, 124 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1996-EP2023 19960510. PRIORITY: AT 1995-794 19950511; AT 1995-992 19950612; AT 1996-698 19960417; AT 1996-733 19960423.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

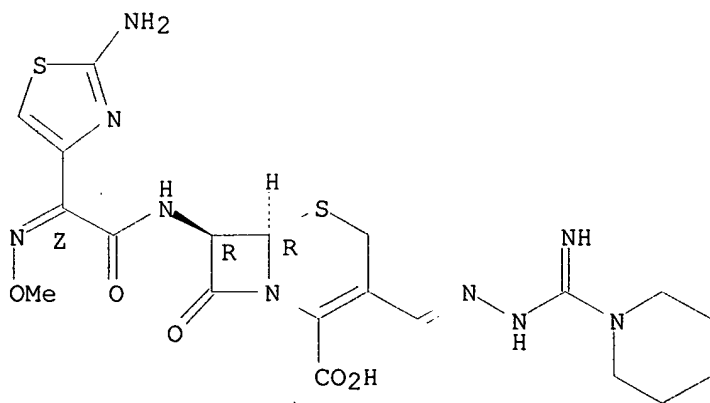
AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y = H, alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl, cycloalkyl, aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 = H, cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl, cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or

Prepared by M. Hale 308-4258 Page 169

cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5 together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Ac1, COCZ1:CZ2Z3, COCZ1:BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl or heterocyclyl, Z2 = H, alkyl, CH2CO2Z5, Z5 = H, alkyl, cycloalkyl, Z3 = H, alkyl, Z4 = H or org. radical, D = O or CH2), were prepd. thereof for use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was prepd. via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN with guanidine IV in 1-2 N HCl. II has an MHK of 0.01 .mu.g/mL vs. Streptococcus pneumoniae (strain ATCC 49619) compared to 0.02 .mu.g/mL for ceftriaxone.

L3 ANSWER 71 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 184941-82-0 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-3-[[[(imino-1-piperidinylmethyl)hydrazono]methyl]-8-oxo-, dihydrochloride, [6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C20 H25 N9 O5 S2 . 2 Cl H
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry as described by E or Z.



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:47035 Antibacterial cephalosporins. Ascher, Gerd; Ludescher, Johannes (Biochemie Gesellschaft Mbh, Austria; Ascher, Gerd; Ludescher, Johannes). PCT Int. Appl. WO 9635692 A1 19961114, 124 pp. Prepared by M. Hale 308-4258 Page 170

DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN,
CZ,
DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS,
LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
SI; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB,
GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION:
WO 1996-EP2023 19960510. PRIORITY: AT 1995-794 19950511; AT 1995-992
19950612; AT 1996-698 19960417; AT 1996-733 19960423.
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

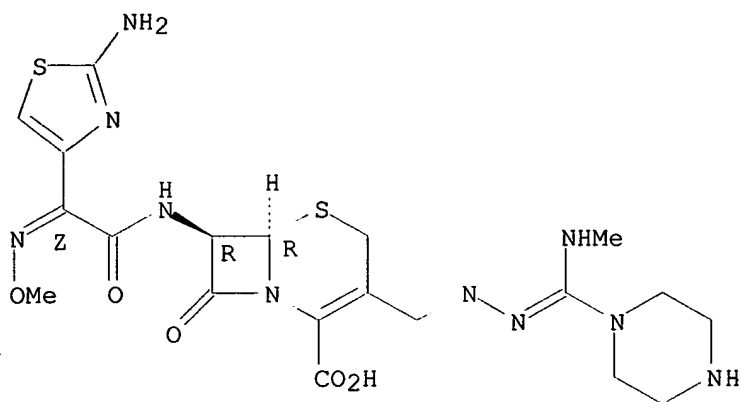
AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y =
H,
alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl,
cycloalkyl,
aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl,
heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 =
H,
cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl,
cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together
with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or
cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5
together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Ac1,
COCZ1:CZ2Z3, COCZ1:BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl
or heterocyclyl, Z2 = H, alkyl, CH2CO2Z5, Z5 = H, alkyl, cycloalkyl, Z3 =
H, alkyl, Z4 = H or org. radical, D = O or CH2), were prepd. thereof for
use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was
prepd.
via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN
with guanidine IV in 1-2 N HCl. II has an MHK of 0.01 .mu.g/mL vs.
Streptococcus pneumoniae (strain ATCC 49619) compared to 0.02 .mu.g/mL
for
ceftriaxone.

L3 ANSWER 72 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 184941-79-5 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-3-[[[(methylamino)-1-
piperazinylmethylene]hydrazono]methyl]-8-oxo-, trihydrochloride,
[6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH
MF C20 H26 N10 O5 S2 . 3 Cl H
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as described by E or Z.



● 3 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:47035 Antibacterial cephalosporins. Ascher, Gerd; Ludescher, Johannes (Biochemie Gesellschaft Mbh, Austria; Ascher, Gerd; Ludescher, Johannes). PCT Int. Appl. WO 9635692 A1 19961114, 124 pp.
DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1996-EP2023 19960510. PRIORITY: AT 1995-794 19950511; AT 1995-992 19950612; AT 1996-698 19960417; AT 1996-733 19960423.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y = H, alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl, cycloalkyl, aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 = H, cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl, cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5 together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Acl, COCZ1:BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl
Prepared by M. Hale 308-4258 Page 172

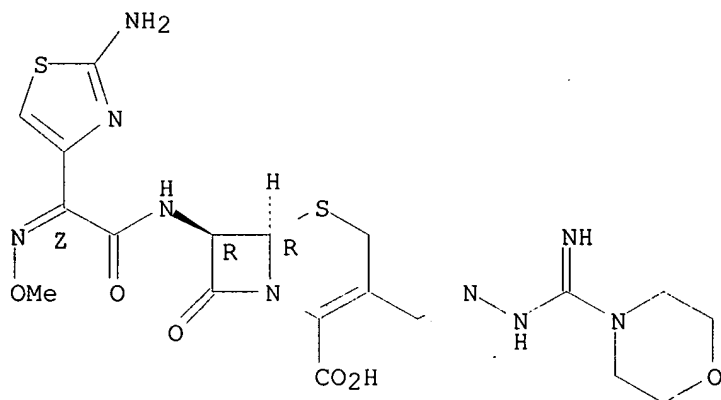
or heterocyclyl, Z2 = H, alkyl, CH2CO2Z5, Z5 = H, alkyl, cycloalkyl, Z3 = H, alkyl, Z4 = H or org. radical, D = O or CH2), were prepd. thereof for use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was prepd.

via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN with guanidine IV in 1-2 N HCl. II has an MHK of 0.01 .mu.g/mL vs. Streptococcus pneumoniae (strain ATCC 49619) compared to 0.02 .mu.g/mL for ceftriaxone.

L3 ANSWER 73 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 184941-70-6 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-8-oxo-, dihydrochloride, [6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C19 H23 N9 O6 S2 . 2 Cl H
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry as described by E or Z.



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:47035 Antibacterial cephalosporins. Ascher, Gerd; Ludescher, Johannes (Biochemie Gesellschaft Mbh, Austria; Ascher, Gerd; Ludescher, Johannes). PCT Int. Appl. WO 9635692 A1 19961114, 124 pp.
 DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN,

CZ,

DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS

Prepared by M. Halé 308-4258

Page 173

LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1996-EP2023 19960510. PRIORITY: AT 1995-794 19950511; AT 1995-992 19950612; AT 1996-698 19960417; AT 1996-733 19960423.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

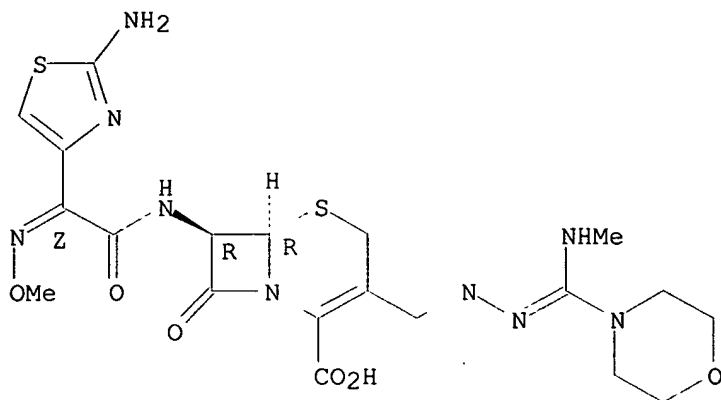
AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y = H, alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl, cycloalkyl, aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 = H, cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl, cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5 together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Acl, COCZ1:CZ2Z3, COCZ1:BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl or heterocyclyl, Z2 = H, alkyl, CH2CO2Z5, Z5 = H, alkyl, cycloalkyl, Z3 = H, alkyl, Z4 = H or org. radical, D = O or CH2), were prepd. thereof for use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was prepd. via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN with guanidine IV in 1-2 N HCl. II has an MHK of 0.01 .mu.g/mL vs. Streptococcus pneumoniae (strain ATCC 49619) compared to 0.02 .mu.g/mL for ceftriaxone.

L3 ANSWER 74 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 184941-66-0 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-3-[[[(methylamino)-4-morpholinylmethylene]hydrazono]methyl]-8-oxo-, dihydrochloride, [6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH
MF C20 H25 N9 O6 S2 . 2 Cl H
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as described by E or Z.



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:47035 Antibacterial cephalosporins. Ascher, Gerd;
Ludescher, Johannes (Biochemie Gesellschaft Mbh, Austria; Ascher, Gerd;
Ludescher, Johannes). PCT Int. Appl. WO 9635692 A1 19961114, 124 pp.
DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN,
CZ,
DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS,
LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
SI; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB,
GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION:
WO 1996-EP2023 19960510. PRIORITY: AT 1995-794 19950511; AT 1995-992
19950612; AT 1996-698 19960417; AT 1996-733 19960423.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

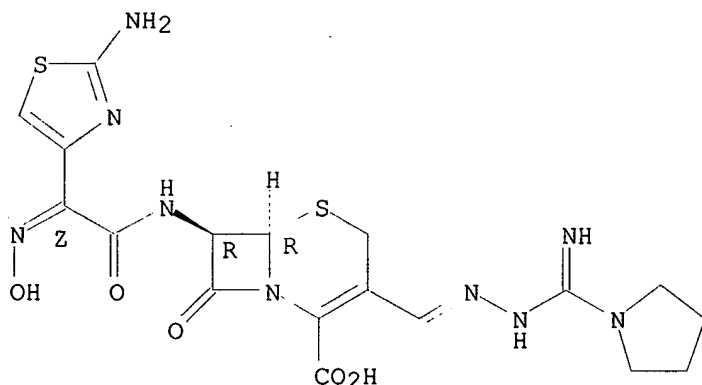
AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y =
H,
alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl,
cycloalkyl,
aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl,
heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 =
H,
cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl,
cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together
with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or
cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5
together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Ac1,
COCZ1:BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl
Prepared by M. Hale 308-4258 Page 175

or heterocyclyl, Z2 = H, alkyl, CH2CO2Z5, Z5 = H, alkyl, cycloalkyl, Z3 = H, alkyl, Z4 = H or org. radical, D = O or CH2), were prepd. thereof for use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was prepd.

via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN with guanidine IV in 1-2 N HCl. II has an MHK of 0.01 .mu.g/mL vs. Streptococcus pneumoniae (strain ATCC 49619) compared to 0.02 .mu.g/mL for ceftriaxone.

L3 ANSWER 75 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 184941-47-7 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-[[[imino-1-pyrrolidinylmethyl]hydrazono]methyl]-8-oxo-, dihydrochloride, [6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C18 H21 N9 O5 S2 . 2 Cl H
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry as described by E or Z.



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:47035 Antibacterial cephalosporins. Ascher, Gerd; Ludescher, Johannes (Biochemie Gesellschaft Mbh, Austria; Ascher, Gerd; Ludescher, Johannes). PCT Int. Appl. WO 9635692 A1 19961114, 124 pp.
 DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, Prepared by M. Hale 308-4258 Page 176

SI; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1996-EP2023 19960510. PRIORITY: AT 1995-794 19950511; AT 1995-992 19950612; AT 1996-698 19960417; AT 1996-733 19960423.

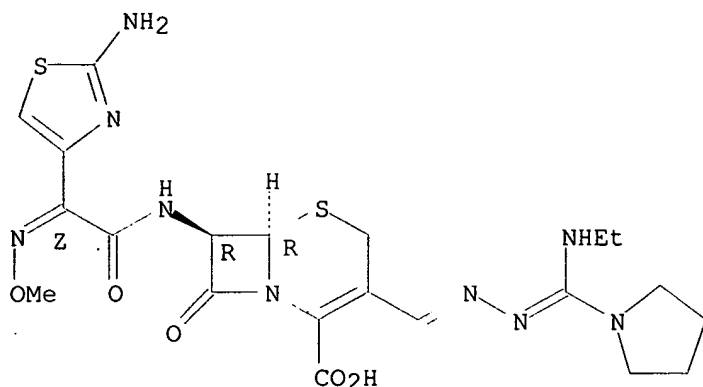
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y = H, alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl, cycloalkyl, aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 = H, cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl, cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5 together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Ac1, COCZ1:CZ2Z3, COCZ1:BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl or heterocyclyl, Z2 = H, alkyl, CH2CO2Z5, Z5 = H, alkyl, cycloalkyl, Z3 = H, alkyl, Z4 = H or org. radical, D = O or CH2), were prepd. thereof for use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was prepd. via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN with guanidine IV in 1-2 N HCl. II has an MHK of 0.01 .mu.g/mL vs. Streptococcus pneumoniae (strain ATCC 49619) compared to 0.02 .mu.g/mL for ceftriaxone.

L3 ANSWER 76 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 184941-43-3 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-3-[[[(ethylamino)-1-pyrrolidinylmethylene]hydrazono]methyl]-8-oxo-, dihydrochloride,
[6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H27 N9 O5 S2 . 2 Cl H
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.
Double bond geometry as described by E or Z.



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:47035 Antibacterial cephalosporins. Ascher, Gerd;
Ludescher, Johannes (Biochemie Gesellschaft Mbh, Austria; Ascher, Gerd;
Ludescher, Johannes). PCT Int. Appl. WO 9635692 A1 19961114, 124 pp.
DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN,
CZ,
DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS,
LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
SI; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB,
GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION:
WO 1996-EP2023 19960510. PRIORITY: AT 1995-794 19950511; AT 1995-992
19950612; AT 1996-698 19960417; AT 1996-733 19960423.

GI

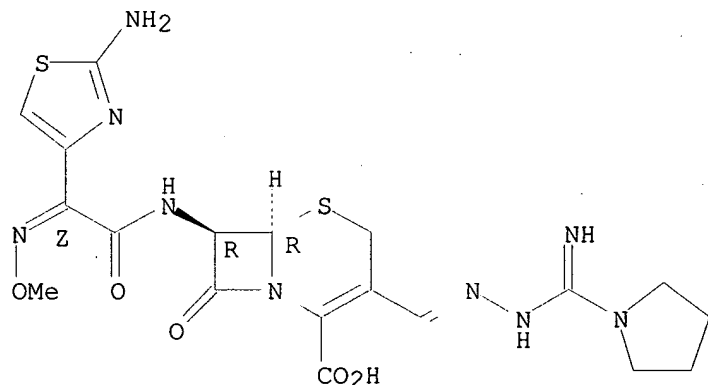
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y =
H,
alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl,
cycloalkyl,
aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl,
heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 =
H,
cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl,
cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together
with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or
cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5
together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Acl,
COCZ1:CZ2Z3, COCZ1:BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl
or heterocyclyl, Z2 = H, alkyl, CH2CO2Z5, Z5 = H, alkyl, cycloalkyl, Z3 =
Prepared by M. Hale 308-4258 Page 178

H, alkyl, Z4 = H or org. radical, D = O or CH2), were prepd. thereof for use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was prepd. via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN with guanidine IV in 1-2 N HCl. II has an MHK of 0.01 .mu.g/mL vs. Streptococcus pneumoniae (strain ATCC 49619) compared to 0.02 .mu.g/mL for ceftriaxone.

L3 ANSWER 77 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 184941-39-7 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-3-[[[(imino-1-pyrrolidinylmethyl)hydrazono]methyl]-8-oxo-, dihydrochloride, [6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C19 H23 N9 O5 S2 . 2 Cl H
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry as described by E or Z.



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:47035 Antibacterial cephalosporins. Ascher, Gerd; Ludescher, Johannes (Biochemie Gesellschaft Mbh, Austria; Ascher, Gerd; Ludescher, Johannes). PCT Int. Appl. WO 9635692 A1 19961114, 124 pp.
 DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB
 Prepared by M. Hale 308-4258 Page 179

GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION:
WO 1996-EP2023 19960510. PRIORITY: AT 1995-794 19950511; AT 1995-992
19950612; AT 1996-698 19960417; AT 1996-733 19960423.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

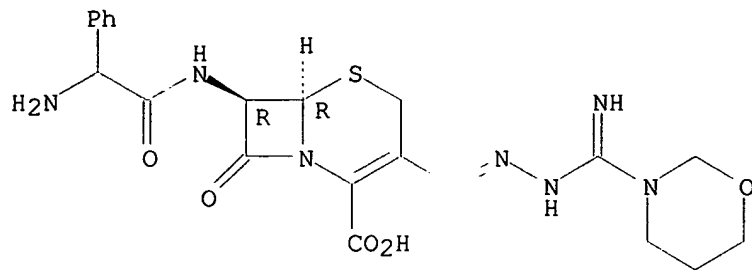
AB Compds. of formula I (R1 = H or ester moiety, R2 = OY, NR4R5, N:R6, Y =
H,
alkyl, alkenyl, acyl, carbamoyl, aryl, R4 = H, alkyl, alkenyl,
cycloalkyl,
aryl, acyl, heterocyclyl, R5 = H, alkyl, alkenyl, cycloalkyl, aryl,
heterocyclyl, C(SR7):NR8, C(NR9R10):Z, CR11:Z, R7 = alkyl or aryl, R8 =
H,
cycloalkyl, alkyl, R9 = H or alkyl, R10 = H, alkyl, OH, NH2, Ph, alkenyl,
cycloalkyl, aryl, heterocyclyl, N:CHPhe, Phe = aryl, R9 and R10 together
with nitrogen form a heterocyclyl, Z = O, S, NR13, R13 = H, alkyl or
cycloalkyl, R11 = H, alkyl, aryl, cycloalkyl, or heterocyclyl, R4 and R5
together with nitrogen form a heterocyclyl, R6 = heterocyclyl, Ac = Ac1,
COCZ1:CZ2Z3, COCZ1:BDZ4, B = N, CH, Z1 = aryl, cycloalkyl, 1,4-hexadienyl
or heterocyclyl, Z2 = H, alkyl, CH2CO2Z5, Z5 = H, alkyl, cycloalkyl, Z3 =
H, alkyl, Z4 = H or org. radical, D = O or CH2), were prepd. thereof for
use as pharmaceuticals, i.e. as antibacterial agents. Thus, II was
prepd.
via condensation of formylcephemcarboxylic acid deriv. III in 4% aq. MeCN
with guanidine IV in 1-2 N HCl. II has an MHK of 0.01 .mu.g/mL vs.
Streptococcus pneumoniae (strain ATCC 49619) compared to 0.02 .mu.g/mL
for
ceftriaxone.

L3 ANSWER 78 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 62869-99-2 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[(aminophenylacetyl)amino]-3-[[[(dihydro-2H-1,3-oxazin-3(4H)-
yl)iminomethyl]hydrazono]methyl]-8-oxo-, [6R-(6.alpha.,7.beta.)]-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME).
OTHER CA INDEX NAMES:
CN 2H-1,3-Oxazine, 5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid
deriv.
CN Acetic acid, trifluoro-, compd. with [6R-(6.alpha.,7.beta.)]-7-
[(aminophenylacetyl)amino]-3-[[[(dihydro-2H-1,3-oxazin-3(4H)-
yl)iminomethyl]hydrazono]methyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-
2-carboxylic acid (1:1)
FS STEREOSEARCH
MF C21 H25 N7 O5 S . C2 H F3 O2
LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL

CM 1

CRN 62733-46-4
CMF C21 H25 N7 O5 S

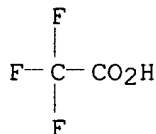
Absolute stereochemistry.
Double bond geometry unknown.



CM 2

CRN 76-05-1

CMF C2 H F3 O2

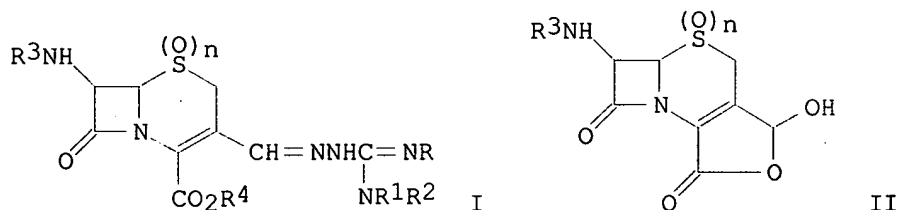


1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English). CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



AB The antibacterial (no data) (guanyldiazono)cephalosporins I (R, R1, R2

= alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; Prepared by M. Hale 308-4258 Page 181

R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 79 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 62777-35-9 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[(2,6-dimethyl-4-morpholinyl)iminomethyl]hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, (6R,7R)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[(2,6-dimethyl-4-morpholinyl)iminomethyl]hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, [6R-(6.alpha.,7.beta.)]-

FS STEREOSEARCH

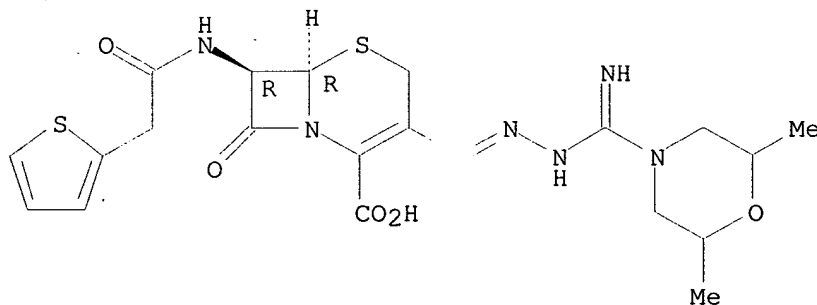
MF C21 H26 N6 O5 S2

CI COM

LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry.

Double bond geometry unknown.

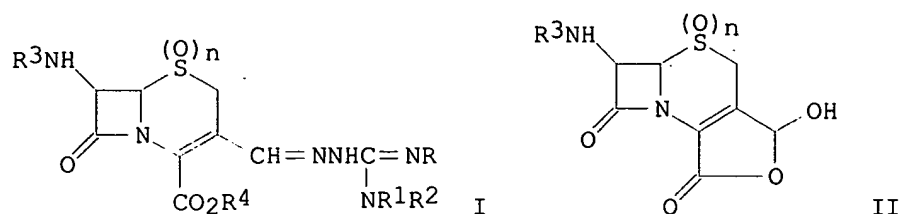


1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English). CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



AB The antibacterial (no data) (guanylhyaazono)cephalosporins I (R, R1, R2
 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 80 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 62777-34-8 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[(2,5-dimethyl-4-morpholinyl)iminomethyl]hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, (6R,7R)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[(2,5-dimethyl-4-morpholinyl)iminomethyl]hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, [6R-(6.alpha.,7.beta.)]-

FS STEREOSEARCH

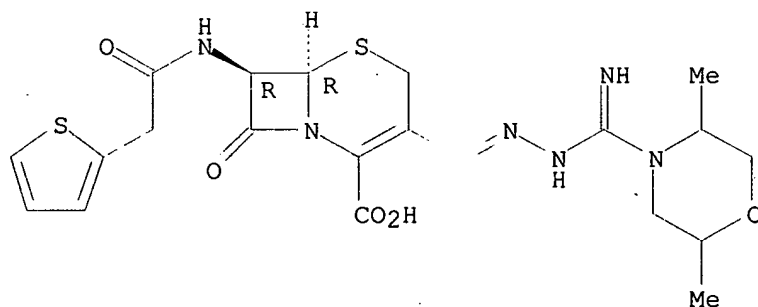
MF C21 H26 N6 O5 S2

CI COM

LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL
 (*File contains numerically searchable property data)

Absolute stereochemistry.

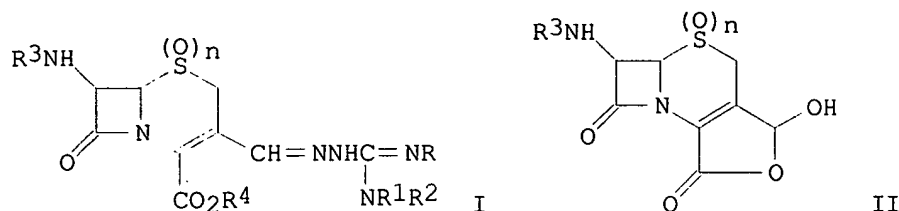
Double bond geometry unknown.



1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English). CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



AB The antibacterial (no data) (guanylhyaazono)cephalosporins I (R, R1, R2 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 81 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 62777-33-7 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[imino(3-methyl-4-morpholinyl)methyl]hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, (6R,7R)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[imino(3-methyl-4-morpholinyl)methyl]hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, [6R-(6.alpha.,7.beta.)]-

FS STEREOSEARCH

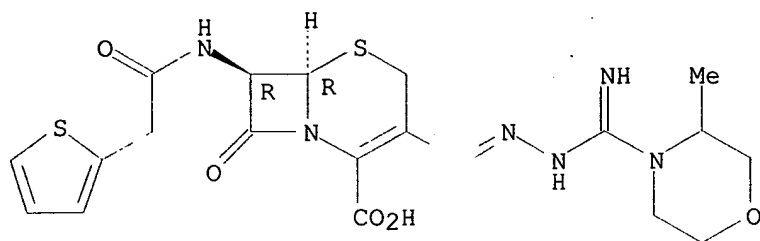
MF C20 H24 N6 O5 S2

CI COM

LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL (*File contains numerically searchable property data)

Absolute stereochemistry.

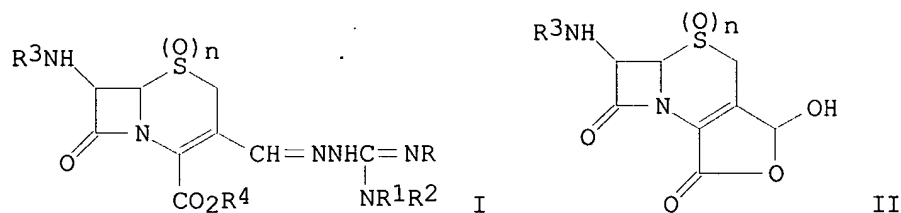
Double bond geometry unknown.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English). CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



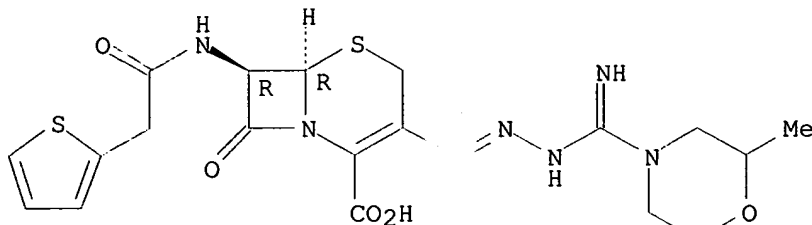
AB The antibacterial (no data) (guanyldiazono)cephalosporins I (R, R1, R2 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 82 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 62777-32-6 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[imino(2-methyl-4-morpholinyl)methyl]hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, hydrobromide (2:1), [6R-(6.alpha.,7.beta.)]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C20 H24 N6 O5 S2 . 1/2 Br H
LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL
CRN (56204-00-3)

Absolute stereochemistry. Prepared by M. Hale 308-4258

Page 185

Double bond geometry unknown.



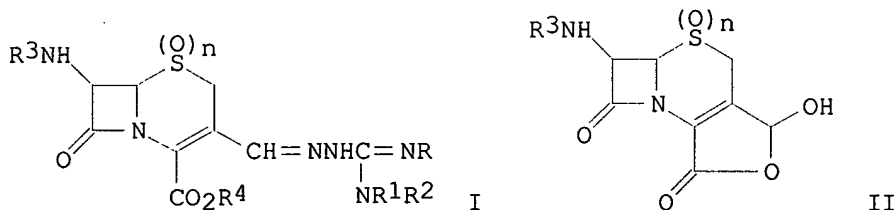
● 1/2 HBr

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English). CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



AB The antibacterial (no data) (guanyldiazono)cephalosporins I (R, R1, R2 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 83 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 62766-40-9 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-amino-3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-8-oxo-, (6R,7R)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

Prepared by M. Hale 308-4258

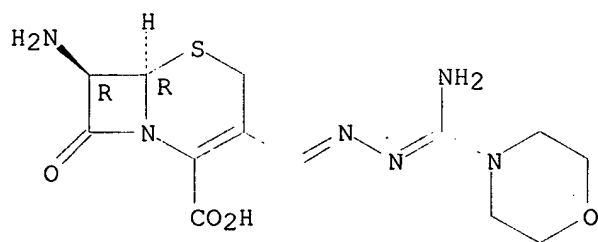
Page 186

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 7-amino-3-[[(imino-4-morpholinylmethyl)hydrazono]methyl]-8-oxo-,
 (6R-trans)-, bis(trifluoroacetate)
 CN Acetic acid, trifluoro-, compd. with (6R-trans)-7-amino-3-[[(imino-4-
 morpholinylmethyl)hydrazono]methyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-
 ene-2-carboxylic acid (2:1)
 FS STEREOSEARCH
 MF C13 H18 N6 O4 S . 2 C2 H F3 O2
 LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL

CM 1

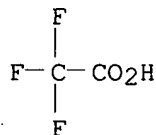
CRN 62766-39-6
 CMF C13 H18 N6 O4 S

Absolute stereochemistry.
 Double bond geometry unknown.



CM 2

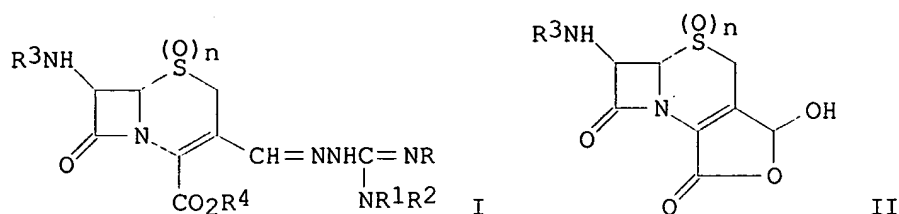
CRN 76-05-1
 CMF C2 H F3 O2



1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru;
 Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji
 (Shionogi
 and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English).
 CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

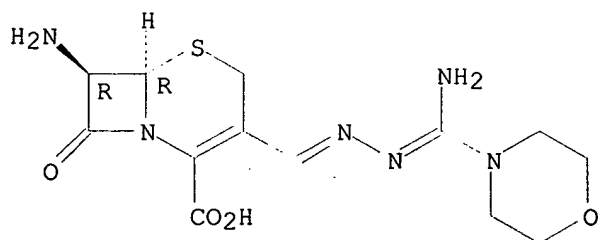
GI



AB The antibacterial (no data) (guanyldiazono)cephalosporins I (R, R1, R2
= alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 84 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 62766-39-6 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-amino-3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-8-oxo-, (6R,7R)-
(9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-amino-3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-8-oxo-,
(6R-trans)-
FS STEREOSEARCH
MF C13 H18 N6 O4 S
CI COM
LC STN Files: BEILSTEIN*
(*File contains numerically searchable property data)

Absolute stereochemistry.
Double bond geometry unknown.



L3 ANSWER 85 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 62733-47-5 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
3-[[[(dihydro-2H-1,3-oxazin-3(4H)-yl)iminomethyl]hydrazono]methyl]-7-
Prepared by M. Hale 308-4258 Page 188

[[[(1,1-dimethylethoxy)carbonyl]amino]phenylacetyl]amino]-8-oxo-,
[6R-(6.alpha.,7.beta.)]- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 2H-1,3-Oxazine, 5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid
deriv.

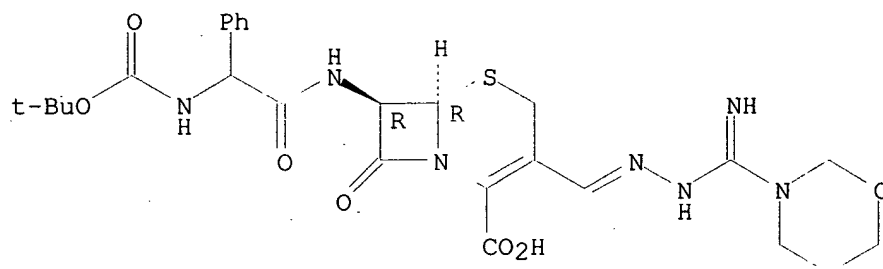
FS STEREOSEARCH

MF C26 H33 N7 O7 S

LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry.

Double bond geometry unknown.

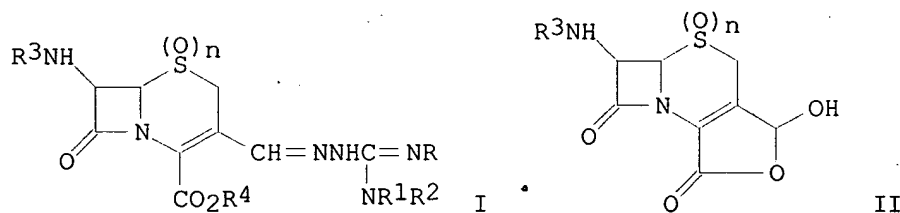


1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru;
Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji
(Shionogi
and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English).
CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



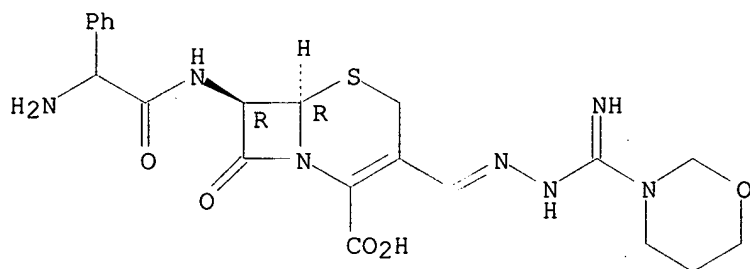
AB The antibacterial (no data) (guanyldihydrazono)cephalosporins I (R, R1, R2
=
alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e.
morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO,
H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C;
R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal
lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH,
Me)
were prepd. by treating 3-formylcephem-4-carboxylates with III.

Prepared by M. Hale 308-4258

Page 189

L3 ANSWER 86 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 62733-46-4 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 7-[(aminophenylacetyl)amino]-3-[[[(dihydro-2H-1,3-oxazin-3(4H)-
 yl)iminomethyl]hydrazono]methyl]-8-oxo-, [6R-(6.alpha.,7.beta.)]- (9CI)
 (CA INDEX NAME)
 OTHER CA INDEX NAMES:
 CN 2H-1,3-Oxazine, 5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid
 deriv.
 FS STEREOSEARCH
 MF C21 H25 N7 O5 S
 CI COM
 LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL
 (*File contains numerically searchable property data)

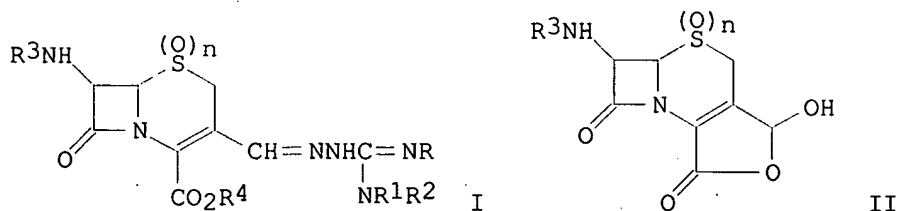
Absolute stereochemistry.
 Double bond geometry unknown.



1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru;
 Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji
 (Shionogi
 and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English).
 CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



AB The antibacterial (no data) (guanylhiazono)cephalosporins I (R, R1, R2
 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e.
 Prepared by M. Hale 308-4258 Page 190

morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH,

Me)

were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 87 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 62733-45-3 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-3-[[[(dihydro-2H-1,3-oxazin-3(4H)-yl)iminomethyl]hydrazono]methyl]-8-oxo-, methyl ester, 5-oxide, [6R-(6.alpha.,7.beta.)]- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 2H-1,3-Oxazine, 5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid deriv.

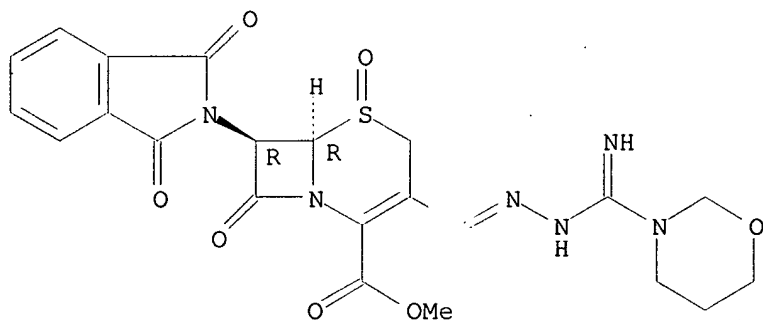
FS STEREOSEARCH

MF C22 H22 N6 O7 S

LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUIDB, USPATFULL

Absolute stereochemistry.

Double bond geometry unknown.

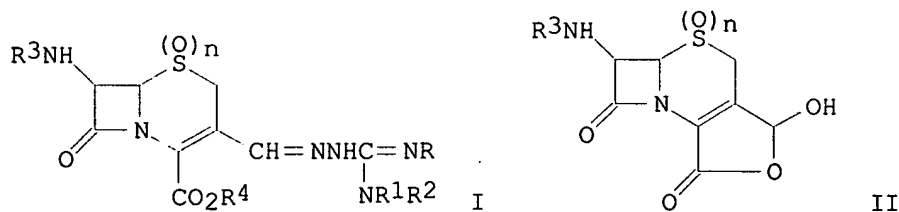


1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English). CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



Prepared by M. Hale 308-4258

Page 191

AB The antibacterial (no data) (guanylhyaazono)cephalosporins I (R, R1, R2
 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e.
 morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO,
 H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C;
 R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal
 lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH,
 Me)
 were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 88 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 62733-44-2 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[(dihydro-2H-1,3-oxazin-3(4H)-yl)iminomethyl]hydrazono]methyl]-8-oxo-7-
 [(2-thienylacetyl)amino]-, diphenylmethyl ester, (6R-trans)- (9CI) (CA
 INDEX NAME)

OTHER CA INDEX NAMES:

CN 2H-1,3-Oxazine, 5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid
 deriv.

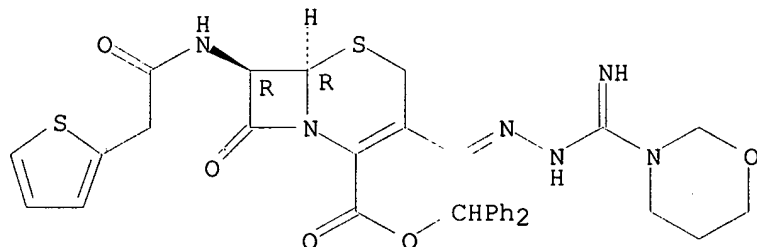
FS STEREOSEARCH

MF C32 H32 N6 O5 S2

LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL
 (*File contains numerically searchable property data)

Absolute stereochemistry.

Double bond geometry unknown.

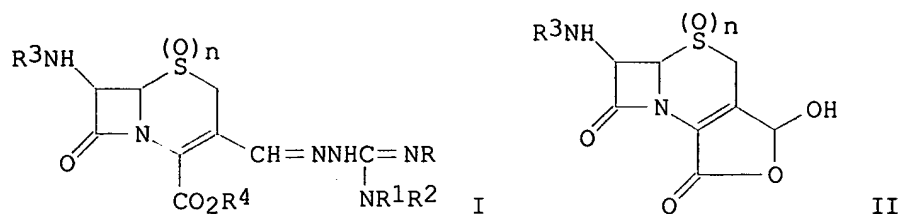


1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru;
 Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji
 (Shionogi
 and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English).
 CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



AB The antibacterial (no data) (guanyldiazono)cephalosporins I (R, R1, R2
 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e.
 morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO,
 H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C;
 R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal
 lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH,
 Me)
 were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 89 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 62733-43-1 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[(dihydro-2H-1,3-oxazin-3(4H)-yl)iminomethyl]hydrazono]methyl]-8-oxo-7-
 [(2-thienylacetyl)amino]-, monosodium salt, (6R-trans)- (9CI) (CA INDEX
 NAME)

OTHER CA INDEX NAMES:

CN 2H-1,3-Oxazine, 5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid
 deriv.

FS STEREOSEARCH

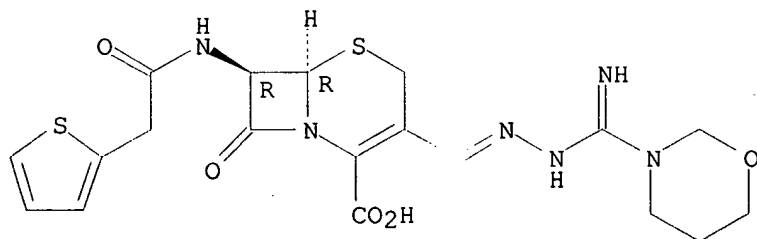
MF C19 H22 N6 O5 S2 . Na

LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL

CRN (62733-24-8)

Absolute stereochemistry.

Double bond geometry unknown.

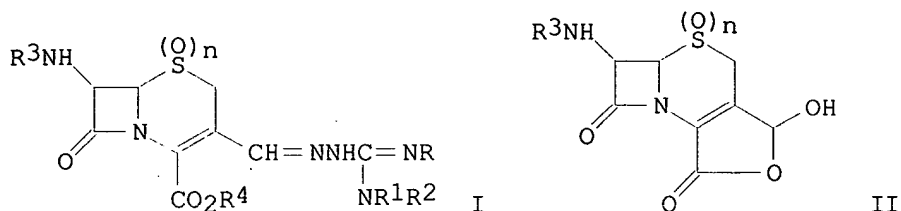


● Na

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English). CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



AB The antibacterial (no data) (guanyldihydrazone)cephalosporins I (R, R1, R2 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 90 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 62733-42-0 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-amino-3-[[[(dihydro-2H-1,3-oxazin-3(4H)-yl)iminomethyl]hydrazono]methyl]-8-oxo-, (6R-trans)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 2H-1,3-Oxazine, 5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid deriv.

CN Acetic acid, trifluoro-, compd. with (6R-trans)-7-amino-3-[[[(dihydro-2H-1,3-oxazin-3(4H)-yl)iminomethyl]hydrazono]methyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid (2:1)

FS STEREOSEARCH

MF C13 H18 N6 O4 S . 2 C2 H F3 O2

LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL

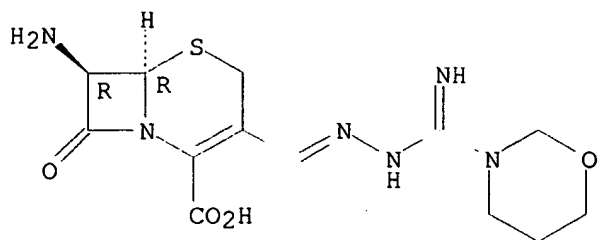
CM 1

CRN 62733-38-4

CMF C13 H18 N6 O4 S

Absolute stereochemistry.

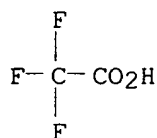
Double bond geometry unknown.



CM 2

CRN 76-05-1

CMF C2 H F3 O2

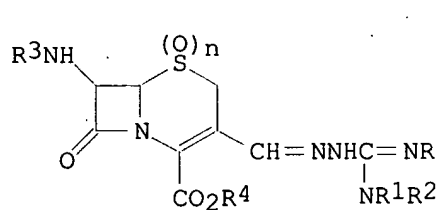


1 REFERENCES IN FILE CA (1967 TO DATE)

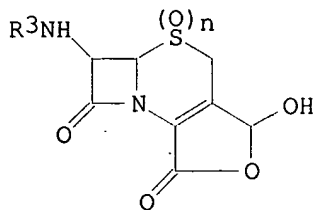
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. 3997528 19761214, 23 pp. (English). CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



I



II

AB The antibacterial (no data) (guanyldiazono)cephalosporins I (R, R1, R2 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me)

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were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 91 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 62733-41-9 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-amino-3-[[[(dihydro-2H-1,3-oxazin-3(4H)-yl)iminomethyl]hydrazono]methyl]-8-oxo-, (4-nitrophenyl)methyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 2H-1,3-Oxazine, 5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid deriv.

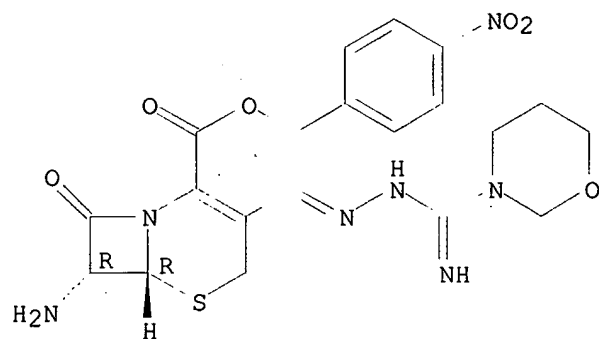
FS STEREOSEARCH

MF C20 H23 N7 O6 S

LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry.

Double bond geometry unknown.

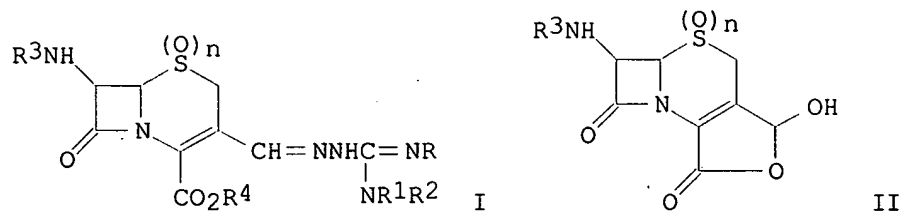


1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English). CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



AB The antibacterial (no data) (guanylhyaazono) cephalosporins I (R, R1, R2
= Prepared by M. Hale 308-4258 Page 196

alkyl, alkenyl, aralkyl, aryl, NH₂, MeO; NR₁R₂ = N-heterocyclic, i.e. morpholino, piperidino; RR₁ = CH₂CH₂; R₃ = H, thienylacetyl, PhOCH₂CO, H₂NCHPhCO, PhCH₂CO, furylacetyl, tetrazolylacetyl, Me₃CCO₂C, Cl₃CCH₂O₂C; R₄ = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H₂NNHC(NR₁R₂):NR (III). I (R₄ = 4-O₂NC₆H₄CH₂, Ph₂CH,

Me)

were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 92 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 62733-40-8 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[(dihydro-2H-1,3-oxazin-3(4H)-yl)iminomethyl]hydrazono]methyl]-8-oxo-7-[(phenoxyacetyl)amino]-, (6R-trans)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 2H-1,3-Oxazine, 5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid deriv.

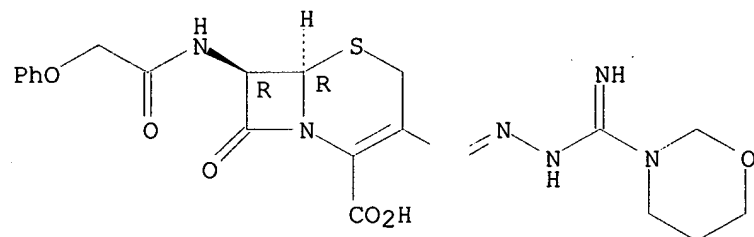
FS STEREOSEARCH

MF C21 H24 N6 O6 S

LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL

Absolute stereochemistry.

Double bond geometry unknown.

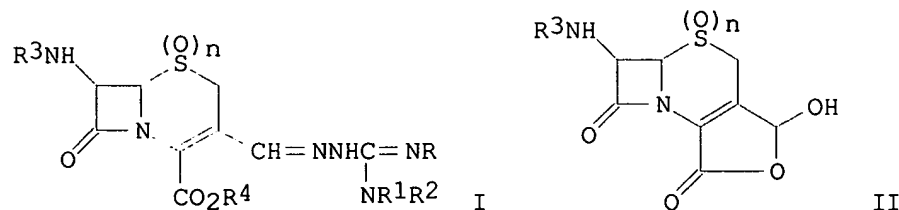


1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English). CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



AB The antibacterial (no data) (guanylhyaazono)cephalosporins I (R, R1, R2
 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e.
 morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO,
 H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C;
 R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal
 lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH,
 Me)
 were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 93 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 62733-39-5 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 7-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-3-[[[(dihydro-2H-1,3-oxazin-
 3(4H)-yl)iminomethyl]hydrazone]methyl]-8-oxo-, (6R-trans)- (9CI) (CA
 INDEX NAME)

OTHER CA INDEX NAMES:

CN 2H-1,3-Oxazine, 5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid
 deriv.

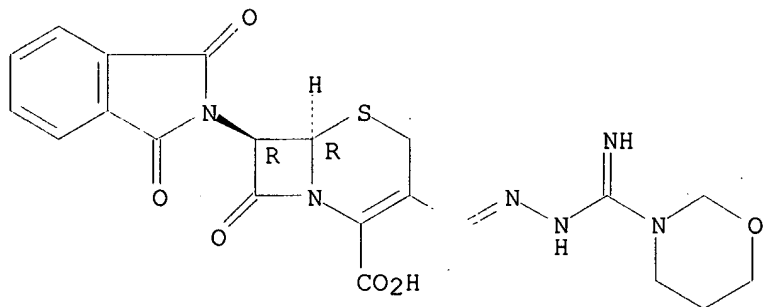
FS STEREOSEARCH

MF C21 H20 N6 O6 S

LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL

Absolute stereochemistry.

Double bond geometry unknown.

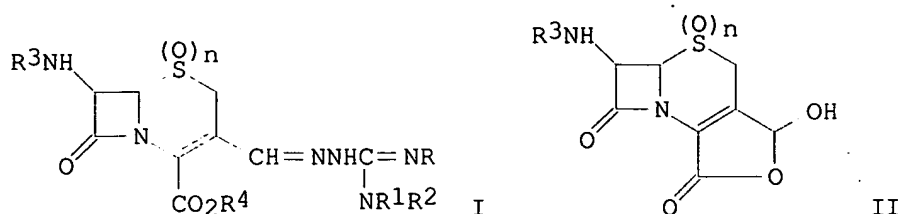


1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru;
 Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji
 (Shionogi
 and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English).
 CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



AB The antibacterial (no data) (guanylhyaazono)cephalosporins I (R, R1, R2
 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 94 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 62733-38-4 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-amino-3-[[[(dihydro-2H-1,3-oxazin-3(4H)-yl)iminomethyl]hydrazono]methyl]-8-oxo-, (6R-trans)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 2H-1,3-Oxazine, 5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid deriv.

FS STEREOSEARCH

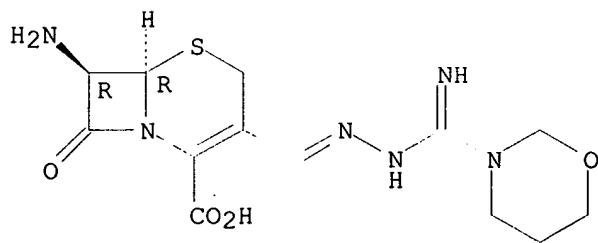
MF C13 H18 N6 O4 S

CI COM

LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL

Absolute stereochemistry.

Double bond geometry unknown.



1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

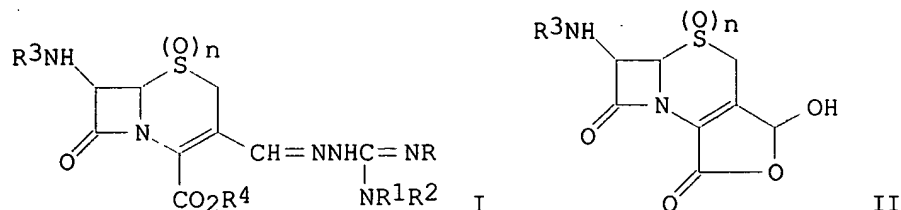
REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi)

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and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English).
 CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

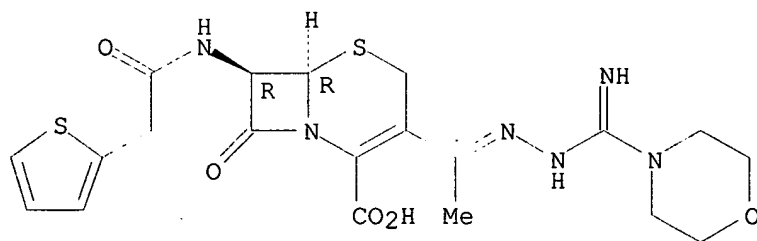
GI



AB The antibacterial (no data) (guanyldiazono)cephalosporins I (R, R1, R2
 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 95 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 62733-36-2 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 3-[1-[(imino-4-morpholinylmethyl)hydrazono]ethyl]-8-oxo-7-[(2-thienylacetyl)amino]-, (6R-trans)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C20 H24 N6 O5 S2
 LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL

Absolute stereochemistry.
 Double bond geometry unknown.

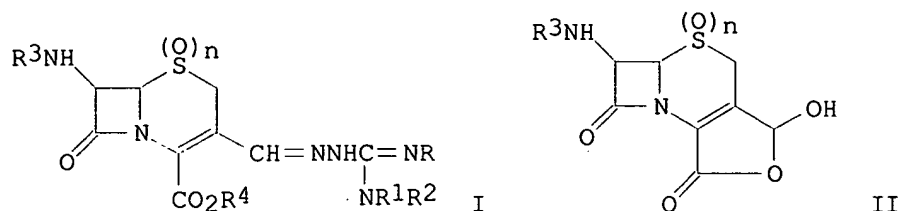


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English).
 Prepared by M. Hale 308-4258 Page 200

CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

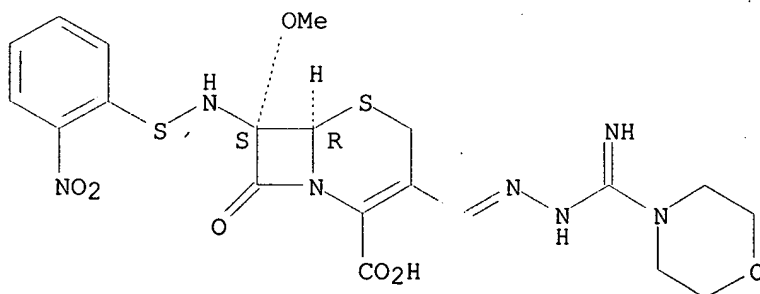
GI



AB The antibacterial (no data) (guanyldiazono)cephalosporins I (R, R1, R2
= alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 96 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 62733-35-1 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-7-methoxy-7-[[2-nitrophenyl]thio]amino]-8-oxo-, (6R-cis)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C20 H23 N7 O7 S2
LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL

Absolute stereochemistry.
Double bond geometry unknown.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

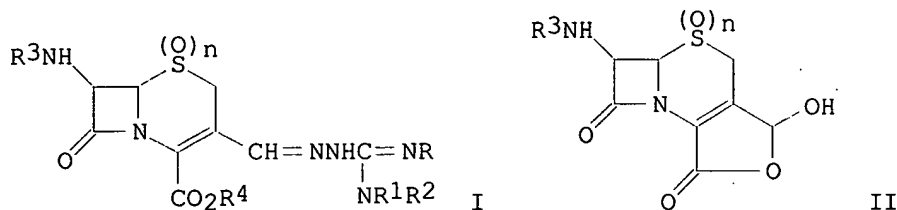
REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi)

Prepared by M. Hale 308-4258

Page 201

and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English).
 CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



AB The antibacterial (no data) (guanyldiazono)cephalosporins I (R, R1, R2
 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 97 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 62733-34-0 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-8-oxo-7-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, (6R-trans)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

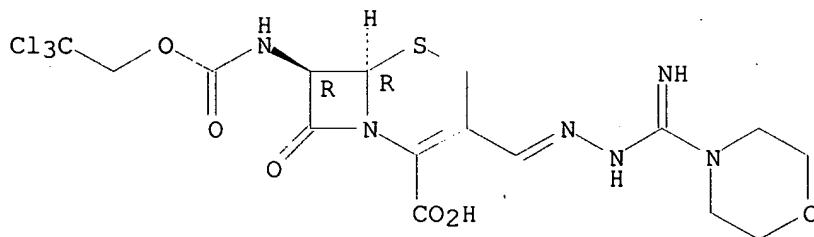
MF C16 H19 Cl3 N6 O6 S

CI COM

LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL.
 (*File contains numerically searchable property data)

Absolute stereochemistry.

Double bond geometry unknown.



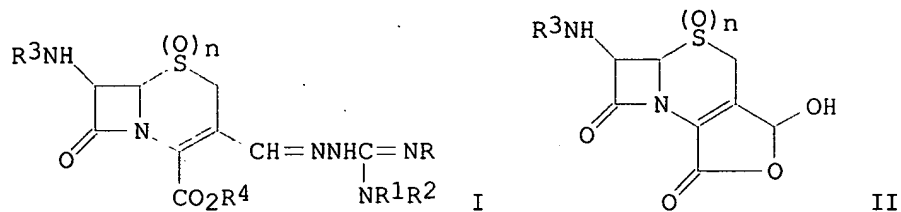
1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sando, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi) Prepared by M. Hale 308-4258 Page 202

and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English).
 CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

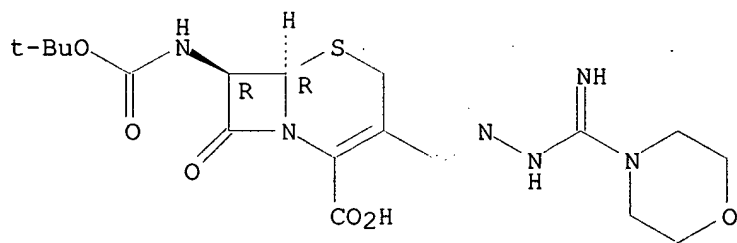
GI



AB The antibacterial (no data) (guanyldihydrozono)cephalosporins I (R, R1, R2
 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 98 OF 148. REGISTRY COPYRIGHT 2000 ACS
 RN 62733-33-9 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(1,1-dimethylethoxy)carbonyl]amino]-3-[[[(imino-4-morpholinyl)methyl]hydrazono]methyl]-8-oxo-, (6R-trans)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C18 H26 N6 O6 S
 CI COM
 LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL (*File contains numerically searchable property data)

Absolute stereochemistry.
 Double bond geometry unknown.

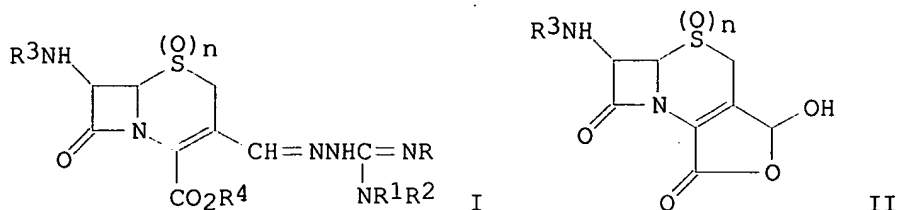


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds, Yoshioka, Mitsuru; Prepared by M. Hale 308-4258 Page 203

Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji
(Shionogi
and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English).
CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

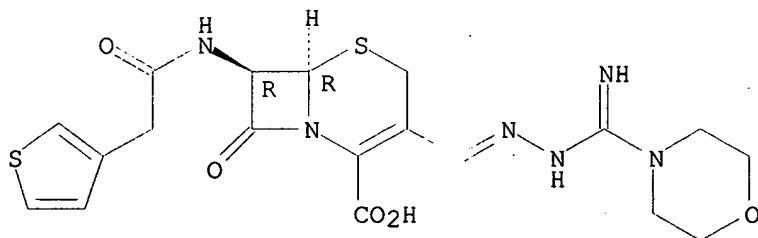
GI



AB The antibacterial (no data) (guanyldihydrazono)cephalosporins I (R, R1, R2
=
alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e.
morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO,
H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C;
R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal
lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH,
Me)
were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 99 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 62733-32-8 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-8-oxo-7-[(3-
thienylacetyl)amino]-, (6R-trans)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H22 N6 O5 S2
CI COM
LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry.
Double bond geometry unknown.



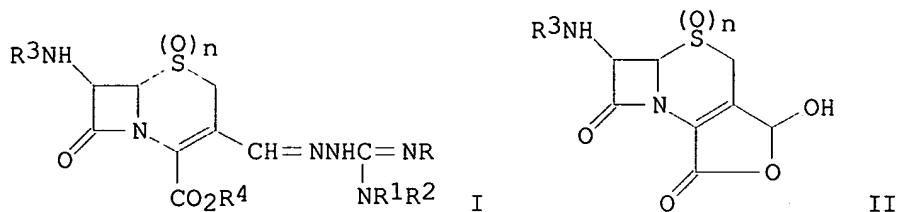
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

Prepared by M. Hale 308-4258

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REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English). CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

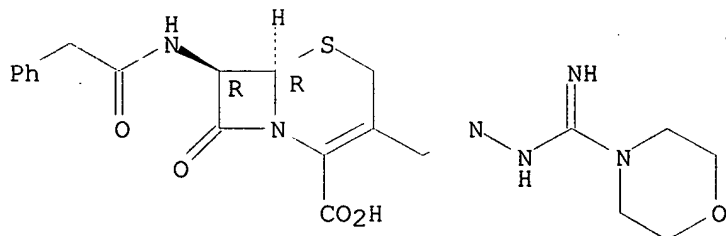
GI



AB The antibacterial (no data) (guanylhyaazono)cephalosporins I (R, R1, R2
= alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 100 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 62733-31-7 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-8-oxo-7-
[(phenylacetyl)amino]-, hydrobromide (2:1), (6R-trans)- (9CI) (CA INDEX
NAME)
FS STEREOSEARCH
MF C21 H24 N6 O5 S . 1/2 Br H
LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL

Absolute stereochemistry.
Double bond geometry unknown.



● 1/2 HBr

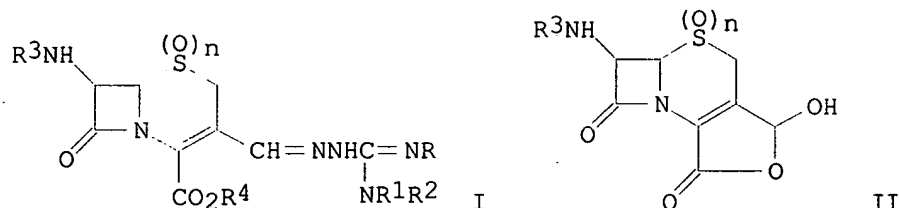
Prepared by M. Hale 308-4258

Page 205

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English). CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



AB The antibacterial (no data) (guanyldihydrazono)cephalosporins I (R, R1, R2 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 101 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 62733-30-6 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[[(1,1-dimethylethoxy)carbonyl]amino]phenylacetyl]amino]-3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-8-oxo-, [6R-(6.alpha.,7.beta.)]]-(9CI)

(CA INDEX NAME)

FS STEREOSEARCH

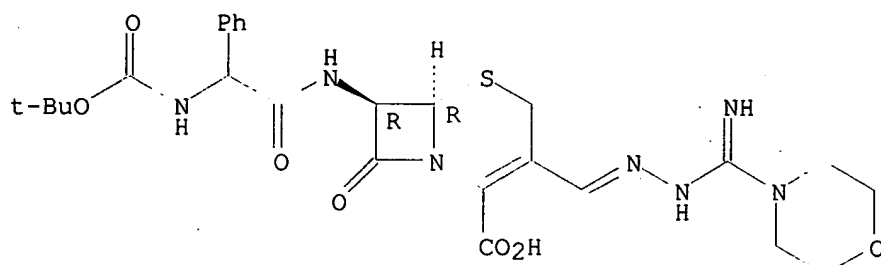
MF C26 H33 N7 O7 S

CI COM

LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL
 (*File contains numerically searchable property data)

Absolute stereochemistry.

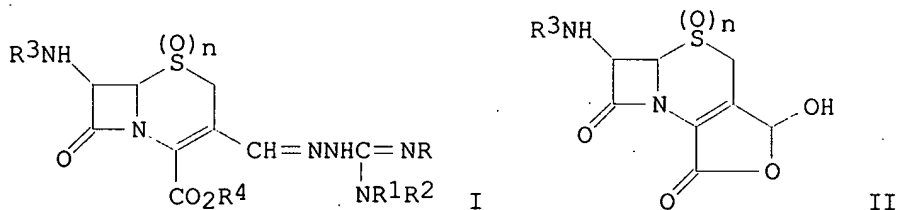
Double bond geometry unknown.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English). CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



AB The antibacterial (no data) (guanyldihydrazono)cephalosporins I (R, R1, R2
= alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 102 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 62733-29-3 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[(aminophenylacetyl)amino]-3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-8-oxo-, [6R-(6.alpha.,7.beta.)]- (9CI) (CA INDEX NAME)

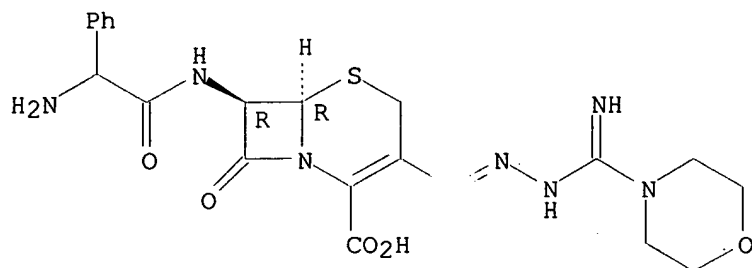
FS STEREOSEARCH

MF C21 H25 N7 O5 S

CI COM

LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL
(*File contains numerically searchable property data)
Prepared by M. Hale 308-4258

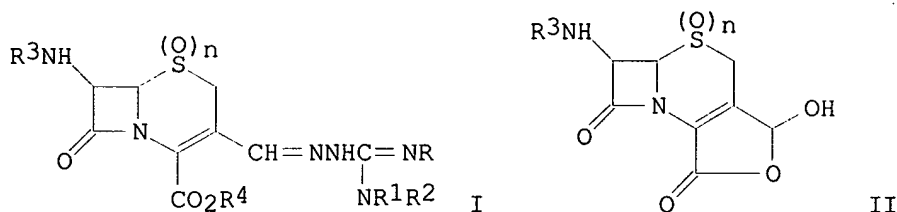
Absolute stereochemistry.
Double bond geometry unknown.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English). CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



AB The antibacterial (no data) (guanyldiazono)cephalosporins I (R, R1, R2 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 103 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 62733-28-2 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[[(2,3-dihydro-1-methyl-1H-tetrazol-5-yl)thio]acetyl]amino]-3-[[[imino-4-morpholinylmethyl]hydrazono]methyl]-8-oxo-, (6R-trans)- (9CI) (CA

INDEX

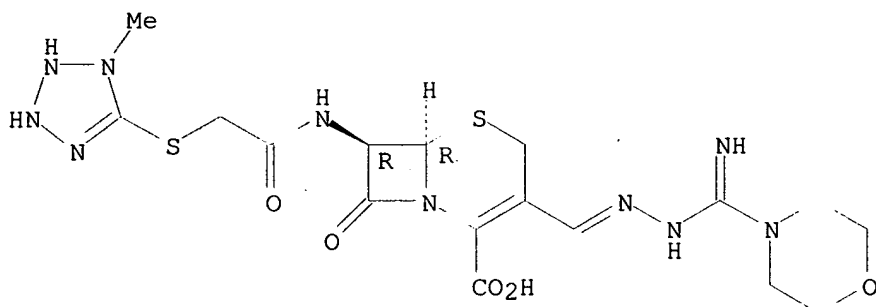
NAME)

Prepared by M. Hale 308-4258

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FS STEREOSEARCH
 MF C17 H24 N10 O5 S2
 LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL

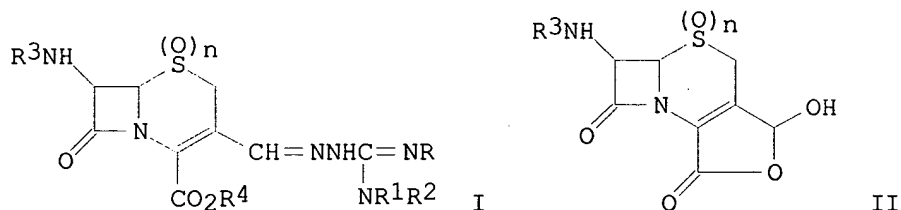
Absolute stereochemistry.
 Double bond geometry unknown.



1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English). CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI

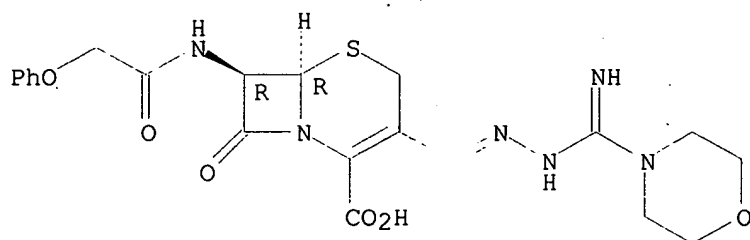


AB The antibacterial (no data) (guanyldiazono)cephalosporins I (R, R1, R2 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 104 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 62733-27-1 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-8-oxo-7-
 Prepared by M. Hale 308-4258

[(phenoxyacetyl)amino]-, (6R-trans)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C21 H24 N6 O6 S
 CI COM
 LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL
 (*File contains numerically searchable property data)

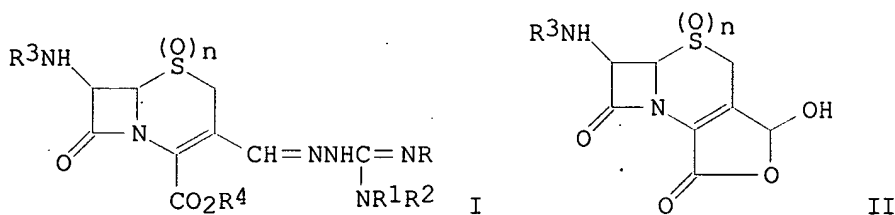
Absolute stereochemistry.
 Double bond geometry unknown.



1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru;
 Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji
 (Shionogi
 and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English).
 CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



AB The antibacterial (no data) (guanylhyaazono)cephalosporins I (R, R1, R2
 =
 alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e.
 morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO,
 H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C;
 R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal
 lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH,
 Me)
 were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 105 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 62733-26-0 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 Prepared by M. Hale 308-4258

3-[[[(imino-4-thiomorpholinylmethyl)hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, (6R-trans)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Thiomorpholine, 5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid deriv.

FS STEREOSEARCH

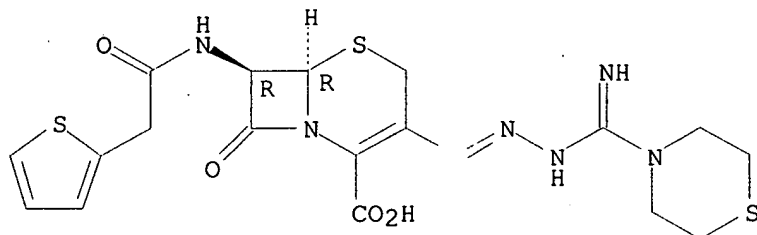
MF C19 H22 N6 O4 S3

CI COM

LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry.

Double bond geometry unknown.

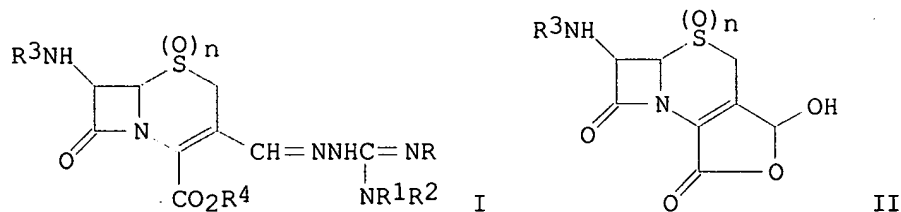


1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English). CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



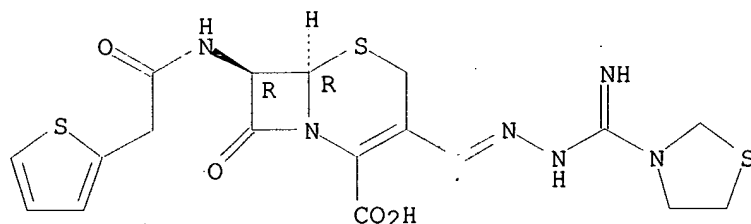
AB The antibacterial (no data) (guanylhiazono)cephalosporins I (R, R1, R2 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

Prepared by M. Hale 308-4258

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L3 ANSWER 106 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 62733-25-9 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 3-[[[(imino-3-thiazolidinylmethyl)hydrazono]methyl]-8-oxo-7-[(2-
 thienylacetyl)amino]-, (6R-trans)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C18 H20 N6 O4 S3
 CI COM
 LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL
 (*File contains numerically searchable property data)

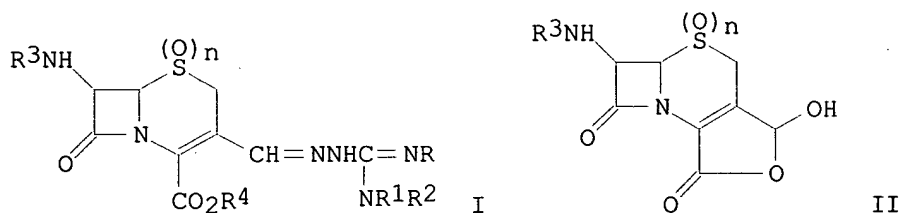
Absolute stereochemistry.
 Double bond geometry unknown.



1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru;
 Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji
 (Shionogi
 and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English).
 CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



AB The antibacterial (no data) (guanylhiazono)cephalosporins I (R, R1, R2
 =
 alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e.
 morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO,
 H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C;
 R4 = H; n = 1, 0) and their salts were prep'd. by treating the hemiacetal
 lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH,
 Me)
 were prep'd. by treating 3-formylcephem-4-carboxylates with III.

Prepared by M. Hale 308-4258

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L3 ANSWER 107 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 62733-24-8 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[(dihydro-2H-1,3-oxazin-3(4H)-yl)iminomethyl]hydrazono]methyl]-8-oxo-7-
 [(2-thienylacetyl)amino]-, (6R-trans)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 2H-1,3-Oxazine, 5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid
 deriv.

FS STEREOSEARCH

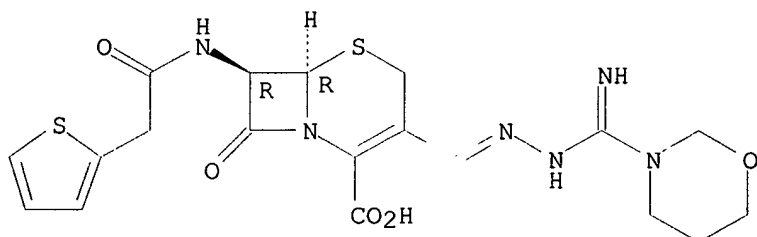
MF C19 H22 N6 O5 S2

CI COM

LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL
 (*File contains numerically searchable property data)

Absolute stereochemistry.

Double bond geometry unknown.

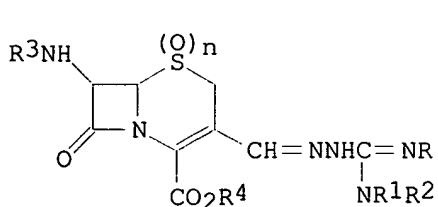


1 REFERENCES IN FILE CA (1967 TO DATE)

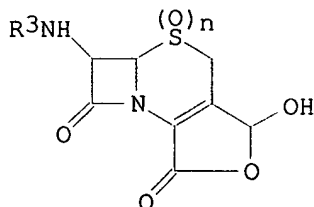
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru;
 Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji
 (Shionogi
 and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English).
 CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



I



II

AB The antibacterial (no data) (guanyldihydrazono)cephalosporins I (R, R1, R2

=

alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e.
 morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO,
 Prepared by M. Hale 308-4258

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H₂NCHPhCO, PhCH₂CO, furylacetyl, tetrazolylacetyl, Me₃CCO₂C, Cl₃CCCH₂O₂C;
R₄ = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal
lactones II with H₂NNHC(NR₁R₂):NR (III). I (R₄ = 4-O₂NC₆H₄CH₂, Ph₂CH,

Me)

were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 108 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 62733-23-7 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[imino(tetrahydro-2H-1,2-oxazin-2-yl)methyl]hydrazono]methyl]-8-oxo-7-
[(2-thienylacetyl)amino]-, (6R-trans)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 2H-1,2-Oxazine, 5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid
deriv.

FS STEREOSEARCH

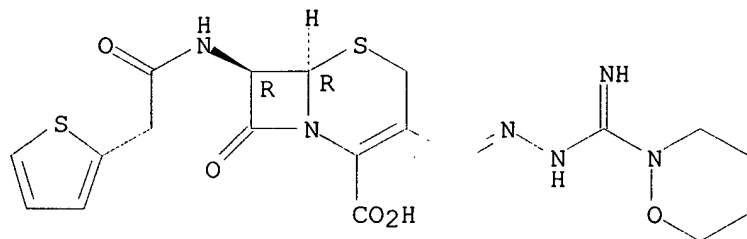
MF C19 H22 N6 O5 S2

CI COM

LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry.

Double bond geometry unknown.

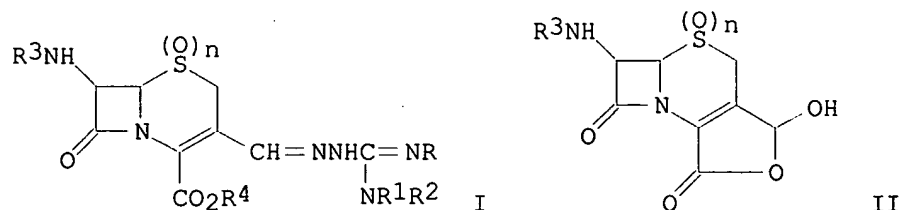


1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru;
Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji
(Shionogi
and Co., Ltd., Japan). U.S. 3997528 19761214, 23 pp. (English).
CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

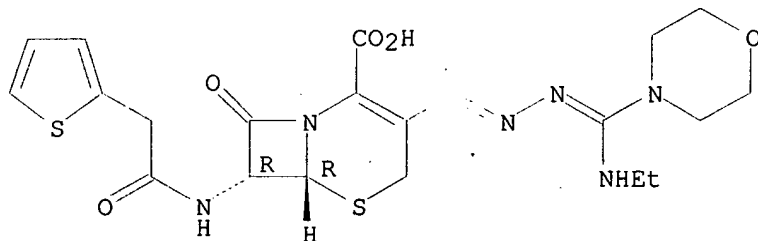
GI



AB The antibacterial (no data) (guanyldiazono)cephalosporins I (R, R1, R2 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 109 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 62733-22-6 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[(ethylamino)-4-morpholinylmethylene]hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, hydriodide (2:1), (6R-trans)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C21 H26 N6 O5 S2 . 1/2 H I
 LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL

Absolute stereochemistry.
 Double bond geometry unknown.

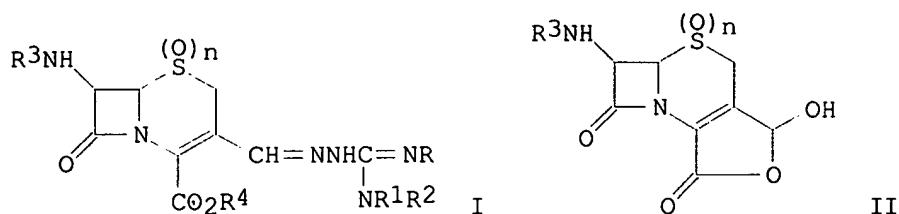


● 1/2 HI

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English). CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

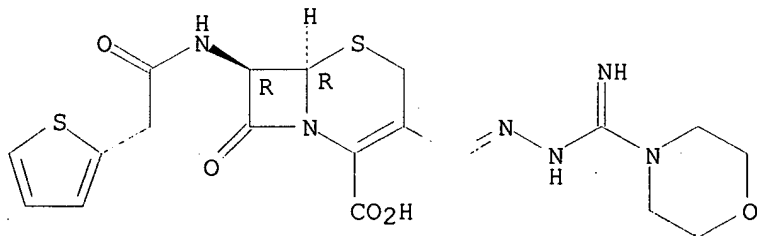
GI



AB The antibacterial (no data) (guanyldiazono)cephalosporins I (R, R1, R2
 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 110 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 62733-21-5 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, hydriodide (2:1), (6R-trans)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C19 H22 N6 O5 S2 . 1/2 H I
 LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL
 CRN (62733-20-4)

Absolute stereochemistry.
 Double bond geometry unknown.



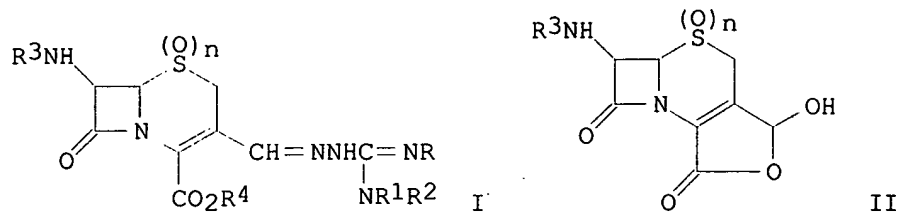
● 1/2 HI

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sando, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi) Prepared by M. Hale 308-4258 Page 216

and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English).
 CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

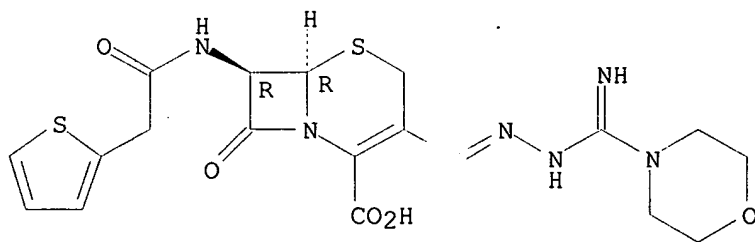
GI



AB The antibacterial (no data) (guanyldiazono)cephalosporins I (R, R1, R2
 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 111 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 62733-20-4 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, (6R-trans)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C19 H22 N6 O5 S2
 CI COM
 LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL (*File contains numerically searchable property data)

Absolute stereochemistry.
 Double bond geometry unknown.

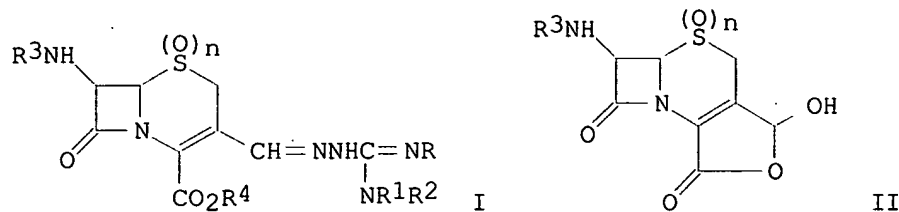


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi) Prepared by M. Hale 308-4258 Page 217

and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English).
 CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

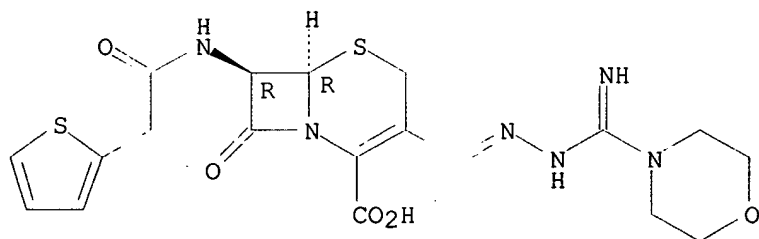
GI



AB The antibacterial (no data) (guanyldiazono)cephalosporins I (R, R1, R2
 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 112 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 62733-19-1 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, hydrobromide (2:1), (6R-trans)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C19 H22 N6 O5 S2 . 1/2 Br H
 LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL
 CRN (62733-20-4)

Absolute stereochemistry.
 Double bond geometry unknown.



● 1/2 HBr

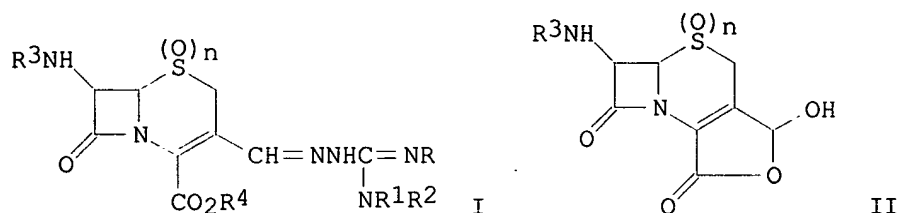
1 REFERENCES IN FILE CA (1967 TO DATE)
 Prepared by M. Hale 308-4258

Page 218

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English). CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



AB The antibacterial (no data) (guanyldihydrazono)cephalosporins I (R, R1, R2 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 113 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 62733-18-0 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[(2,5-dihydro-1H-pyrrol-1-yl)iminomethyl]hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, (6R-trans)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

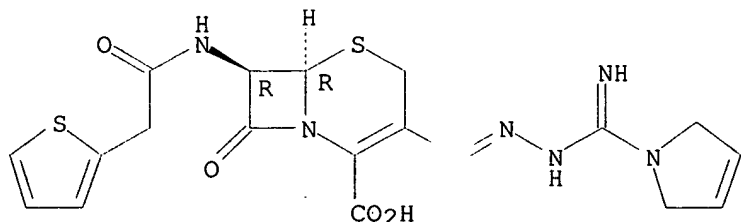
MF C19 H20 N6 O4 S2

CI COM

LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL (*File contains numerically searchable property data)

Absolute stereochemistry.

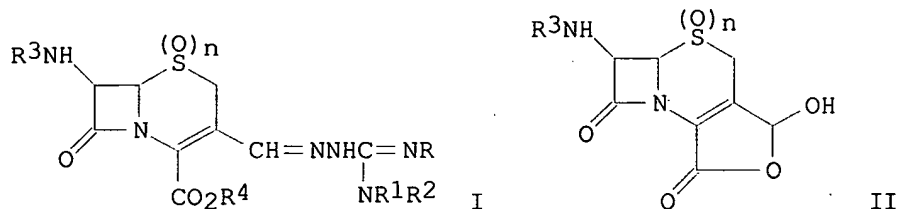
Double bond geometry unknown.



1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English). CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

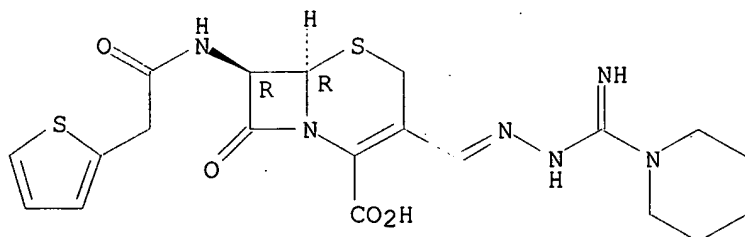
GI



AB The antibacterial (no data) (guanyldihydrazono)cephalosporins I (R, R1, R2 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 114 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 62733-17-9 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[(imino-1-piperidinylmethyl)hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, (6R-trans)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C20 H24 N6 O4 S2
 CI COM
 LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL (*File contains numerically searchable property data)

Absolute stereochemistry.
 Double bond geometry unknown.



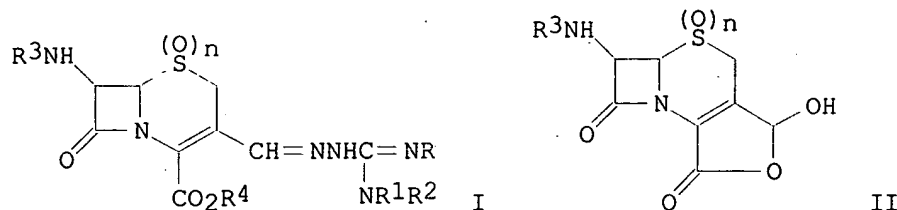
Prepared by M. Hale 308-4258

Page 220

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English). CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

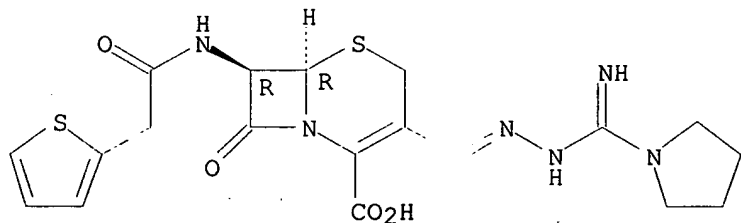
GI



AB The antibacterial (no data) (guanyldihydrazono)cephalosporins I (R, R1, R2 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 115 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 62733-16-8 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[(imino-1-pyrrolidinylmethyl)hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, (6R-trans)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H22 N6 O4 S2
CI COM
LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL (*File contains numerically searchable property data)

Absolute stereochemistry.
Double bond geometry unknown.



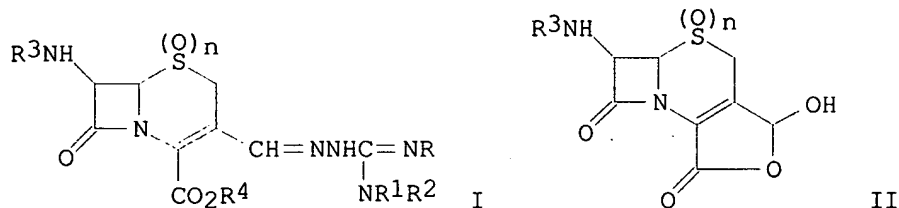
Prepared by M. Hale 308-4258

Page 221

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English). CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



AB The antibacterial (no data) (guanyldiazono)cephalosporins I (R, R₁, R₂
= alkyl, alkenyl, aralkyl, aryl, NH₂, MeO; NR₁R₂ = N-heterocyclic, i.e. morpholino, piperidino; RR₁ = CH₂CH₂; R₃ = H, thienylacetyl, PhOCH₂CO, H₂NCHPhCO, PhCH₂CO, furylacetyl, tetrazolylacetyl, Me₃CCO₂C, Cl₃CCCH₂O₂C; R₄ = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H₂NNHC(NR₁R₂):NR (III). I (R₄ = 4-O₂NC₆H₄CH₂, Ph₂CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 116 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 62732-98-3 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-(acetylamino)-3-[[[(dihydro-2H-1,3-oxazin-3(4H)-yl)iminomethyl]hydrazono]methyl]-8-oxo-, (4-nitrophenyl)methyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 2H-1,3-Oxazine, 5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid deriv.

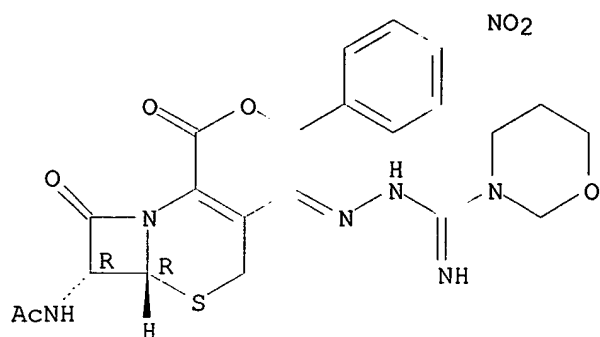
FS STEREOSEARCH

MF C22 H25 N7 O7 S

LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL (*File contains numerically searchable property data)

Absolute stereochemistry.

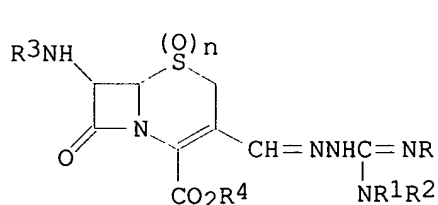
Double bond geometry unknown.



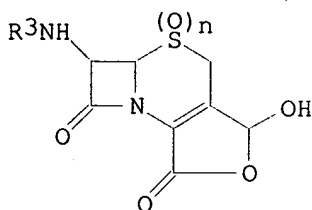
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English). CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



I



II

AB The antibacterial (no data) (guanyldihydrazono)cephalosporins I (R, R1, R2 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 117 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 62732-96-1 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-3-[[[(dihydro-2H-1,3-oxazin-3(4H)-yl)iminomethyl]hydrazono]methyl]-8-oxo-, methyl ester, (6R-trans)-(9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

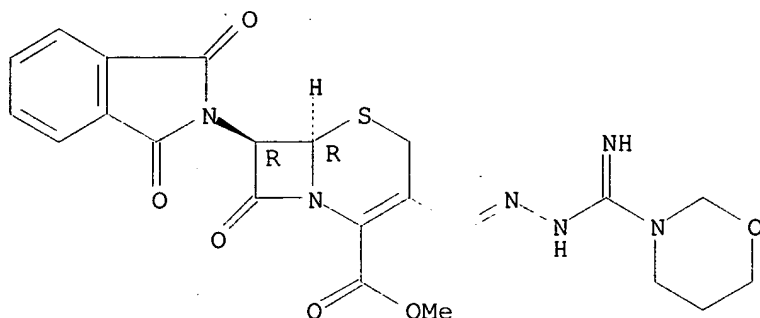
CN 2H-1,3-Oxazine, 5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid deriv.

Prepared by M. Hale 308-4258

Page 223

FS STEREOSEARCH
 MF C22 H22 N6 O6 S
 LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL
 (*File contains numerically searchable property data)

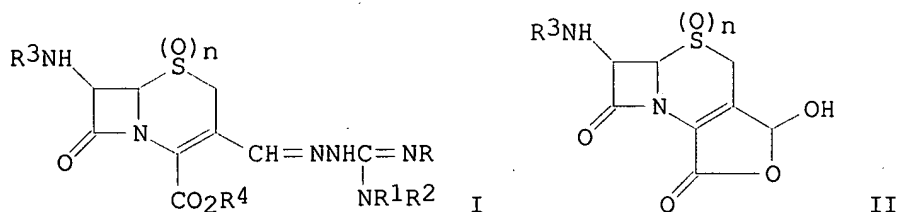
Absolute stereochemistry.
 Double bond geometry unknown.



1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru;
 Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji
 (Shionogi
 and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English).
 CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



AB The antibacterial (no data) (guanyldihydrazono)cephalosporins I (R, R1, R2
 =
 alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e.
 morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO,
 H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C;
 R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal
 lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH,
 Me)
 were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 118 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 62732-95-0 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 Prepared by M. Hale 308-4258

3-[[[(dihydro-2H-1,3-oxazin-3(4H)-yl)iminomethyl]hydrazono]methyl]-8-oxo-7-
 [(2-thienylacetyl)amino]-, diphenylmethyl ester, 5-oxide,
 [6R-(6.alpha.,7.beta.)]- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 2H-1,3-Oxazine, 5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid
 deriv.

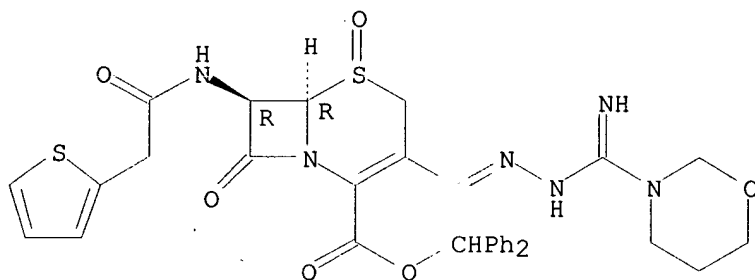
FS STEREOSEARCH

MF C32 H32 N6 O6 S2

LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL
 (*File contains numerically searchable property data)

Absolute stereochemistry.

Double bond geometry unknown.

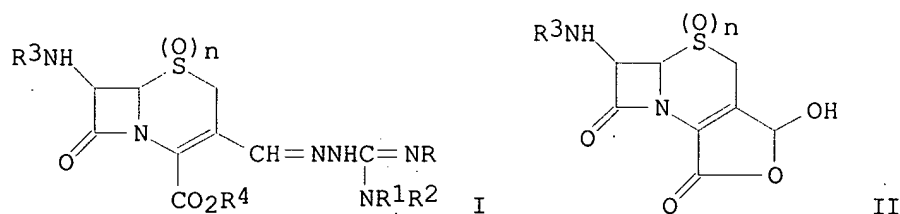


1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru;
 Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji
 (Shionogi
 and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English).
 CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI

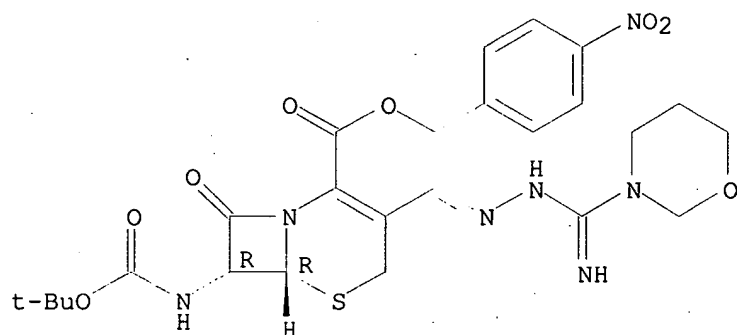


AB The antibacterial (no data) (guanylhydrazono)cephalosporins I (R, R1, R2
 =
 alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e.
 morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO,
 H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C;
 R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal
 lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH,
 Me)
 Prepared by M. Hale 308-4258 Page 225

were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 119 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 62732-94-9 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 3-[[[(dihydro-2H-1,3-oxazin-3(4H)-yl)iminomethyl]hydrazono]methyl]-7-
 [[(1,1-dimethylethoxy)carbonyl]amino]-8-oxo-, (4-nitrophenyl)methyl
 ester,
 (6R-trans)- (9CI) (CA INDEX NAME)
 OTHER CA INDEX NAMES:
 CN 2H-1,3-Oxazine, 5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid
 deriv.
 FS STEREOSEARCH
 MF C25 H31 N7 O8 S
 LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL
 (*File contains numerically searchable property data)

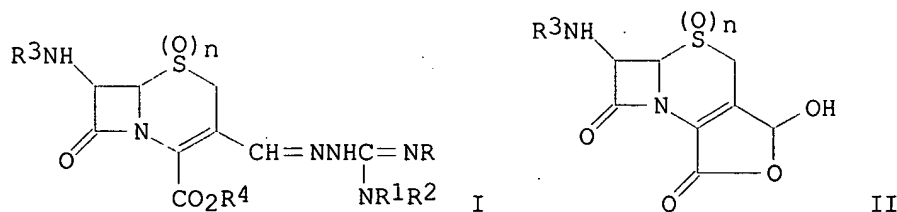
Absolute stereochemistry.
 Double bond geometry unknown.



1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru;
 Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji
 (Shionogi
 and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English).
 CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

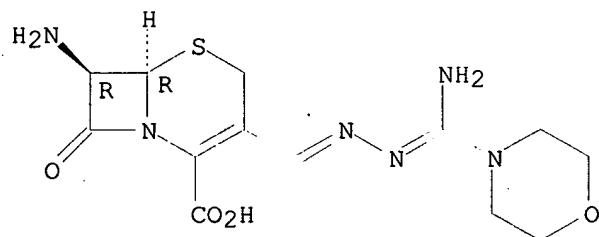
GI



AB The antibacterial (no data) (guanylhyaazono)cephalosporins I (R, R1, R2
= alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

L3 ANSWER 120 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 56376-57-9 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-amino-3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-8-oxo-, monohydrobromide, (6R,7R)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-amino-3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-8-oxo-, monohydrobromide, (6R-trans)-
FS STEREOSEARCH
MF C13 H18 N6 O4 S . Br H
LC STN Files: CA, CAPLUS
CRN (62766-39-6)

Absolute stereochemistry.
Double bond geometry unknown.



● HBr

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru; Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp. (German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

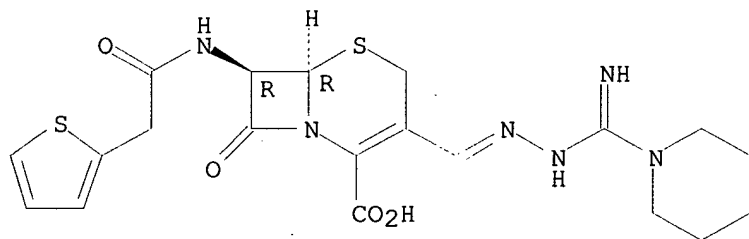
AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2), PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were prepd.

in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl
Prepared by M. Hale 308-4258

3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with 4,4-(3-oxopentamethylene)guanyldiazine-HBr and THF for 16.5 hr at room temp. to give 72% of the
3-[[4,4-(3-oxopentamethylene)guanyldiazono]methyl] cephem deriv.

L3 ANSWER 121 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 56210-08-3 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
3-[[[(imino-1-piperidinylmethyl)hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, monohydriodide, (6R-trans)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C20 H24 N6 O4 S2 . H I
LC STN Files: CA, CAPLUS
CRN (62733-17-9)

Absolute stereochemistry.
Double bond geometry unknown.



● HI

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru; Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp. (German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2), PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were prepd.

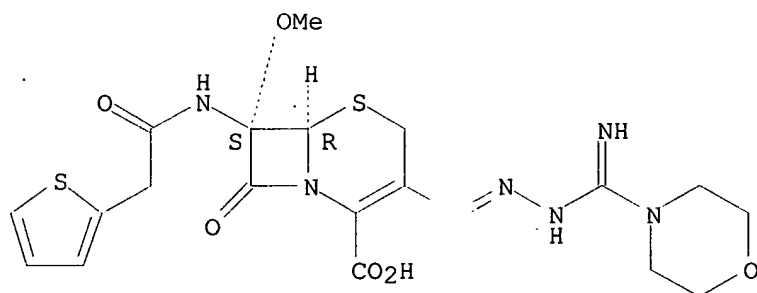
in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl 3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with 4,4-(3-oxopentamethylene)guanyldiazine-HBr and THF for 16.5 hr at room temp. to give 72% of the

3-[[4,4-(3-oxopentamethylene)guanyldiazono]methyl] cephem deriv.

L3 ANSWER 122 OF 148 REGISTRY COPYRIGHT 2000 ACS
RN 56204-21-8 REGISTRY
Prepared by M. Hale 308-4258

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-7-methoxy-8-oxo-7-[(2-
 thienylacetyl)amino]-, monohydrobromide, (6R-cis)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C20 H24 N6 O6 S2 . Br H
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.
 Double bond geometry unknown.



● HBr

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru;
 Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji
 (Shionogi
 and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp.
 (German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2),
 PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were
 prepd.

in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl
 3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with
 4,4-(3-oxopentamethylene)guanylhydrazine-HBr and THF for 16.5 hr at room
 temp. to give 72% of the
 3-[[[4,4-(3-oxopentamethylene)guanylhydrazono]meth
 yl] cephem deriv.

L3 ANSWER 123 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 56204-20-7 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-7-[[[2-
 nitrophenyl]thio]amino]-8-oxo-, monohydrobromide, (6R-trans)- (9CI) (CA
 INDEX NAME)

FS STEREOSEARCH

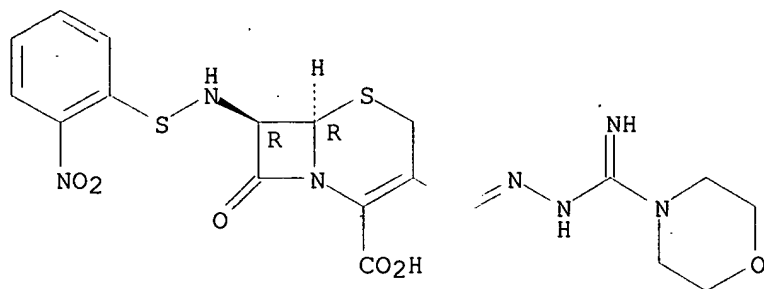
MF C19 H21 N7 O6 S2 . Br H

LC STN Files: CA, CAPLUS

Prepared by M. Hale 308-4258

Page 229

Absolute stereochemistry.
Double bond geometry unknown.



● HBr

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru; Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp. (German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2), PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were prepd.

in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl 3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with 4,4-(3-oxopentamethylene)guanyldiazine-HBr and THF for 16.5 hr at room temp. to give 72% of the 3-[[4,4-(3-oxopentamethylene)guanyldiazono]methyl] cephem deriv.

L3 ANSWER 124 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 56204-19-4 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-8-oxo-7-[(2,2,2-trichloroethoxy)carbonyl]amino]-, monohydrobromide, (6R-trans)- (9CI)

(CA

INDEX NAME)

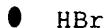
FS STEREOSEARCH

MF C16 H19 Cl3 N6 O6 S . Br H

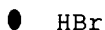
LC STN Files: CA, CAPLUS

CRN (62733-34-0)

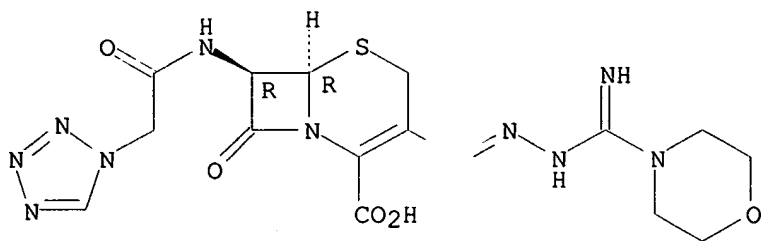
Absolute stereochemistry.
Double bond geometry unknown.



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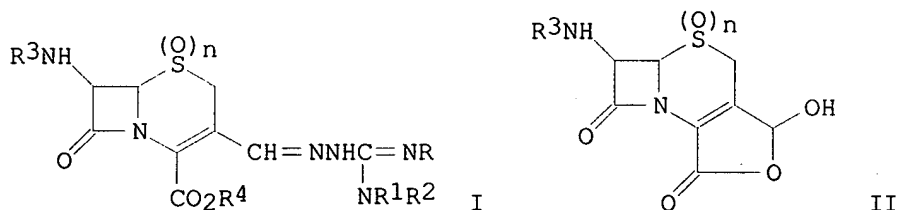
● HBr

2 REFERENCES IN FILE CA (1967 TO DATE)

2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English). CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



AB The antibacterial (no data) (guanyldiazono)cephalosporins I (R, R₁, R₂ = alkyl, alkenyl, aralkyl, aryl, NH₂, MeO; NR₁R₂ = N-heterocyclic, i.e. morpholino, piperidino; RR₁ = CH₂CH₂; R₃ = H, thienylacetyl, PhOCH₂CO, H₂NCHPhCO, PhCH₂CO, furylacetyl, tetrazolylacetyl, Me₃CCO₂C, Cl₃CCCH₂O₂C; R₄ = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H₂NNHC(NR₁R₂):NR (III). I (R₄ = 4-O₂NC₆H₄CH₂, Ph₂CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

REFERENCE 2: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru; Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp. (German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

AB Approx. 60 bactericides (no data) I (e.g., R₁ = 2-thienyl, PhCH(NH₂),

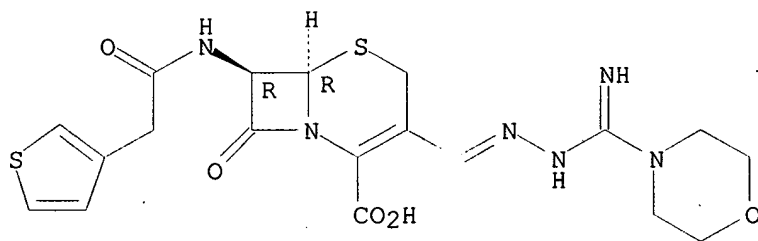
Prepared by M. Hale 308-4258

Page 233

PhCH₂, 2-furyl; R₂, R₃ = H, Et, allyl, Ph; NR₂R₃ = morpholino) were
 prepd.
 in 41-100% yield by treatment of II with H₂NNHC(:NH)NR₂R₃. P-nitrophenyl
 3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with
 4,4-(3-oxopentamethylene)guanyldiazine-HBr and THF for 16.5 hr at room
 temp. to give 72% of the
 3-[[4,4-(3-oxopentamethylene)guanyldiazono]meth
 yl] cephem deriv.

L3 ANSWER 127 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 56204-16-1 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-8-oxo-7-[(3-
 thienylacetyl)amino]-, monohydrobromide, (6R-trans)- (9CI) (CA INDEX
 NAME)
 FS STEREOSEARCH
 MF C19 H22 N6 O5 S2 . Br H
 LC STN Files: CA, CAPLUS
 CRN (62733-32-8)

Absolute stereochemistry.
 Double bond geometry unknown.



● HBr

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru;
 Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji
 (Shionogi
 and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp.
 (German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

AB Approx. 60 bactericides (no data) I (e.g., R₁ = 2-thienyl, PhCH(NH₂),
 PhCH₂, 2-furyl; R₂, R₃ = H, Et, allyl, Ph; NR₂R₃ = morpholino) were
 prepd.

in 41-100% yield by treatment of II with H₂NNHC(:NH)NR₂R₃. P-nitrophenyl
 3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with
 4,4-(3-oxopentamethylene)guanyldiazine-HBr and THF for 16.5 hr at room
 temp. to give 72% of the
 3-[[4,4-(3-oxopentamethylene)guanyldiazono]meth
 yl] cephem deriv.

Prepared by M. Hale 308-4258

Page 234

yl] cephem deriv.

L3 ANSWER 128 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 56204-15-0 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[(2-furanylacetyl)amino]-3-[[(imino-4-morpholinylmethyl)hydrazono]methyl
]-8-oxo-, monohydrobromide, (6R-trans)- (9CI) (CA INDEX NAME)

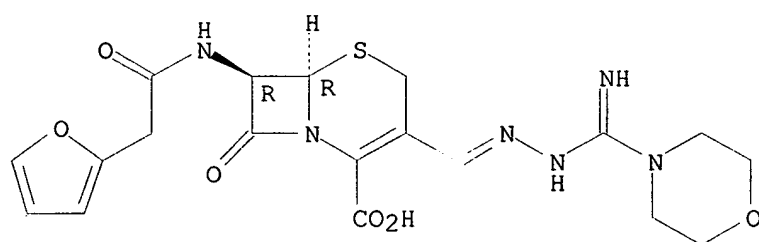
FS STEREOSEARCH

MF C19 H22 N6 O6 S . Br H

LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry.

Double bond geometry unknown.



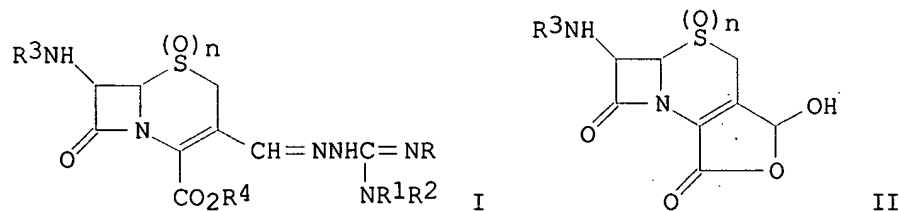
● HBr

2 REFERENCES IN FILE CA (1967 TO DATE)

2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru;
Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji
(Shionogi
and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English).
CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



AB The antibacterial (no data) (guanylhiazono)cephalosporins I (R, R1, R2
=
alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e.
Prepared by M. Hale 308-4258 Page 235

morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH,

Me)

were prepd. by treating 3-formylcephem-4-carboxylates with III.

REFERENCE 2: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru; Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp. (German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2), PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were prepd.

in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl 3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with 4,4-(3-oxopentamethylene)guanyldiazine-HBr and THF for 16.5 hr at room temp. to give 72% of the

3-[[4,4-(3-oxopentamethylene)guanyldiazono]methyl] cephem deriv.

L3 ANSWER 129 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 56204-14-9 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-8-oxo-7-[(phenylacetyl)amino]-, monohydrobromide, (6R-trans)- (9CI) (CA INDEX NAME)

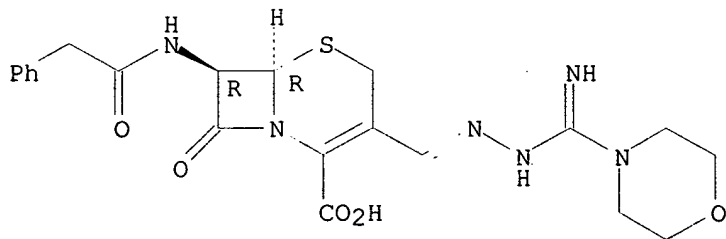
FS STEREOSEARCH

MF C21 H24 N6 O5 S . Br H

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry unknown.



● HBr

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru; Prepared by M. Hale 308-4258 Page 236

Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji
(Shionogi
and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp.
(German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2),
PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were
prepd.

in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl
3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with
4,4-(3-oxopentamethylene)guanyldiazine-HBr and THF for 16.5 hr at room
temp. to give 72% of the
3-[[4,4-(3-oxopentamethylene)guanyldiazono]meth
yl] cephem deriv.

L3 ANSWER 130 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 56204-13-8 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[[(1,1-dimethylethoxy)carbonyl]amino]phenylacetyl]amino]-3-[[[imino-4-
morpholinylmethyl]hydrazono]methyl]-8-oxo-, monohydrobromide,
[6R-(6.alpha.,7.beta.)]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

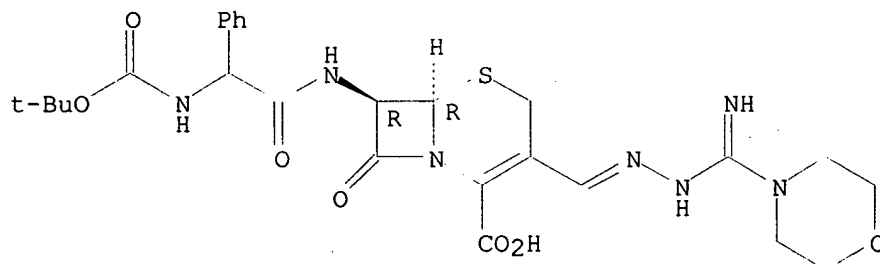
MF C26 H33 N7 O7 S . Br H

LC STN Files: CA, CAPLUS

CRN (62733-30-6)

Absolute stereochemistry.

Double bond geometry unknown.



● HBr

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru;
Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji
(Shionogi
and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp.
(German).

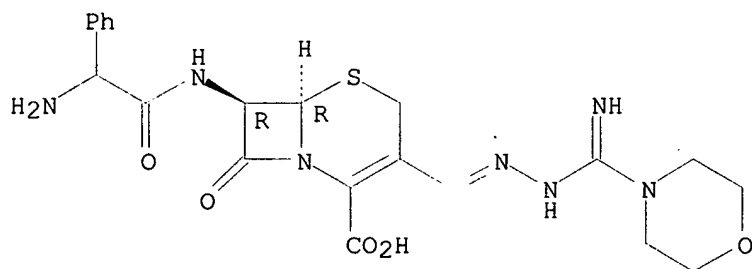
CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.
Prepared by M. Hale 308-4258

GI For diagram(s), see printed CA Issue.
 AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2), PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were prepd.
 in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl 3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with 4,4-(3-oxopentamethylene)guanylhydrazine-HBr and THF for 16.5 hr at room temp. to give 72% of the
 3-[[4,4-(3-oxopentamethylene)guanylhydrazono]methyl] cephem deriv.

L3 ANSWER 131 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 56204-12-7 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[(aminophenylacetyl)amino]-3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-8-oxo-, monohydrobromide, [6R-(6.alpha.,7.beta.)]- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C21 H25 N7 O5 S . Br H
 LC STN Files: CA, CAPLUS
 CRN (62733-29-3)

Absolute stereochemistry.
 Double bond geometry unknown.



● HBr

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru; Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp. (German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.
 AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2), PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were prepd.
 in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl
 Prepared by M. Hale 308-4258

3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with 4,4-(3-oxopentamethylene)guanylhiazine-HBr and THF for 16.5 hr at room temp. to give 72% of the

3-[[4,4-(3-oxopentamethylene)guanylhiazono]methyl] cephem deriv.

L3 ANSWER 132 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 56204-11-6 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-7-[[[(1-methyl-1H-tetrazol-5-yl)thio]acetyl]amino]-8-oxo-, monohydrobromide, (6R-trans)-(9CI) (CA INDEX NAME)

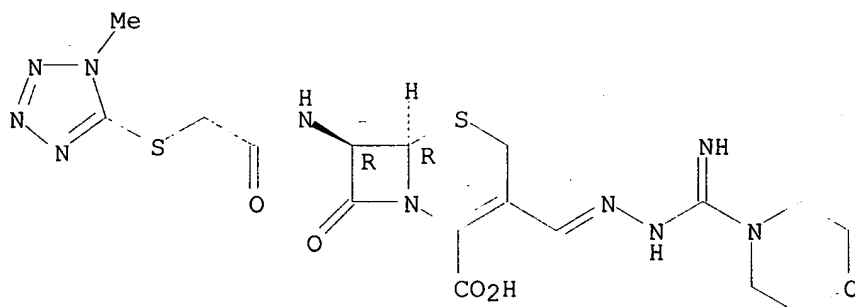
FS STEREOSEARCH

MF C17 H22 N10 O5 S2 . Br H

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry unknown.



● HBr

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru; Sando, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp. (German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2), PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were prepd.

in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl 3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with 4,4-(3-oxopentamethylene)guanylhiazine-HBr and THF for 16.5 hr at room temp. to give 72% of the

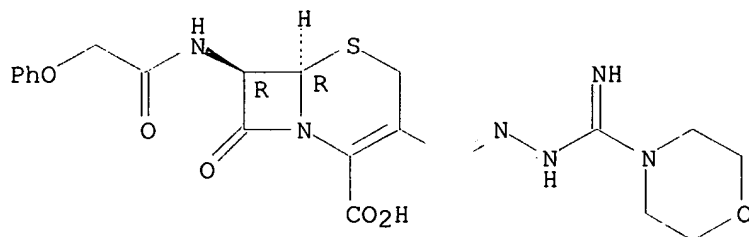
3-[[4,4-(3-oxopentamethylene)guanylhiazono]methyl] cephem deriv.

Prepared by M. Hale 308-4258

Page 239

L3 ANSWER 133 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 56204-10-5 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-8-oxo-7-
 [(phenoxyacetyl)amino]-, monohydrobromide, (6R-trans)- (9CI) (CA INDEX
 NAME)
 FS STEREOSEARCH
 MF C21 H24 N6 O6 S . Br H
 LC STN Files: CA, CAPLUS
 CRN (62733-27-1)

Absolute stereochemistry.
 Double bond geometry unknown.



● HBr

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru;
 Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji
 (Shionogi
 and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp.
 (German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

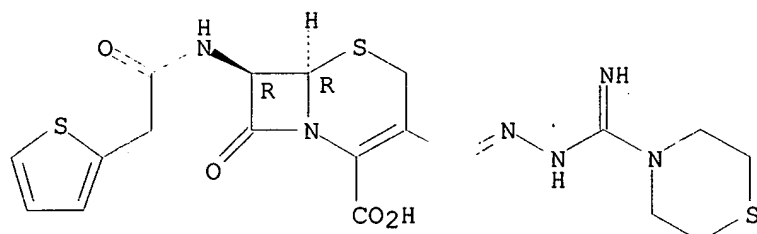
AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2),
 PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were
 prepd.

in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl
 3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with
 4,4-(3-oxopentamethylene)guanylhiazine-HBr and THF for 16.5 hr at room
 temp. to give 72% of the
 3-[[[4,4-(3-oxopentamethylene)guanylhiazono]meth
 yl] cephem deriv.

L3 ANSWER 134 OF 148 REGISTRY COPYRIGHT 2000 ACS
 RN 56204-07-0 REGISTRY
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 3-[[[(imino-4-thiomorpholinylmethyl)hydrazono]methyl]-8-oxo-7-[(2-
 thienylacetyl)amino]-, monohydriodide, (6R-trans)- (9CI) (CA INDEX NAME)
 OTHER CA INDEX NAMES: Prepared by M. Hale 308-4258

CN Thiomorpholine, 5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid
 deriv.
 FS STEREOSEARCH
 MF C19 H22 N6 O4 S3 . H I
 LC STN Files: CA, CAPLUS
 CRN (62733-26-0)

Absolute stereochemistry.
 Double bond geometry unknown.



● HI

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru;
 Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji
 (Shionogi
 and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp.
 (German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2),
 PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were
 prepd.

in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl
 3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with
 4,4-(3-oxopentamethylene)guanyldiazine-HBr and THF for 16.5 hr at room
 temp. to give 72% of the

3-[[4,4-(3-oxopentamethylene)guanyldiazono]meth
 yl] cephem deriv.

L3 ANSWER 135 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 56204-06-9 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 3-[[[(imino-3-thiazolidinylmethyl)hydrazono]methyl]-8-oxo-7-[(2-
 thienylacetyl)amino]-, monohydriodide, (6R-trans)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C18 H20 N6 O4 S3 . H I

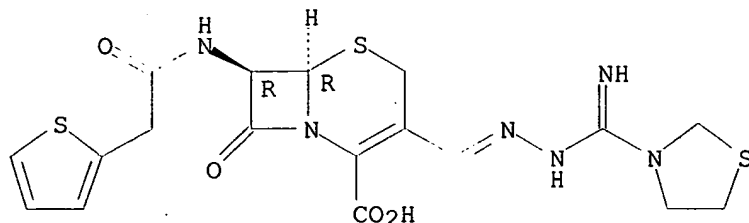
LC STN Files: CA, CAPLUS

CRN (62733-25-9)

Absolute stereochemistry.
 Prepared by M. Hale 308-4258

Page 241

Double bond geometry unknown.



1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru; Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp. (German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2), PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were prepd.

in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl 3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with 4,4-(3-oxopentamethylene)guanyldiazono-HBr and THF for 16.5 hr at room temp. to give 72% of the

3-[[[4,4-(3-oxopentamethylene)guanyldiazono]methyl] cephem deriv.

L3 ANSWER 136 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 56204-05-8 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[(dihydro-2H-1,3-oxazin-3(4H)-yl)iminomethyl]hydrazono]methyl]-8-oxo-7-[[2-thienylacetyl)amino]-, monohydriodide, (6R-trans)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 2H-1,3-Oxazine, 5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid deriv.

FS STEREOSEARCH

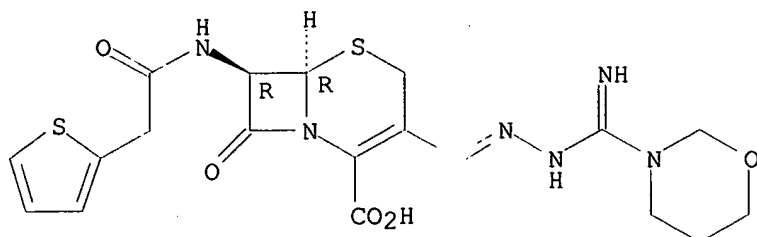
MF C19 H22 N6 O5 S2 . H I

LC STN Files: CA, CAPLUS

CRN (62733-24-8)

Absolute stereochemistry.

Double bond geometry unknown.



● HI

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru; Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp. (German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2), PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were prepd.

in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl 3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with 4,4-(3-oxopentamethylene)guanyldiazono-HBr and THF for 16.5 hr at room temp. to give 72% of the

3-[[4,4-(3-oxopentamethylene)guanyldiazono]methyl] cephem deriv.

L3 ANSWER 137 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 56204-04-7 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[imino(tetrahydro-2H-1,2-oxazin-2-yl)methyl]hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, monohydriodide, (6R-trans)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 2H-1,2-Oxazine, 5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid deriv.

FS STEREOSEARCH

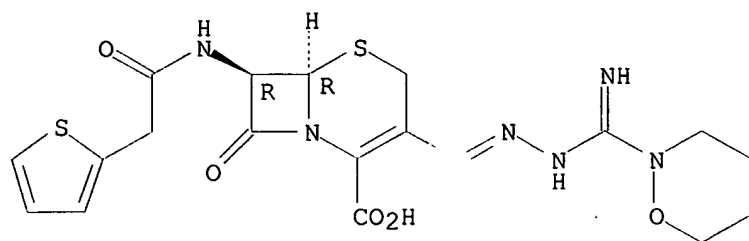
MF C19 H22 N6 O5 S2 . H I

LC STN Files: CA, CAPLUS

CRN (62733-23-7)

Absolute stereochemistry.

Double bond geometry unknown.



● HI

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru; Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp. (German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2), PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were prepd.

in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl 3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with 4,4-(3-oxopentamethylene)guanyldiazine-HBr and THF for 16.5 hr at room temp. to give 72% of the

3-[[4,4-(3-oxopentamethylene)guanyldiazono]methyl]-cephem deriv.

L3 ANSWER 138 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 56204-03-6 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[(2,6-dimethyl-4-morpholinyl)iminomethyl]hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, monohydriodide, [6R-(6.alpha.,7.beta.)]- (9CI) (CA

INDEX NAME)

FS STEREOSEARCH

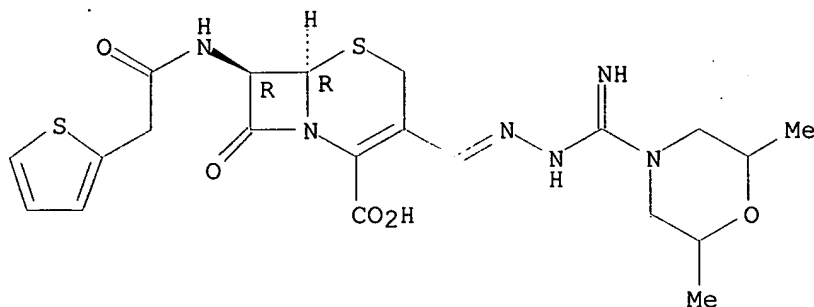
MF C21 H26 N6 O5 S2 . H I

LC STN Files: CA, CAPLUS

CRN (62777-35-9)

Absolute stereochemistry.

Double bond geometry unknown.



● HI

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru; Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp. (German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2), PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were prepd.

in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl 3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with 4,4-(3-oxopentamethylene)guanyldiazine-HBr and THF for 16.5 hr at room temp. to give 72% of the

3-[[4,4-(3-oxopentamethylene)guanyldiazono]methyl] cephem deriv.

L3 ANSWER 139 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 56204-02-5 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[(2,5-dimethyl-4-morpholinyl)iminomethyl]hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, monohydriodide, [6R-(6.alpha.,7.beta.)]- (9CI)

(CA

INDEX NAME)

FS STEREOSEARCH

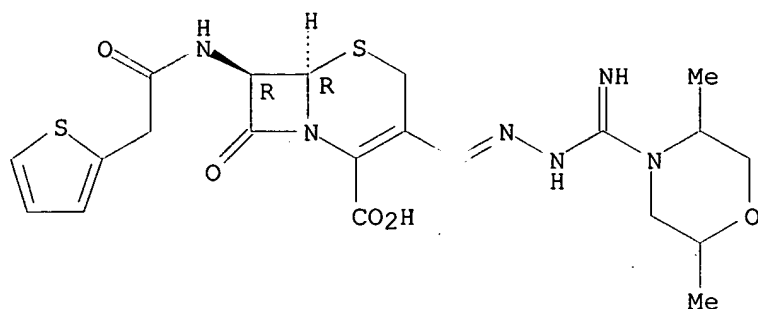
MF C21 H26 N6 O5 S2 . H I

LC STN Files: CA, CAPLUS

CRN (62777-34-8)

Absolute stereochemistry.

Double bond geometry unknown.



● HI

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru; Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp. (German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2), PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were prepd.

in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl 3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with 4,4-(3-oxopentamethylene)guanyldiazine-HBr and THF for 16.5 hr at room temp. to give 72% of the

3-[[4,4-(3-oxopentamethylene)guanyldiazono]methyl] cephem deriv.

L3 ANSWER 140 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 56204-01-4 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[imino(3-methyl-4-morpholinyl)methyl]hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, monohydriodide, [6R-(6.alpha.,7.beta.)]- (9CI)

(CA

INDEX NAME)

FS STEREOSEARCH

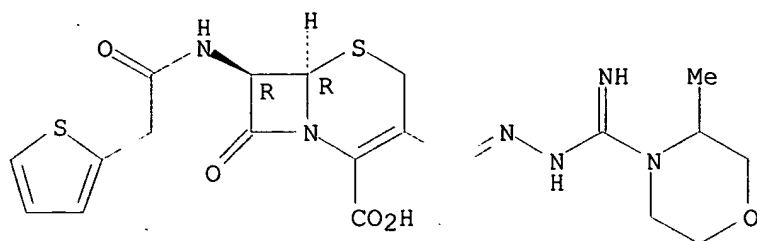
MF C20 H24 N6 O5 S2 . H I

LC STN Files: CA, CAPLUS

CRN (62777-33-7)

Absolute stereochemistry.

Double bond geometry unknown.



● HI

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru; Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp. (German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2), PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were prepd.

in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl 3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with 4,4-(3-oxopentamethylene)guanyldiazine-HBr and THF for 16.5 hr at room temp. to give 72% of the

3-[[4,4-(3-oxopentamethylene)guanyldiazono]methyl] cephem deriv.

L3 ANSWER 141 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 56204-00-3 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[imino(2-methyl-4-morpholinyl)methyl]hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, [6R-(6.alpha.,7.beta.)]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C20 H24 N6 O5 S2

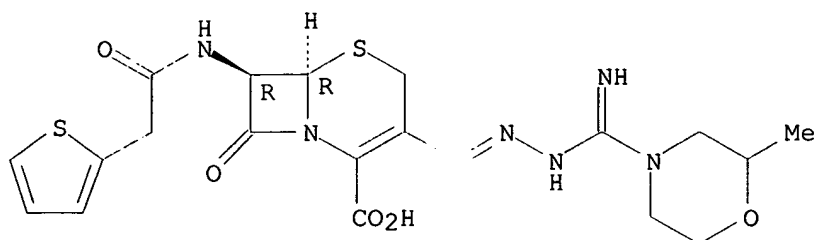
CI COM

LC STN Files: BEILSTEIN*, CA, CAPLUS

(*File contains numerically searchable property data)

Absolute stereochemistry.

Double bond geometry unknown.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru; Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp. (German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2), PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were prepd.

in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl 3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with 4,4-(3-oxopentamethylene)guanyldiazine-HBr and THF for 16.5 hr at room temp. to give 72% of the

3-[[4,4-(3-oxopentamethylene)guanyldiazono]methyl] cephem deriv.

L3 ANSWER 142 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 56203-99-7 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[(ethylamino)-4-morpholinylmethylene]hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, monohydriodide, (6R-trans)- (9CI) (CA INDEX NAME)

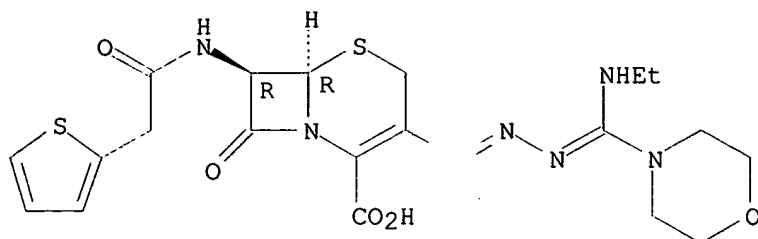
FS STEREOSEARCH

MF C21 H26 N6 O5 S2 . H I

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry unknown.



● HI

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru; Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp. (German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2), PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were prepd.

in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl 3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with 4,4-(3-oxopentamethylene)guanyldiazine-HBr and THF for 16.5 hr at room temp. to give 72% of the

3-[[4,4-(3-oxopentamethylene)guanyldiazono]methyl] cephem deriv.

L3 ANSWER 143 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 56203-98-6 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, monohydriodide, (6R-trans)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

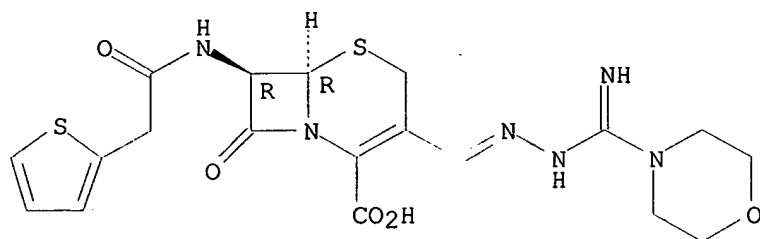
MF C19 H22 N6 O5 S2 . H I

LC STN Files: CA, CAPLUS

CRN (62733-20-4)

Absolute stereochemistry.

Double bond geometry unknown.



● HI

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru; Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp. (German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2), PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were prepd.

in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl 3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with 4,4-(3-oxopentamethylene)guanyldiazine-HBr and THF for 16.5 hr at room temp. to give 72% of the

3-[[4,4-(3-oxopentamethylene)guanyldiazono]methyl] cephem deriv.

L3 ANSWER 144 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 56203-97-5 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[(imino-4-morpholinylmethyl)hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, monohydrobromide, (6R-trans)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

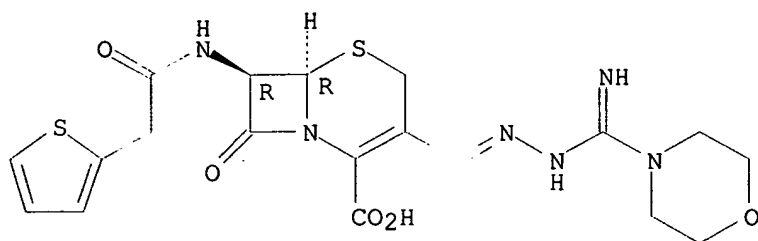
MF C19 H22 N6 O5 S2 . Br H

LC STN Files: CA, CAPLUS

CRN (62733-20-4)

Absolute stereochemistry.

Double bond geometry unknown.



● HBr

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru; Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp. (German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2), PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were prepd.

in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl 3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with 4,4-(3-oxopentamethylene)guanyldiazine-HBr and THF for 16.5 hr at room temp. to give 72% of the

3-[[[4,4-(3-oxopentamethylene)guanyldiazono]methyl] cephem deriv.

L3 ANSWER 145 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 56203-96-4 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[(hexahydro-1H-azepin-1-yl)iminomethyl]hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, monohydriodide, (6R-trans)- (9CI) (CA INDEX NAME)

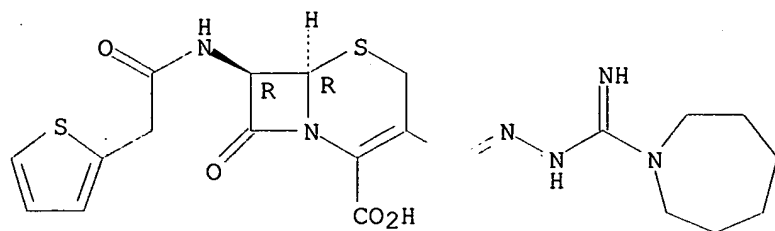
FS STEREOSEARCH

MF C21 H26 N6 O4 S2 . H I

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Double bond geometry unknown.



● HI

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru; Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp. (German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2), PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were prepd.

in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl 3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with 4,4-(3-oxopentamethylene)guanyldihydrazine-HBr and THF for 16.5 hr at room temp. to give 72% of the

3-[[4,4-(3-oxopentamethylene)guanyldihydrazono]methyl] cephem deriv.

L3 ANSWER 146 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 56203-95-3 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[(3,6-dihydro-1(2H)-pyridinyl)iminomethyl]hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, (6R-trans)- (9CI) (CA INDEX NAME)

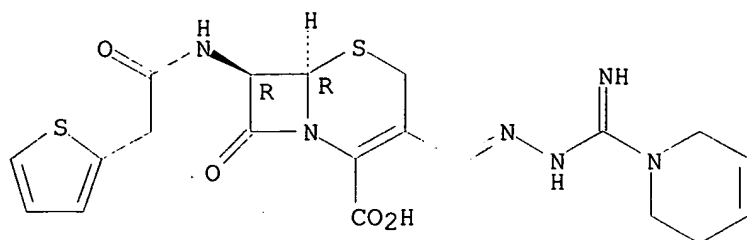
FS STEREOSEARCH

MF C20 H22 N6 O4 S2

LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL (*File contains numerically searchable property data)

Absolute stereochemistry.

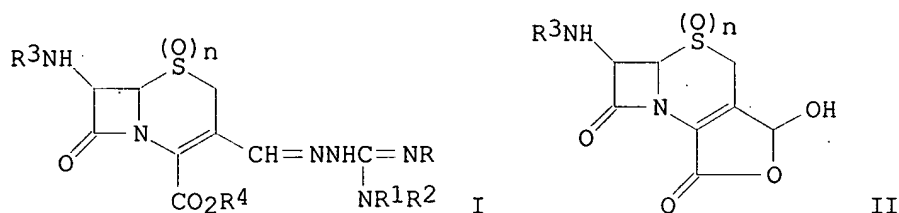
Double bond geometry unknown.



2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 86:189975 Cephalosporin compounds. Yoshioka, Mitsuru; Murakami, Masayuki; Sendo, Yuji; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). U.S. US 3997528 19761214, 23 pp. (English). CODEN: USXXAM. PRIORITY: JP 1973-12032 19731025.

GI



AB The antibacterial (no data) (guanylhyaazono)cephalosporins I (R, R1, R2 = alkyl, alkenyl, aralkyl, aryl, NH2, MeO; NR1R2 = N-heterocyclic, i.e. morpholino, piperidino; RR1 = CH2CH2; R3 = H, thienylacetyl, PhOCH2CO, H2NCHPhCO, PhCH2CO, furylacetyl, tetrazolylacetyl, Me3CCO2C, Cl3CCH2O2C; R4 = H; n = 1, 0) and their salts were prepd. by treating the hemiacetal lactones II with H2NNHC(NR1R2):NR (III). I (R4 = 4-O2NC6H4CH2, Ph2CH, Me) were prepd. by treating 3-formylcephem-4-carboxylates with III.

REFERENCE 2: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru; Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp. (German). CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2), PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were prepd.

in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl 3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with

Prepared by M. Hale 308-4258

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4,4-(3-oxopentamethylene)guanylhiazine-HBr and THF for 16.5 hr at room temp. to give 72% of the
3-[[[4,4-(3-oxopentamethylene)guanylhiazono]meth
yl] cephem deriv.

L3 ANSWER 147 OF 148 REGISTRY COPYRIGHT 2000 ACS

RN 56203-94-2 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

3-[[[(2,5-dihydro-1H-pyrrol-1-yl)iminomethyl]hiazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, monohydriodide, (6R-trans)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

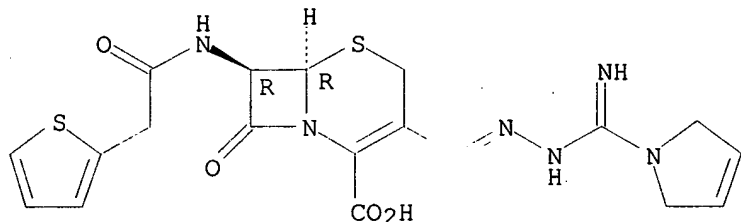
MF C19 H20 N6 O4 S2 . H I

LC STN Files: CA, CAPLUS

CRN (62733-18-0)

Absolute stereochemistry.

Double bond geometry unknown.



● HI

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru; Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp. (German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2), PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were prepd.

in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl 3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with 4,4-(3-oxopentamethylene)guanylhiazine-HBr and THF for 16.5 hr at room temp. to give 72% of the

3-[[[4,4-(3-oxopentamethylene)guanylhiazono]meth
yl] cephem deriv.

L3 ANSWER 148 OF 148 REGISTRY COPYRIGHT 2000 ACS

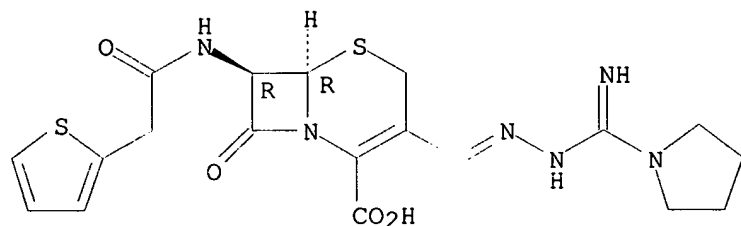
RN 56203-93-1 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
Prepared by M. Hale 308-4258

3-[[[(imino-1-pyrrolidinylmethyl)hydrazono]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-, monohydrobromide, (6R-trans)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH
MF C19 H22 N6 O4 S2 . Br H
LC STN Files: CA, CAPLUS
CRN (62733-16-8)

Absolute stereochemistry.
Double bond geometry unknown.



● HBr

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:58855 Pharmaceutical cephalosporins. Yoshioka, Mitsuru; Sendo, Yuji; Murakami, Masayuki; Miyazaki, Sadao; Ishikura, Koji (Shionogi and Co., Ltd., Japan). Ger. Offen. DE 2450618 19750430, 56 pp. (German).

CODEN: GWXXBX. PRIORITY: JP 1973-120328 19731025.

GI For diagram(s), see printed CA Issue.

AB Approx. 60 bactericides (no data) I (e.g., R1 = 2-thienyl, PhCH(NH2), PhCH2, 2-furyl; R2, R3 = H, Et, allyl, Ph; NR2R3 = morpholino) were prepd.

in 41-100% yield by treatment of II with H2NNHC(:NH)NR2R3. P-nitrophenyl 3-formyl-7-tert-butoxycarbonylamino-3-cephem-4-carboxylate reacted with 4,4-(3-oxopentamethylene)guanyldiazine-HBr and THF for 16.5 hr at room temp. to give 72% of the

3-[[[4,4-(3-oxopentamethylene)guanyldiazono]methyl] cephem deriv.

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